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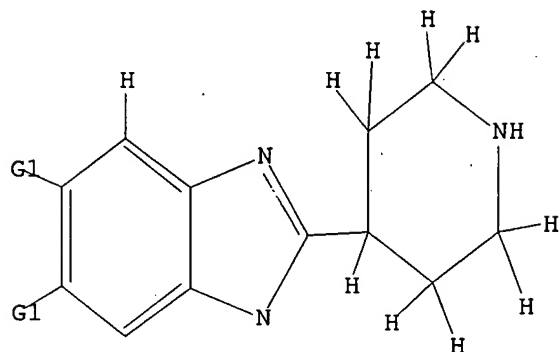
Uploading 10071978.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Ak,H,O,N,CF3,CCL3,CBr3,NO2,C,NH,NH2,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:21:01 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 356 TO ITERATE

100.0% PROCESSED 356 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5988 TO 8252

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:21:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 6964 TO ITERATE

100.0% PROCESSED 6964 ITERATIONS

27 ANSWERS

SEARCH TIME: 00.00.01

L3 27 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

148.15	148.36
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FILE 'CAPLUS' ENTERED AT 11:21:16 ON 24 JUN 2003

Habte

6/24/2003

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FILE COVERS 1907 - 24 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 23 Jun 2003 (20030623/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 32 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:943625 CAPLUS

DOCUMENT NUMBER: 138:368840

TITLE: Highly potent and selective .alpha.V.beta.3-receptor antagonists: solid-phase synthesis and SAR of 1-substituted 4-amino-1H-pyrimidin-2-ones

AUTHOR(S): Zechel, Christian; Backfisch, Gisela; Delzer, Jurgens; Geneste, Hervé; Graef, Claudia; Hornberger, Wilfried; Kling, Andreas; Lange, Udo E. V.; Lauterbach, Arnulf; Seitz, Werner; Subkowski, Thomas

CORPORATE SOURCE: BASF AG, Ludwigshafen, D-67056, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(2), 165-169

CODEN: BMCLDH; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

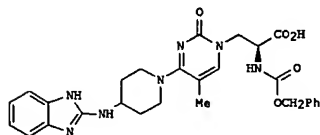
GI

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Solid-phase synthesis and SAR of .alpha.V.beta.3-receptor antagonists based on a 1-substituted 4-amino-1H-pyrimidin-2-one scaffold are described. The most potent compounds, e.g. 1, exhibited IC50 values towards .alpha.V.beta.3 in the nano- to subnanomolar range and high selectivity vs. related integrins like .alpha.IIb.beta.3. For selected examples efficacy in functional cellular assays was demonstrated.

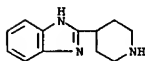
IT 38385-95-4

RI: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)

(solid-phase synthesis and SAR of 1-substituted 4-amino-1H-pyrimidin-2-ones as .alpha.V.beta.3-receptor antagonists)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:676008 CAPLUS

DOCUMENT NUMBER: 137:216949

TITLE: Preparation of benzimidazole derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors

INVENTOR(S): Takayama, Kazuhisa; Kimura, Takenori; Masuda, Naoyuki; Waiito, Ryo; Okamoto, Yoshinori; Koga, Yuji; Okada, Yohsei; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXKX2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

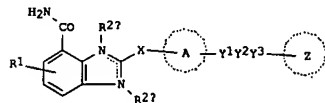
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068407	A1	20020906	WO 2002-JP1741	20020226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2001-54693 A 20010228

OTHER SOURCE(S): MARPAT 137:216949

GI



AB The title compds. I [R1 = H, alkyl, etc.; R2a, R2b = H, alkyl, or nonexistent; the dotted line indicates the double bond or single bond; ring A = N-contg. satd. heterocyclic ring; X = (oxo-substituted) alkylene, or bond; Y1, Y2 = (oxo-substituted) alkylene, etc.; Y2 = O, S, etc.; ring Z = (un)substituted cycloalkyl, etc.; provisos are given] are prepd. 2-[1-(4-(4-Fluorophenyl)butyl)piperidin-4-yl]-1H-benzimidazole-4-carboxamide 2HCl salt in vitro showed IC50 of 8.2 nM against poly(ADP-ribose) polymerase.

IT 454715-39-0P

RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of benzimidazole derivs. as poly(ADP-ribose) polymerase inhibitors)

RN 454715-39-0 CAPLUS

CN 1H-Benzimidazole-4-carboxamide, 2-(4-piperidinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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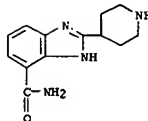
L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 272769-47-8

CMF C13 H16 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

2

6/24/2003

14 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:293658 CAPLUS

DOCUMENT NUMBER: 136:325721

TITLE: Preparation of morphinoids containing a fused pyrrole moiety for therapeutic use as selective .delta.-opioid receptor agonists

INVENTOR(S): Dondio, Giulio; Gagliardi, Stefania; Graziani, Davide

PATENT ASSIGNEE(S): Glaxosmithkline S.P.A., Italy

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

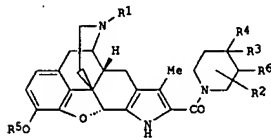
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030936	A1	20020418	WO 2001-EP11556	20011005
W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002018210	A5	20020422	AU 2002-18210	20011005
PRIORITY APPLN. INFO.:			GB 2000-25057	A 20001012
			WO 2001-EP11556	W 20011005

OTHER SOURCE(S): MARPAT 136:325721

GI



AB Pyrrolomorphinoid carboxamides, such as I [R1 = H, alkenyl, alkyl; R2 = H, alkyl, alkylene; R3 = H, alkyl, aryl, cycloalkyl, heterocyclyl, etc.; R4 = H, CN, OH, alkyl, acyl, alkoxy, etc.; R3R4 = spirocycloalkyl, spiroheterocyclyl; R5 = H, alkyl; R6 = H; R3R6 = bond], were prepd. for pharmaceutical use as selective .delta.-opioid receptor agonists. Thus, I (R1 = R5 = Me, R2 = R3 = R6 = H, R4 = Ph) was prepd. via a series of synthetic steps which included cyclocondensation of dihydrocodeinone with MeCOC(=NNHPh)CO2Et to form the corresponding pyrrolomorphinoid Et ester, conversion of the Et ester to the sodium pyrrolomorphinoid carboxylate, in

14 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

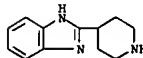
situ formation of the pyrrolomorphinoid carboxylic acid chloride, and amide formation of the acid chloride with 4-phenylpiperidine. The prepd. pyrrolomorphinoids were tested for selective .delta.-opioid receptor binding activity using cloned human .delta.-, .mu.-, and .kappa.-opioid receptors.

IT 38385-95-4

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of pyrrolomorphinoids for therapeutic use as selective .delta.-opioid receptor agonists)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

14 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:184898 CAPLUS

DOCUMENT NUMBER: 136:247575

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies

INVENTOR(S): Butler, Christopher A.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Medina, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Sun, Siqian; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020011	A2	20020314	WO 2001-US27429	20010905
WO 2002020011	A3	20020513		
W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003078419	A1	20030424	US 2001-927324	20010810
AU 2001088706	A5	20020322	AU 2001-88706	20010905
EP 1315490	A2	20030604	EP 2001-968461	20010905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-230407P	P 20000906
			US 2001-927324	A 20010810
			US 2000-225178P	P 20000814
			WO 2001-US27429	W 20010905

OTHER SOURCE(S): MARPAT 136:247575

GI

14 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

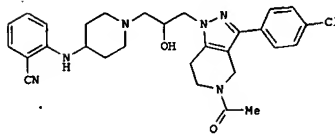
AB Title compds. I [wherein Ar and Ar2 = independently (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = O, S, (un)substituted N or CH, CO, CONH, NHCO, or a bond; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, or (un)substituted carbocyclyl or heterocyclyl; or R7R8 form an (un)substituted carbocyclic or heterocyclic ring; R2 = H, OH, or is absent; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic condition. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CITCP:SOCl and cycloaddn. of the product with H2NNH2 gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42). Alkylation with epichlorohydrin (60%), followed by addn. of 1,4-dioxane-8-azaspiro[4.5]decane (81%), conversion to the piperidinone (55%), and reductive addn. of 2-aminobenzonitrile (20%), afforded II. The latter inhibited recombinant human cathepsin S with IC50 of 0.73 .mu.M.

IT 38385-95-4P, 2-Piperidin-4-yl-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of phenylpyrazolopyridines as cathepsin S inhibitors for treating allergies)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

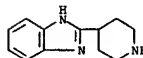


AB Title compds. I [wherein Ar and Ar2 = independently (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = O, S, (un)substituted N or CH, CO, CONH, NHCO, or a bond; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, or (un)substituted carbocyclyl or heterocyclyl; or R7R8 form an (un)substituted carbocyclic or heterocyclic ring; R2 = H, OH, or is absent; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic condition. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CITCP:SOCl and cycloaddn. of the product with H2NNH2 gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42). Alkylation with epichlorohydrin (60%), followed by addn. of 1,4-dioxane-8-azaspiro[4.5]decane (81%), conversion to the piperidinone (55%), and reductive addn. of 2-aminobenzonitrile (20%), afforded II. The latter inhibited recombinant human cathepsin S with IC50 of 0.73 .mu.M.

IT 38385-95-4P, 2-Piperidin-4-yl-1H-benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of phenylpyrazolopyridines as cathepsin S inhibitors for treating allergies)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002142708 CAPLUS

DOCUMENT NUMBER: 136:200182

TITLE: Substituted and/or fused pyrazoles, particularly piperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants

INVENTOR(S): Butler, Christopher A.; Cal, Rui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuys, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Taya, Kevin L.; Wei, Jianmei

PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 235 pp.

CODEN: P10X02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014315	A2	20020221	WO 2001-US25290	20010810
WO 2002014315	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001086454	A5	20020225	AU 2001-86454	20010810
US 2003078419	A1	20030424	US 2001-927324	20010810
EP 1309593	A2	20030514	EP 2001-965898	20010810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR				
PRIORITY APPLN. INFO.: US 2000-225178P P 20000814				
US 2001-927324 A 20010810				
WO 2001-US25290 W 20010810				

OTHER SOURCE(S): MARPAT 136:200182

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manuf. them, compns. contg. them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R = H, OH, or absent; R1, R2 = H, alkyl, R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; Ar1 = (un)substituted mono- or bicyclic (hetero)aryl; Ar2 = (un)substituted

L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002142666 CAPLUS

DOCUMENT NUMBER: 136:200479

TITLE: Preparation of proline derivatives as dipeptidyl peptidase IV (DPP-IV) inhibitors and use thereof as drugs

INVENTOR(S): Kitajima, Hiroshi; Sakashita, Hiroshi; Akahoshi, Fumihiko; Hayashi, Yoshiharu

PATENT ASSIGNEE(S): Welfide Corporation, Japan

SOURCE: PCT Int. Appl., 340 pp.

CODEN: P10X02

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

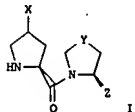
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014271	A1	20020221	WO 2001-JP6906	20010810
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001077754	A5	20020225	AU 2001-77754	20010810
EP 1308439	A1	20030507	EP 2001-955660	20010810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR				
NO 2003000619 A 20030226				
PRIORITY APPLN. INFO.: JP 2000-243217 A 20000810				
JP 2000-400296 A 20001228				
WO 2001-JP6906 W 20010810				

OTHER SOURCE(S): MARPAT 136:200479

GI



AB The title compds. [I: X = NR1R2, NR3COR4, NR5COR4, NR5CH2CH2NR6R7, NR8SO2R9, OR10, O2CR11; wherein R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or they are linked to each other to form a heterocyclyl contg. 1 or 2 N atoms or O which may be a spiro ring and is optionally fused to an (un)substituted arom. ring; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl; R5, R6, R7 = H, alkyl, aryl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl, or which is optionally fused to an (un)substituted arom.

Habte

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

(un)satd. (non)arom. mono- or bicyclic ring system with 0-5 heteroat. ring moieties selected from O, S, N, SO2, and CO; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); W = O, S, CO CONH, NHCO, (un)substituted NH or CH2 including stereoisomers, pharmaceutically acceptable salts, esters, and amides). Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 350 individual compds. I were prepd. and/or claimed, with detailed preps. given for 31 compds. For instance, 6-chloro-1-(piperidin-4-yl)-3,4-dihydro-1H-quinolin-2-one (prepd. in 6 steps) reacted with the corresponding epoxide (prepd. in several steps) to give title compd. II. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.01 .mu.M. Compd. III is one of two specifically preferred compds.

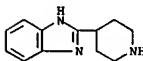
IT 38385-95-4P, 2-Piperidin-4-yl-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Intermediate; prepn. of piperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ring; R8, R9, R10, R11 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl or pharmacol. acceptable salts thereof are prepd. These compds. are useful for the treatment of DPP-IV related diseases such as diabetes, obesity, HIV infection, cancer metastasis, skin diseases, prostatic hypertrophy (prostatomegaly), pericarditis, or autoimmune diseases. Thus, a soln. of 0.924 g (S)-1-[(2S,4S)-4-amino-1-tert-butoxycarbonyl-2-pyrrolidinylcarboxyl]-2-cyanopyrrolidine (prepn. given), 1.7 mL diisopropylethylamine, and 0.78 g 2-chloro-4-fluorobenzonitrile in 10 mL N-methyl-2-pyrrolidone were stirred at 80.degree. for 4 h to give 0.94 g (S)-1-[(2S,4S)-1-tert-butoxycarbonyl-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarboxyl]-2-cyanopyrrolidine (0.93 g) which was treated with HCl/EtOAc at room temp. for 15 h to give (S)-1-[(2S,4S)-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarboxyl]-2-cyanopyrrolidine hydrochloride (II). II showed IC50 of 0.13 and 0.15 nM against human blood plasma DPP-IV and rat blood plasma DPP-IV, resp.

IT 38385-95-4P, 4-(2-Benzimidazolyl)piperidine 295790-49-7P

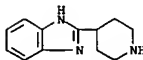
401568-55-6P 401568-60-3P 401568-63-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of proline derivs. as dipeptidyl peptidase IV (DPP-IV) inhibitors for treating DPP-IV related diseases)

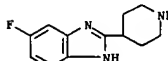
RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



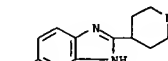
RN 295790-49-7 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 401568-55-6 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

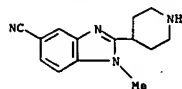


RN 401568-60-3 CAPLUS

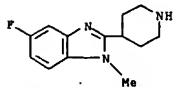
CN 1H-Benzimidazole-5-carbonitrile, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

6/24/2003

L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 401568-63-6 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



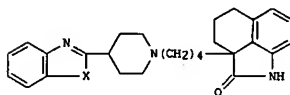
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:300703 CAPLUS
DOCUMENT NUMBER: 134:311197
TITLE: Tetrahydrobenzindolone derivatives, their preparation and their use as 5-HT7 receptor antagonists
INVENTOR(S): Bromidge, Steven Mark; Gribble, Andrew Derrick; Lovell, Peter John; Witherington, Jason
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

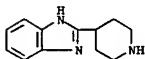
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029029	A1	20010426	WO 2000-EP10149	20001013
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1222185	A1	20020717	EP 2000-971384	20001013
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003512372	T2	20030402	JP 2001-531828	20001013
PRIORITY APPLN. INFO.:			GB 1999-24628	A 19991018
			GB 2000-6168	A 20000314
			GB 2000-18952	A 20000803
			WO 2000-EP10149	W 20001013

OTHER SOURCE(S): MARPAT 134:311197
GI

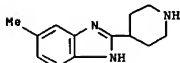


AB Title compds. such as I (X = NH, O, S) were prepd. as 5-HT7 receptor antagonists. Thus, triazabicyclo[4.4.0]dec-5-ene bound to polystyrene crosslinked with 2% divinylbenzene (500 mg) was added to a shaken soln. of 4-benzimidazol-2-ylpiperidine (100 mg) and 2a-(4-bromobutyl)-2a,3,4,5-tetrahydro-1H-benz[c,d]indol-2-one (200 mg) in 10 mL DMF, and after 3 days the soln. was decanted onto SCX resin and eluted with 20 mL methanol followed by 20 mL 1N methanolic NH3 to give I (X = NH) in 58% yield. I

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
were sepd. into enantiomers by HPLC. When tested for their affinity for the 5-HT7 receptor, the products showed pKi >6.0, and preferred examples had pKi 8.0-9.2.
IT 38385-95-4 295790-48-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(tetrahydrobenzindolone deriva. as 5-HT7 receptor antagonists)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-48-6 CAPLUS
CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



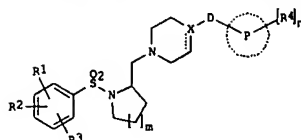
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS

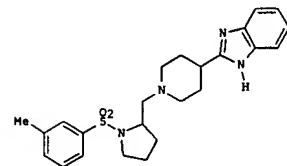
ACCESSION NUMBER: 2000:861674 CAPLUS
DOCUMENT NUMBER: 134:29433
TITLE: Preparation of sulfonamide compounds with 5-HT7 antagonist activity
INVENTOR(S): Lovell, Peter John
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073299	A1	20001207	WO 2000-EP4893	20000525
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1181287	A1	20020227	EP 2000-935141	20000525
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003500488	T2	20030107	JP 2000-621365	20000525
PRIORITY APPLN. INFO.:			GB 1999-12701	A 19990601
			WO 2000-EP4893	W 20000525

OTHER SOURCE(S): MARPAT 134:29433
GI



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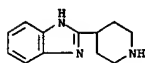
AB The title compds. [I; R1-R3 = H, halo, OH, etc.; m = 1-2; X = N, C, CH; D = a bond, CO, O, CH2, with the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl contg. 1-3 heteroatoms selected from O, N and S, etc.; R4 = alkyl optionally substituted by NR5R6, aryl, arylalkyl, etc.; R5, R6 = H, alkyl, aryl, etc.; n = 0-3] having 5-HT7 antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepd. E.g., a multi-step synthesis of (R)-II was given. All compds. I tested had a pKi of 6.0-7.9 against 5-HT7 receptor binding.

IT 38385-95-4P 295790-49-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonamide compds. with 5-HT7 antagonist activity)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-49-7 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:688218 CAPLUS

DOCUMENT NUMBER: 133:252456

TITLE: Preparation of N-[2-piperazino(or piperidino)ethyl] benzenesulfonamides and thiophenesulfonamides as 5-HT7 receptor antagonists

INVENTOR(S): Lovell, Peter John

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK

SOURCE: PCT Int. Appl., 26 pp.

DOCUMENT TYPE: CODEN: PIXXD2

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English

PATENT INFORMATION: 1

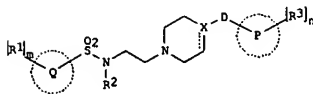
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056712	A1	20000928	WO 2000-EP2267	20000314
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TN				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1163221	A1	20011219	EP 2000-916945	20000314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: GB 1999-6624 A 19990323

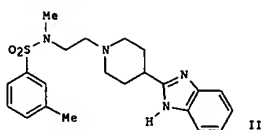
WO 2000-EP2267 W 20000314

OTHER SOURCE(S): MARPAT 133:252456

GI

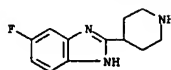


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II

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REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The title compds. [I; Q = Ph, thienyl; R1 = halo, OH, alkyl, etc.; m = 0-3; R2 = alkyl; X = N, C, CH; D = a single bond; CO, O, CH2 subject to the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl contg. 1-3 heteroatoms selected from O, N and S, etc.; R3 = (un)substituted alkyl; n = 0-3] having 5-HT7 receptor antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepd. E.g., a multi-step synthesis of benzenesulfonamide II was given. All compds. I tested had a pKi of 6.2-9.0 against 5-HT7 receptor binding.

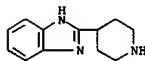
IT 38385-95-4P 295789-08-1P 295790-48-6P

295790-49-7P 295790-50-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-[2-piperazino(or piperidino)ethyl] benzenesulfonamides and thiophenesulfonamides as 5-HT7 receptor antagonists)

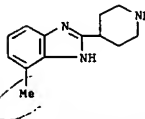
RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



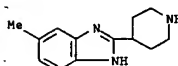
RN 295789-08-1 CAPLUS

CN 1H-Benzimidazole, 4-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-48-6 CAPLUS

CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

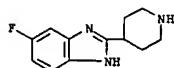


RN 295790-49-7 CAPLUS

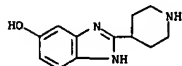
CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

6/24/2003

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 295790-50-0 CAPLUS
CN 1H-Benzimidazol-5-yl, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



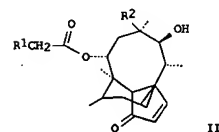
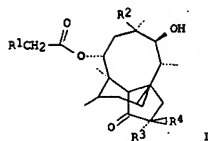
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:441625 CAPLUS
DOCUMENT NUMBER: 133:68909
TITLE: Mutilin 14-ester derivatives having antibacterial activity
INVENTOR(S): Brooks, Gerald; Hunt, Eric
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037074	A1	20000629	WO 1999-EP9577	19991207
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CE, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 1998-28005 A 19981218	
OTHER SOURCE(S):			MARPAT 133:68909	
G1				

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The invention discloses compds. I and II (R1 = (un)substituted heteroaryl comprising 5-membered heteroatom ring with .gtoreq.1 N and linked via N; R2 = vinyl; ethyl; R3 = H, OH, F; R4 = H, or R3 is H and R4). Compd. prepn. is included. Antibacterial activity against Staphylococcus aureus and Streptococcus pneumoniae was detd.

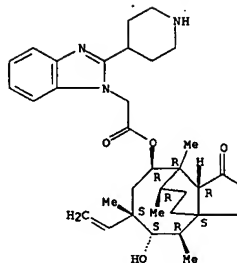
IT 278797-44-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(mutilin 14-ester deriva. with antibacterial activity)

RN 278797-44-7 CAPLUS

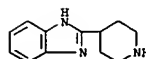
CN 1H-Benzimidazole-1-acetic acid, 2-(4-piperidinyl)-, (3aS,4R,5S,6S,8R,9R,9aR,10R)-6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



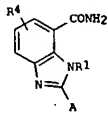
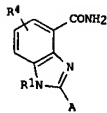
IT 38385-95-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction: mutilin 14-ester deriva. with antibacterial activity)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



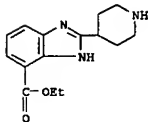
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:384161 CAPLUS
 DOCUMENT NUMBER: 133:17464
 TITLE: Preparation of benzimidazolecarboxamides as poly(ADP-ribose)polymerase inhibitors.
 INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Heger, Thomas; Schult, Sabine; Grandel, Roland; Muller, Reinhold
 PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032579	A1	20000608	WO 1999-EP9004	19991123
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19916460	A1	20001019	DE 1999-19916460	19990412
BR 9915701	A	20010814	BR 1999-15701	19991123
EP 1133477	A1	20010919	EP 1999-96497	19991123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002531442	T2	20020924	JP 2000-585221	19991123
US 6448271	B1	20020910	US 2001-856686	20010524
NO 2001002570	A	20010713	NO 2001-2570	20010525
BG 105596	A	20020228	BG 2001-105596	20010613
PRIORITY APPLN. INFO.:			DE 1998-19854933	19991127
			DE 1999-19916460	A 19990412
			WO 1999-EP9004	W 19991123
OTHER SOURCE(S):		MARPAT 133:17464		
GI				

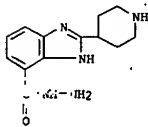


L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (prepn. of benzimidazolecarboxamides as poly(ADP-ribose)polymerase inhibitors)
 RN 272769-71-8 CAPLUS
 CN 1H-Benzimidazole-4-carboxylic acid, 2-(4-piperidinyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

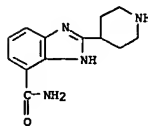
RN 272769-72-9 CAPLUS
 CN 1H-Benzimidazole-4-carboxylic acid, 2-(4-piperidinyl)-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

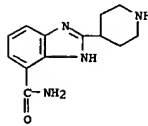
2

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 Title compds. [I, II; R1 = H, (substituted) (O- or imino-interrupted) alkyl; R4 = H, alkyl, Cl, Br, F, NO2, cyano, amino, acylamino, etc.; A = (unsatd.) 4-8 membered (substituted) heterocyclyl], were prep. as PARP inhibitors (no data). Thus, 1-(tert-butylloxycarbonyl)piperidine-4-carboxylic acid, Et 2,3-diaminobenzoate, Et3N, and hydroxybenzotriazole in THF at 0.degree. were treated with N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide followed by 24 h stirring to give N-(2-amino-3-ethoxycarbonyl)-1-(tert-butylloxycarbonyl)piperidine-4-carboxanilide. This was refluxed 30 min. in HOAc to give Et 2-[1-(tert-butylloxycarbonyl)piperidin-4-yl]benzimidazole-4-carboxylate, which was converted to 2-piperidin-4-ylbenzimidazole-4-carboxamide dihydrochloride.
 IT 272769-46-7P 272769-47-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazolecarboxamides as poly(ADP-ribose)polymerase inhibitors)
 RN 272769-46-7 CAPLUS
 CN 1H-Benzimidazole-4-carboxamide, 2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

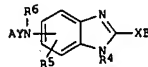
RN 272769-47-8 CAPLUS
 CN 1H-Benzimidazole-4-carboxamide, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



IT 272769-71-8P 272769-72-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

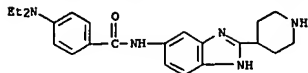
L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:356164 CAPLUS
 DOCUMENT NUMBER: 133:805
 TITLE: Benzimidazole derivatives as neovascularization inhibitors and pharmaceutical compositions containing them
 INVENTOR(S): Kubo, Keiji; Hori, Akira; Kusaka, Masami
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 77 pp.
 CODEN: JKKKAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000143635	A2	20000526	JP 1999-158035	19990604
PRIORITY APPLN. INFO.:			JP 1998-162489	A 19980610
			JP 1998-246689	A 19980901
OTHER SOURCE(S):		MARPAT 133:805		
GI				



AB Neovascularization inhibitors contain the derivs. I [ring A = (un)substituted phenyl; ring B = (un)substituted cyclyl; R4, R6 = (i) H, (ii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino, (iii) 4-8 membered cyclic amino, CO2H, or C2-7 alkoxy carbonyl, (iii) C2-6 alkenyl, (iv) C3-7 cycloalkyl, (v) C7-13 aralkyl which may have 1-5 substituents selected from halo, C1-6 alkoxy, C1-6 alkyl, mono- or di(C1-6 alkyl)amino, (vi) C2-7 alkoxy carbonyl; R5 = (i) H, (ii) halo, (iii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino and halo, (iv) C1-6 alkoxy, (v) C2-7 alkoxy carbonyl, (vi) mono- or di(C1-6 alkyl)amino, (vii) carbonyl which may be substituted with C1-6 alkyl or C7-13 aralkyl; X = (i) direct bond, (ii) C1-6 alkylene, (iii) C2-6 alkenylene, (iv) C1-6 alkylene-amino carbonyl, (v) C1-6 alkylene-oxycarbonyl amino; Y = CO, SO2, NHCO, C1-6 alkylene carbonyl, C2-6 alkenylene carbonyl, C1-6 alkylene or their pharmaceutically acceptable salts. Also claimed are pharmaceutical compns. contg. I or their salts for treatment of neoplasia, inflammatory diseases, diabetic retinopathy, etc. IC50 of 2-(4-methoxyphenyl)-5-[3-methoxy-4-(4-pyridyl)methoxybenzoyl]aminobenzimidazole (prepn. given) against recombinant VEGF-induced proliferation of HUVEC was 0.012 .mu.M.
 IT 263022-65-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazole compds. as neovascularization inhibitors)
 RN 263022-65-7 CAPLUS
 CN Benzamide, 4-(diethylamino)-N-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

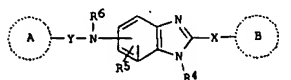
L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:214835 CAPLUS
DOCUMENT NUMBER: 132:265201
TITLE: Preparation of imidazole derivatives as gonadotropin-releasing hormone antagonists
INVENTOR(S): Suzuki, Nobuhiko; Takekawa, Shiro; Kubo, Keiji; Imaeda, Yasuhiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JXOXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000095767	A2	20000404	JP 1998-273013	19980928
PRIORITY APPLN. INFO.:			JP 1998-273013	19980928
OTHER SOURCE(S):	MARPAT 132:265201			
GI				

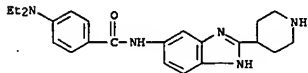


AB claimed are gonadotropin-releasing hormone (GnRH) antagonists contg. the title compds. [I ring A = (un)substituted Ph; ring B = (un)substituted cyclic group; R4, R6 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, (un)substituted C7-13 aralkyl, C2-7 alkoxycarbonyl; R5 = H, halo, (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-7 alkoxycarbonyl, etc.; R1 = bond, C1-6 alkylene, C2-6 alkenylene, C1-6 alkyne-NHCO, C1-6 alkylene-OZNH; Y = CO, SO2, NHCO, C1-6 alkylene-CO, C2-6 alkylene-CO, C1-6 alkylenyl] or pharmacoal. acceptable salts thereof. These compds. are useful for the treatment or prevention of gonadotropin-releasing hormone-related diseases such as sex hormone-dependent cancer, prostate cancer, uterine cancer, breast cancer, prostatic hypertrophy, testosterone pubertal delay, hypogonadism, hypogonadotropic hypogonadism, and menstruation regulators. Thus, 5-amino-2-(4-methoxyphenyl)benzimidazole was condensed with 4-pyrrolidinobenzoic acid using di-Et cyanophosphate in the presence of Et3N and 4-dimethylaminopyridine in DMF at room temp. for 1 h to give 411 2-(4-methoxyphenyl)-5-(4-(pyrrolidinbenzoyl)amino)benzimidazole (II). (II) in vitro showed IC50 of 0.05 µg/ml for inhibiting the binding of [125I]leuprolin to a membrane sample of CHO cell expressing human GnRH receptor.

IT 263022-65-7P
RL: Baccant (Biological activity or effector, except adverse); BSU (Biological source, unclassified); SPN (Synthetic preparation); THS (Therapeutic use); BIO (Biological source); RHD (Receptor); RPR (Preparation); RUS (Regulation).
(prepn. of imidazole derivs., 88, gonadotropin-releasing hormone

L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

AN INDEX OF 1-2-3-4-5-6-7-8-9-10-11-12-13-14-15-16-17-18-19-20-21-22-23-24-25-26-27-28-29-30-31-32-33-34-35-36-37-38-39-40-41-42-43-44-45-46-47-48-49-50-51-52-53-54-55-56-57-58-59-60-61-62-63-64-65-66-67-68-69-70-71-72-73-74-75-76-77-78-79-80-81-82-83-84-85-86-87-88-89-90-91-92-93-94-95-96-97-98-99-100-101-102-103-104-105-106-107-108-109-110-111-112-113-114-115-116-117-118-119-120-121-122-123-124-125-126-127-128-129-130-131-132-133-134-135-136-137-138-139-140-141-142-143-144-145-146-147-148-149-150-151-152-153-154-155-156-157-158-159-160-161-162-163-164-165-166-167-168-169-170-171-172-173-174-175-176-177-178-179-180-181-182-183-184-185-186-187-188-189-190-191-192-193-194-195-196-197-198-199-200-201-202-203-204-205-206-207-208-209-210-211-212-213-214-215-216-217-218-219-220-221-222-223-224-225-226-227-228-229-230-231-232-233-234-235-236-237-238-239-240-241-242-243-244-245-246-247-248-249-250-251-252-253-254-255-256-257-258-259-260-261-262-263-264-265-266-267-268-269-270-271-272-273-274-275-276-277-278-279-280-281-282-283-284-285-286-287-288-289-290-291-292-293-294-295-296-297-298-299-300-301-302-303-304-305-306-307-308-309-310-311-312-313-314-315-316-317-318-319-320-321-322-323-324-325-326-327-328-329-330-331-332-333-334-335-336-337-338-339-340-341-342-343-344-345-346-347-348-349-350-351-352-353-354-355-356-357-358-359-360-361-362-363-364-365-366-367-368-369-370-371-372-373-374-375-376-377-378-379-380-381-382-383-384-385-386-387-388-389-390-391-392-393-394-395-396-397-398-399-400-401-402-403-404-405-406-407-408-409-410-411-412-413-414-415-416-417-418-419-420-421-422-423-424-425-426-427-428-429-430-431-432-433-434-435-436-437-438-439-440-441-442-443-444-445-446-447-448-449-450-451-452-453-454-455-456-457-458-459-460-461-462-463-464-465-466-467-468-469-470-471-472-473-474-475-476-477-478-479-480-481-482-483-484-485-486-487-488-489-490-491-492-493-494-495-496-497-498-499-500-501-502-503-504-505-506-507-508-509-510-511-512-513-514-515-516-517-518-519-520-521-522-523-524-525-526-527-528-529-530-531-532-533-534-535-536-537-538-539-540-541-542-543-544-545-546-547-548-549-550-551-552-553-554-555-556-557-558-559-560-561-562-563-564-565-566-567-568-569-570-571-572-573-574-575-576-577-578-579-580-581-582-583-584-585-586-587-588-589-590-591-592-593-594-595-596-597-598-599-600-601-602-603-604-605-606-607-608-609-610-611-612-613-614-615-616-617-618-619-620-621-622-623-624-625-626-627-628-629-630-631-632-633-634-635-636-637-638-639-640-641-642-643-644-645-646-647-648-649-650-651-652-653-654-655-656-657-658-659-660-661-662-663-664-665-666-667-668-669-670-671-672-673-674-675-676-677-678-679-680-681-682-683-684-685-686-687-688-689-690-691-692-693-694-695-696-697-698-699-700-701-702-703-704-705-706-707-708-709-710-711-712-713-714-715-716-717-718-719-720-721-722-723-724-725-726-727-728-729-730-731-732-733-734-735-736-737-738-739-740-741-742-743-744-745-746-747-748-749-750-751-752-753-754-755-756-757-758-759-760-761-762-763-764-765-766-767-768-769-770-771-772-773-774-775-776-777-778-779-780-781-782-783-784-785-786-787-788-789-790-791-792-793-794-795-796-797-798-799-800-801-802-803-804-805-806-807-808-809-810-811-812-813-814-815-816-817-818-819-820-821-822-823-824-825-826-827-828-829-830-831-832-833-834-835-836-837-838-839-840-841-842-843-844-845-846-847-848-849-850-851-852-853-854-855-856-857-858-859-860-861-862-863-864-865-866-867-868-869-870-871-872-873-874-875-876-877-878-879-880-881-882-883-884-885-886-887-888-889-890-891-892-893-894-895-896-897-898-899-900-901-902-903-904-905-906-907-908-909-910-911-912-913-914-915-916-917-918-919-920-921-922-923-924-925-926-927-928-929-930-931-932-933-934-935-936-937-938-939-940-941-942-943-944-945-946-947-948-949-950-951-952-953-954-955-956-957-958-959-960-961-962-963-964-965-966-967-968-969-970-971-972-973-974-975-976-977-978-979-980-981-982-983-984-985-986-987-988-989-990-991-992-993-994-995-996-997-998-999-1000-1001-1002-1003-1004-1005-1006-1007-1008-1009-1010-1011-1012-1013-1014-1015-1016-1017-1018-1019-1020-1021-1022-1023-1024-1025-1026-1027-1028-1029-1030-1031-1032-1033-1034-1035-1036-1037-1038-1039-

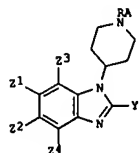


L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:117042 CAPLUS
DOCUMENT NUMBER: 132:151821
TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.
INVENTOR(S): Fumitake, Mochi, Hirohide; Kondo, Hiroshi
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008013	A2	20000217	WO 1999-1B1239	19990705
WO 2000008013	A3	20000323		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,				
DE, DK, EE, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS,				
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,				
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,				
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,				
MD, RU, T3, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,				
EE, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,				
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393621	AA	20000217	CA 1999-2393621	19990705
US 9943859	A1	20000228	US 1999-43859	19990705
US 749166	B2	20020620		
EP 1102762	A2	20010530	EP 1999-926688	19990705
EP 1102762	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO				
BR 9912778	A	20000125	BR 1999-12778	19990705
EE 200100075	A	20000127	EE 2001-75	19990705
AT 227716	E	20021115	AT 1999-926688	19990705
JP 3367945	B2	20030120	JP 2000-556346	19990705
ES 2185357	T3	20030416	ES 1999-926688	19990705
US 6172067	B1	20001019	US 1999-369208	19990805
NO 200100603	A	20010405	NO 2001-603	20010205
BG 105301	A	20011231	BG 2001-105301	20010301
US 2003109549	A1	20030612	US 2002-283604	20021030
PRIORITY APPL. INFO.:				
			WO 1998-1B1236	W 19980806
			WO 1999-1B1239	W 19990705
			US 1999-369208	A3 19990805
			US 2000-676245	B1 20000929
OTHER SOURCE(S):				
GI				
MARPAT 132151821				

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

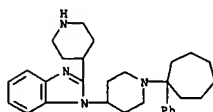


AB Title compds. [I: R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclyl; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkyl-M, alkyl-M-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NH, S, SO, SO₂, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO₂H, amino, H₂NCO, Ph, naphthyl, etc.], were prepd. as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (prepn. given) was stirred with MeNH₂ in MeOH in an autoclave at 110.degree. for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.

IT 258286-80-5P 258287-40-0P 258288-22-1P 258288-24-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

RN 258286-80-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 258287-40-0 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:545375 CAPLUS
DOCUMENT NUMBER: 129:148993
TITLE: Preparation and formulation of omega- (heteroaryloxy)alkanamines as serotonin reuptake inhibitors and 5-HT1A receptor ligands
INVENTOR(S): Audia, James E.; Hirschman, David J.; Krushinski, Joseph H., Jr.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
PATENT ASSIGNEE(S): Eli Lilly Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 373,823, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5789402	A	19980804	US 1995-471121	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111

PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
OTHER SOURCE(S): MARPAT 129:148993
GI

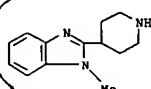


AB Title compds. [I: R1 = (CH₂)_rCHXCH₂(CH₂)_sR; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, piperidino, etc.] were prepd as serotonin reuptake inhibitors and 5-HT1A receptor ligands (no data). Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH gave (2S)-(-)-I [R1 = CH₂CH(OH)CH₂R, R = 1-benzyl-4-piperidinylamino].

IT 180160-86-5

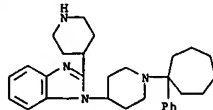
RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)

RN 180160-86-5 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



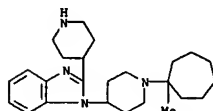
Habte

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



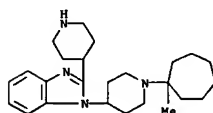
● 3 HCl

RN 258288-22-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



● 2 HCl

RN 258288-24-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 45. THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

6/24/2003

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS
 1998:394328 CAPLUS

ACCESSION NUMBER:
 129:67773

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

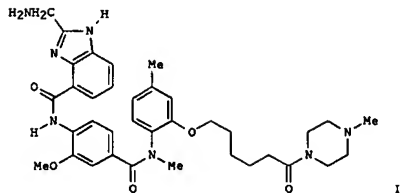
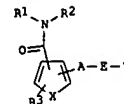
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824771	A1	19980611	WO 1997-JP4192	19971118
V: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9749672	A1	19980629	AU 1997-49672	19971118
EP 946519	A1	19991006	EP 1997-912493	19971118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001505193	T2	20010417	JP 1998-521225	19971118
US 6207693	B1	20010327	US 1999-308662	19990602
US 6316482	B1	20011113	US 2000-614132	20000711
PRIORITY APPLN. INFO.:				
AU 1996-3953 A 19961202				
WO 1997-JP4192 W 19971118				
US 1999-308662 A3 19990602				

OTHER SOURCE(S):
 GI

MARPAT 129:67773

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



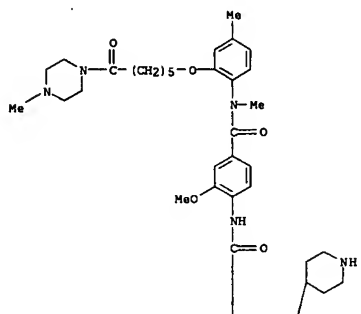
AB The title compds. [I; R1 = (un)substituted aryl, cyclo(lower)alkyl, heterocyclyl; R2 = H, lower alkyl, etc.; R3 = H, halo, OH, etc.; A = a single bond, O, NH; E = lower alkylene, lower alkenylene, etc.; X = CH:CH, CH:N, S; Y = (un)substituted aryl, condensed heterocyclyl, etc.] and their pharmaceutically acceptable salts, useful in treatment and/or prevention of hypertension, heart failure, renal insufficiency, edema, ascites, vasopressin parasensation syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic, circulation disorder, cerebrovascular disease, Meniere's disease or motion sickness, were prepd. Thus, the title compd. II showed IC50 of 1.5 nM against vasopressin 1 receptor binding.

IT 208770-38-1P 208771-48-6P
 Rk: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzamide derivs. having a vasopressin antagonistic activity)

RN 208770-38-1 CAPLUS
 CN 1H-Benzimidazole-4-carboxamide, N-[2-methoxy-4-[[methyl(4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 2-A

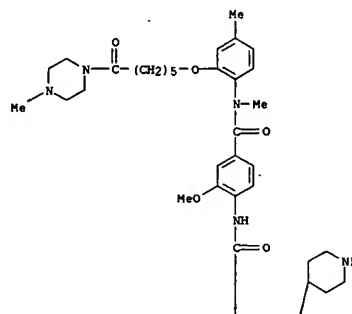


RN 208771-48-6 CAPLUS

CN 1H-Benzimidazole-4-carboxamide, N-[2-methoxy-4-[[methyl(4-methyl-2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]amino]carbonyl]phenyl]-2-(4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 2-A



● 3 HCl

REFERENCE COUNT:

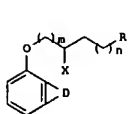
17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

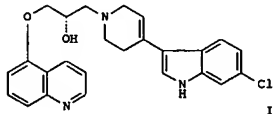
L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:250697 CAPLUS
 DOCUMENT NUMBER: 128:294709
 TITLE: Heterocyclyloxyalkanamines having effects on serotonin-related systems
 INVENTOR(S): Hibbschman, David J.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA
 SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5741789	A	19980421	US 1995-467434	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111
US 6172073	B1	20010109	US 1998-49837	19980327
PRIORITY APPLN. INFO.:			US 1995-373823	B2 19950117
			US 1995-467434	A3 19950606

OTHER SOURCE(S): MARPAT 128:294709
 GI



I

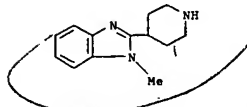


II

AB A series of heterocyclyloxy-substituted alkanamines I [m = 0-4; n = 0-1; D = atoms to complete fused pyrrolo, imidazo, pyrido, pyrazino, pyridazino, or pyrimido nucleus (only pyrido is claimed); X = H, Ph, OH, OMe; X = H or Ph when m = 0; R = certain (un)substituted cyclic, bicyclic, and spirocyclic amino groups] are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT1A receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 std. formulation examples are given. In the only example of a claimed compd. (quinoline-derived, D = pyrido), reaction of (R)-5-(oxiranylmethoxy)quinoline with 6-chloro-2-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole in EtOH gave the preferred compd. II in 87% yield.

IT 180160-86-5

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (starting material; prepn. of heterocyclyloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)
 RN 180160-86-5 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

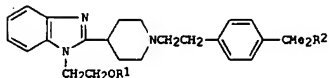


REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:126216 CAPLUS
 DOCUMENT NUMBER: 128:140702
 TITLE: Benzimidazole derivatives with antihistaminic activity
 INVENTOR(S): Orjales, Aurelio; Rubio, Victor; Bordell, Maravillas
 PATENT ASSIGNEE(S): Fabrica Espanola de Productos Quimicos y Farmaceuticos, S.A. (Faes), Spain
 SOURCE: Eur. Pat. Appl., 11 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 818454	A1	19980114	EP 1997-500099	19970603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
ES 2124167	A1	19990116	ES 1996-1236	19960604
ES 2124167	B1	19990916		
CA 2206754	AA	19971204	CA 1997-2206754	19970603
NO 9702525	A	19971205	NO 1997-2525	19970603
AU 9724672	A1	19971211	AU 1997-24672	19970603
AU 725700	B2	20001019		
RU 2182150	C2	20020510	RU 1997-108980	19970603
JP 10059961	A2	19980303	JP 1997-162010	19970604
CN 1176964	A	19980325	CN 1997-114905	19970604
CN 1105716	B	20030416		
US 5877187	A	19990302	US 1997-868743	19970604
CZ 289278	B6	20011212	CZ 1997-1723	19970604
TW 438794	B	20010607	TW 1997-86110371	19970722
PRIORITY APPLN. INFO.:			ES 1996-1236	A 19960604

OTHER SOURCE(S): MARPAT 128:140702
 GI



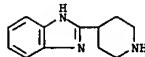
I

AB New benzimidazole derivs. I [R1 = H or a short chain hydrocarbon group such as Me, Et, iso-Pr, cyclopropyl, vinyl, etc.; R2 = CH2OH, CO2H, CO2R3, 4,4-dimethyl-2-oxazolinyl; R3 = short chain alkyl, such as Me, Et], which have high H1 antihistaminic and antiallergic activity and are devoid of effects on the central nervous and cardiovascular systems, were prepd. Thus, 2-(4-(1-(4,4-dimethyl-2-oxazolin-2-yl)-1-methylethyl)phenyl)ethyl p-toluenesulfonate was treated with 2-(4-piperidinyl)-1H-benzimidazole to give I [R1 = Et, R2 = 4,4-dimethyl-2-oxazolin-2-yl] which was hydrolyzed to I [R1 = Et, R2 = CO2H].

IT 38385-95-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of antihistaminic and antiallergic benzimidazolylpiperidinylethylphenylacetic acid derivs.)
 RN 38385-95-4 CAPLUS

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L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

6/24/2003

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ACCESSION NUMBER: 1997:672257 CAPLUS
 DOCUMENT NUMBER: 127:318965
 TITLE: Preparation of piperidine derivatives, their pharmaceutical compositions and their use in the treatment of hepatitis C
 INVENTOR(S): Diana, Guy D.; Bailey, Thomas R.; Nitz, Theodore J.
 PATENT ASSIGNEE(S): Viropharma Inc., USA
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

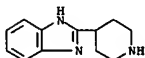
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736554	A1	19971009	WO 1997-US2865	19970225
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5830805	A	19981103	US 1996-625718	19960329
US 6127394	A	20001003	US 1998-84538	19980526
PRIORITY APPLN. INFO:		US 1996-625718 A 19960329		
OTHER SOURCE(S):		MARPAT 127:318965		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R1, R2, R3, R4 = H, alkyl, halogen, OH, alkoxy, CO2H, carbalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, NH2, ACNH, sulfonamido, (di)alkylamino, NO2; W, X = alkylene, carbonyl; Y, Z = Y1, Z1; R5 = H, alkyl, acyl; R6 = H, alkyl, halogen, OH, alkoxy, CO2H, carbalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, NH2, NHAc, sulfonamido, (di)alkylamino, NO2; n = 1 - 4; R7 = H, alkyl, acyl, n = 3 - 5] are useful in prophylaxis and treatment of hepatitis C virus infections. Imidazole II was prepd. from .alpha.,.alpha.'-dibromo-p-ylene and Et isonipecotate via amidation of diester III with trans-1,2-diaminocyclohexane and cyclocondensation of diamide IV. II is an active antiviral showing IC50 = 7 .mu.M against viral helicase.

IT 38385-95-4, 4-(Benzimidazol-2-yl)piperidine
 RI: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of piperidine derivs. and their use in the treatment of hepatitis C infections)

RN 38385-95-4 CAPLUS
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

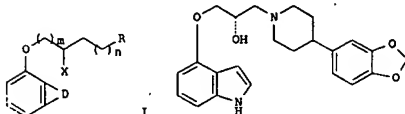
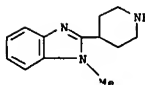


L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS

L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ACCESSION NUMBER: 1997:344806 CAPLUS
 DOCUMENT NUMBER: 127:34133
 TITLE: Heterocycloxyalkanamines having effects on serotonin-related systems
 INVENTOR(S): Audia, James E.; Hibsachman, David J.; Krushinski, Joseph H., Jr.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627196	A	19970506	US 1995-468948	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111
PRIORITY APPLN. INFO:		US 1995-373823 B2 19950117		
OTHER SOURCE(S):		MARPAT 127:34133		
GI				



AB A series of heterocycloxy-substituted alkanamines I [m = 0-4; n = 0-1; D = atoms to complete fused pyrazole, imidazole, pyridine, pyrazine, pyridazine, or pyrimidine nucleus; X = H, Ph, OH, OMe; X = H or Ph when r = 0; R = (un)substituted piperidino, piperazino, piperidinylamino, piperazinamino, morpholinamino, certain spirocyclic amino substituents, etc.] are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT1A receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 std. formulation examples are given. For instance, reaction of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-(3,4-methylenedioxypheyl)piperidine gave a preferred title compd., II, isolated as the oxalate in 71% overall yield.

IT 180160-86-5
 RI: RCT (Reactant); RACT (Reactant or reagent)
 (starting material; prepn. of heterocycloxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)

RN 180160-86-5 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

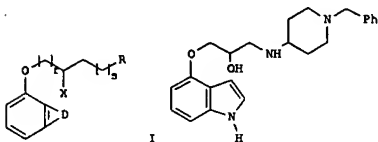
Habte

6/24/2003

L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:260110 CAPLUS
 DOCUMENT NUMBER: 126:305591
 TITLE: Preparation of heteroaryloxy alkanamines having effects on serotonin-related systems
 INVENTOR(S): Audia, James E.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614523	A	19970325	US 1995-470512	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111

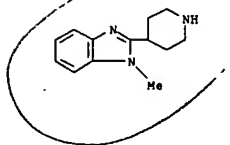
PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
 OTHER SOURCE(S): MARPAT 126:305591
 GI



II

AB The title compds. [I; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrol group; X = H, Ph, OH, Me; R = (un)substituted piperazino, piperidino, etc.], useful for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor, were prepd. and formulated. Thus, refluxing of (S)-(-)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH afforded 78% (2S)-(-)-II. Compds. I are effective at 20-25 mg/day when administered to a patient in need of or carrying out a redn. or cessation of tobacco or nicotine use. Compds. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disorder, panic disorder, migraine, pain, bulimia, premenstrual syndrome, late luteal syndrome, alcoholism, dementia of aging, social phobia, attention deficit hyperactivity disorder, impulsive control disorders, chronic

L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 fatigue syndrome, premature ejaculation, anorexia nervosa, and autism.
 IT 180160-86-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of heteroaryloxy alkanamines having effects on serotonin-related systems)
 RN 180160-86-5 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

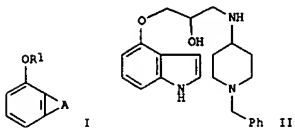
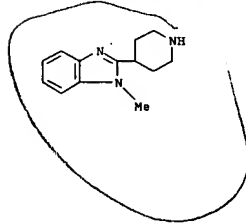


L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:15489 CAPLUS
 DOCUMENT NUMBER: 126:74755
 TITLE: Preparation and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands
 INVENTOR(S): Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 383,823, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5576321	A	19961119	US 1995-468900	19950606
CA 2210220	AA	19960725	CA 1996-2210220	19960111
WO 9622290	A1	19960725	WO 1996-US41	19960111

W: AL, AM, AU, AZ, BE, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US
 RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9646516 A1 19960807 AU 1996-46516 19960111
 AU 718975 B2 20000420
 BR 9607077 A 19971118 BR 1996-7077 19960111
 CN 1178530 A 19980408 CN 1996-192598 19960111
 JP 10512861 T2 19981208 JP 1996-522282 19960111
 EP 722941 A2 19960724 EP 1996-300286 19960115
 EP 722941 A3 20000412
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 NO 9703281 A 19970908 NO 1997-3281 19970715
 FI 9703024 A 19970716 FI 1997-3024 19970716
 PRIORITY APPLN. INFO.: US 1995-373823 B2 19950117
 US 1995-468900 A 19950606
 WO 1996-US41 W 19960111
 OTHER SOURCE(S): MARPAT 126:74755
 GI

L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 = (CH2)rCHR2CH2(CH2)sR; R = alkylamino, azinylamino, N-attached heterocyclyl, etc.; R2 = H, OH, OMe, Ph; r = 0-4; s = 0-1 were prepd. as 5-HT1A receptor ligands (no data). Thus, (S)-4-oxiranylmethoxy-1H-indole was aminated by 4-amino-1-benzylpiperidine to give title compd. (S)-II.
 IT 180160-86-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands)
 RN 180160-86-5 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



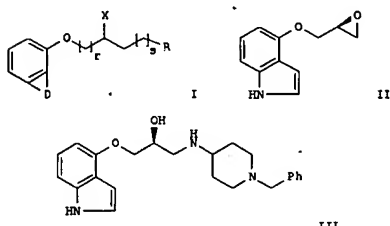
II

AB Title compds. [I; A = atoms to complete an N-contg. heterocyclic ring; R1 Habte

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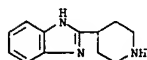
L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:509758 CAPLUS
 DOCUMENT NUMBER: 125:168021
 TITLE: Preparation of 3-(4-indolylloxy)-2-hydroxypropanamines as serotonin 1A receptor antagonists and partial agonists
 INVENTOR(S): Audia, James E.; Hilschman, David J.; Krushinski, Jr Joseph H.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
 PATENT ASSIGNEE(S): Lilly, Eli. and Co., USA
 SOURCE: Eur. Pat. Appl., 112 pp.
 CODEN: EPXXOW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE.
EP 722941	A2	19960724	EP 1996-300286	19960115
EP 722941	A3	20000412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5576321	A	19961119	US 1995-468900	19950606
PRIORITY APPLN. INFO.: US 1995-373823 A 19950117				
US 1995-468900 A 19950606				
OTHER SOURCE(S): MARPAT 125:168021				
G1				

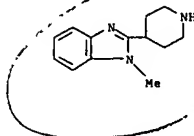


AB The title compds. [I: r = 0-4; s = 0-1; D = pyrrolo, imidazo, etc.; X = H, Ph; R = piperazino, piperidinyl, morpholino, etc.], useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, etc., were prepd. and formulated. Thus, refluxing of indole II with 4-amino-1-benzylpiperidine in MeOH for 18 h afforded 78% desired product III. In general, compds. I are effective at 20-25 mg/day.

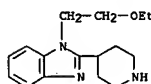
L4 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1995:658478 CAPLUS
 DOCUMENT NUMBER: 124:8747
 TITLE: Synthesis and structure-activity relationship of new piperidinyl and piperazinyl derivatives as antiallergics
 AUTHOR(S): Orjales, Aurelio; Bordell, Maravillas; Rubio, Victor
 CORPORATE SOURCE: Research Department, FAES S.A., Bilbao, 48080, Spain
 SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 707-18
 CODEN: JHTCAD; ISSN: 0022-152X
 PUBLISHER: HeteroCorporation
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of piperazinebenzothiazoles, piperazinebenzimidazoles, piperidinobenzothiazoles, piperidinobenzoxazoles and piperidinobenzimidazoles has been synthesized and their antiallergic activity evaluated by means of the passive cutaneous anaphylaxis (PCA) assay. Structure-activity relationships are discussed and related to classical antihistaminics. Piperidino derivs. with an aryl group linked to the nitrogen atom by an Et chain are the most active compds., with ID50 < 1 mg/kg po. Some of these compds. are more potent antiallergics than astemizole and terfenadine.
 IT 38385-95-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and structure-activity relationship of antiallergic benzimidazole benzoxazole and benzothiazole derivs.)
 RN 38385-95-4 CAPLUS
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 IT 180160-86-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of 3-(4-indolylloxy)-2-hydroxypropanamines as serotonin 1A receptor antagonists and partial agonists)
 RN 180160-86-5 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1990:508752 CAPLUS
 DOCUMENT NUMBER: 113:108752
 TITLE: Quantitative structure-activity relationships of H1-antihistaminic benzimidazole derivatives [Erratum to document cited in CA111(5):33121d]
 AUTHOR(S): Iemura, Ryusichi; Ohtaka, Hiroshi
 CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(6), 1801
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Errors in Table I have been cor. The errors were not reflected in the abstr. or the index entries.
 IT 110963-63-8
 RL: PRP (Properties)
 (antihistaminic activity and side effects of, structure in relation to (Erratum))
 RN 110963-63-8 CAPLUS
 CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:632675 CAPLUS

DOCUMENT NUMBER: 111:232675

TITLE: Synthesis of some benzimidazole-, pyridine-, and imidazole-derived chelating agents

AUTHOR(S): Wahlgren, Curtis G.; Addison, Anthony W.

CORPORATE SOURCE: Chem. Dep., Drexel Univ., Philadelphia, PA, 19104, USA

SOURCE: Journal of Heterocyclic Chemistry (1989), 26(3), 541-3

CODEN: JHCTAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:232675

AB Procedures are described for the prepn. of various bidentate and potentially tridentate chelating agents. These incorporate pyridyl, benzimidazole, imidazole, or phenolic moieties. Phillips condensations of carboxylic acids with o-phenylenediamines were carried out in 4 M HCl. Syntheses are reported for 2,6-bis(N-methylimidazol-2'-ylthiomethyl)pyridine, 2,6-bis(benzimidazol-2'-ylthiomethyl)pyridine, 2-(4-piperidyl)benzimidazole, 2-(3-piperidyl)benzimidazole, 2-(3'-N-methylpiperidyl)benzimidazole, 2-(3-N-methylpiperidyl)-N-methylbenzimidazole, 2-(2-hydroxybenzyl)benzimidazole and 2-(2-hydroxybenzyl)-N-methylbenzimidazole. The compds. were characterized where appropriate by their mass, UV, and ¹H-NMR spectra.

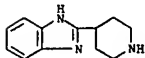
2-(2-Hydroxybenzyl)benzimidazole hydrochloride acts as a gelling agent in aq. soln.

IT 38385-95-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:433121 CAPLUS

DOCUMENT NUMBER: 111:33121

TITLE: Quantitative structure-activity relationships of H1-antihistaminic benzimidazole derivatives

AUTHOR(S): Iemura, Ryuichi; Ohtaka, Hiroshi

CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan

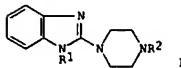
SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(4), 967-72

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The QSAR considerations of 2-(4-substituted-1-piperazinyl)benzimidazole derivs. (I, R1 = Me, Ph, CH2Ph etc.; R2 = H, Me, CH2Ph etc.) for antihistaminic activity were examd. Taking into consideration the specific conformations of some derivs., a significant correlation was obtained by using Verloop's STEAMOL parameters B3 and L of the substituent at the 1-position of the benzimidazole nucleus. The results indicated that the derivs. having a substituent with a small breadth and an appropriate length at the 1-position had potent activity. From the results, a model of the binding site is proposed. The QSAR considerations of side effects (anticholinergic activity and central nervous system depressive effect) were also examd. and the results showed that a sterically small substituent at the 1-position was required to decrease side effects.

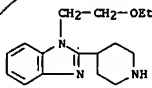
IT 110963-63-8

RL: PRP (Properties)

(antihistaminic activity and side effects of, structure in relation to)

RN 110963-63-8 CAPLUS

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:437822 CAPLUS

DOCUMENT NUMBER: 109:37822

TITLE: Preparation of (hetero)aryllalkylbenzimidazoles as cardiovascular agents

INVENTOR(S): Von der Saal, Wolfgang; Hoelck, Jens-Peter; Mertens,

Alfred; Mueller-Beckmann, Bernd; Kling, Lothar

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

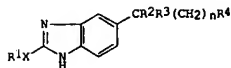
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3634066	A1	19880421	DE 1986-3634066	19861007
EP 266558	A2	19880511	EP 1987-114316	19871001
EP 266558	A3	19890809		
R1: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 8704388	A	19880408	FI 1987-4388	19871006
JP 63096174	A	19880427	JP 1987-250837	19871006
HU 45510	A2	19880728	HU 1987-4488	19871006
DD 270304	A5	19890726	DD 1987-307710	19871006
US 4882342	A	19891121	US 1987-106413	19871006
			DE 1986-3634066	19861007

PRIORITY APPLN. INFO.: CASREACT 109:37822; MARPAT 109:37822

OTHER SOURCE(S):

GI



AB The title compds. [I; R1 = (substituted) Ph, 5- or 6-membered (substituted) heterocyclyl; R2,R3 = H, alkyl; R2R3C = carbocyclic ring; R4 = cyano, (substituted) carbamoyl, hydrazinocarbonyl; X = bond, alkylene, vinylene, NH; n = 0-5] were prepd. as cardiovascular agents (no data). 4-(2-Cyanoprop-2-yl)aniline was successively acetylated, reduced with H2/Raney Ni/NH3, acetylated, nitrated, and partially hydrolyzed with KOH in MeOH to give 4-(2-(acetamidomethyl)prop-2-yl)-2-nitroaniline, which was hydrogenated over Pd/C and cyclocondensed with isonicotinoyl chloride.HCl in CH2Cl2 contg. Et3N to give 5-[2-(aminomethyl)prop-2-yl]-2-(4-pyridyl)benzimidazole.

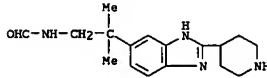
IT 115279-54-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

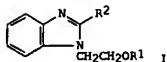
RN 115279-54-4 CAPLUS

CN Formamide, N-[2-methyl-2-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]propyl]- (9CI) (CA INDEX NAME)

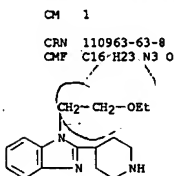
L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1987:598170 CAPLUS
 DOCUMENT NUMBER: 107:198170
 TITLE: Synthesis of benzimidazole derivatives as potential
 H1-antihistaminic agents
 AUTHOR(S): Iemura, Ryuichi; Kawashima, Tsuneo; Fukuda, Toshikazu;
 Ito, Keizo; Tsukamoto, Goro
 CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
 SOURCE: Journal of Heterocyclic Chemistry (1987), 24(1), 31-7
 CODEN: JHCTAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 107:198170
 GI



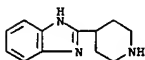
AB Disubstituted benzimidazoles I (R¹ = alkyl, vinyl, allyl, propargyl, Ph; R² = -omega.-aminoalkylamino, or 4-piperidinylamino, 4-piperidinyl, N-piperazinylmethyl, or a N-homopiperazinylmethyl group) were prepd. by different methods. I exhibited H1 antihistaminic activity.
 IT 110963-64-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antihistaminic activity of)
 RN 110963-64-9 CAPLUS
 CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)



CH 1
 CRN 110963-63-8
 CMF C16-H23 N3 O

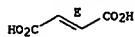
L4 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1974:146143 CAPLUS
 DOCUMENT NUMBER: 80:146143
 TITLE: 4-(Benzazol-2-yl)piperidines
 INVENTOR(S): Zarins, P.; Lavrinovich, E. S.; Arens, A.; Germane, S.
 PATENT ASSIGNEE(S): Institute of Organic Synthesis, Academy of Sciences,
 Latvian S.S.R.
 SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztzy,
 Tovarnye Znaki 1974, 51(8), 68.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 417421	T	19740228	SU 1972-1737404	19720110
PRIORITY APPLN. INFO.: SU 1972-1737404 19720110				
GI For diagram(s), see printed CA Issue.				
AB Substituted piperidines (I; Z = O, S, NH) were prepd. by condensing piperidinecarboxylic acid with the corresponding o-HZC6H4NH2 at 220-50 degree, in polyphosphoric acid.				
IT 38385-95-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN 38385-95-4 CAPLUS CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)				

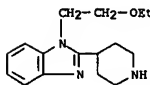


L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CMF C4 H4 O4

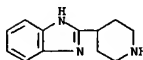
Double bond geometry as shown.



IT 110963-63-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn., fumarate salt formation, and antihistaminic activity of)
 RN 110963-63-8 CAPLUS
 CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



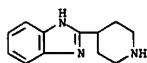
L4 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1974:95805 CAPLUS
 DOCUMENT NUMBER: 80:95805
 TITLE: Pyridinium salts. I. Reduction of
 4-(benzazol-2-yl)pyridinium salts in a neutral medium
 AUTHOR(S): Zarins, P.; Lavrinovich, E. S.; Arens, A.
 CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1974), (1),
 104-7
 CODEN: KGSSAQ; ISSN: 0132-6244
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI For diagram(s), see printed CA Issue.
 AB Thirty-four benzazolium salts (I; Z = O, S, NH, R = C1-5 alkyl, PhCH2, nonyl, PhCH2CH2, PhCH:CHCH2, X = iodide, Br, Cl), prepd. by known methods from the free base and an alkyl or aralkyl halide, were reduced by NaBH4 in neutral soln. to give 71-99% yields of benzazoles (II; R = C1-5 alkyl, nonyl, PhCH2, PhCH2CH2).
 IT 38385-95-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 38385-95-4 CAPLUS
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:564705 CAPLUS
DOCUMENT NUMBER: 77:164705
TITLE: Analgesic and tranquilizing 2-substituted
benzimidazoles
INVENTOR(S): Helsley, Grover Cleveland
PATENT ASSIGNEE(S): Robins, A. H., Co., Inc.
SOURCE: Fr. Demande, 15 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2103639	A5	19720414	FR 1971-31355	19710830
FR 2103639	B1	19750801		
GB 1354554	A	19740530	GB 1971-39662	19710824
AU 7132713	A1	19730301	AU 1971-32713	19710825
DE 2143614	A1	19730405	DE 1971-2143614	19710831

PRIORITY APPLN. INFO.: US 1970-68549 19700831 ✓
GI For diagram(s), see printed CA Issue.
AB Benzimidazoles I (X = CH₂, CH₂CH₂; R = H, Et, CH₂CH₂Ph, CH₂CH₂OPh, CH₂-CH₂COPh, CH₂Ph, CH₂CH₂OC(=O)Me, 1,4-benzodioxan-2-ylmethyl) were prepd. Thus, 34 I (X = CH₂, R = Et) was obtained by treating 3-cyano-1-ethylpyrrolidine with o-(H₂N)2-C₆H₄ in 5 HCl, followed by aq. NH₃. Its analgesic ED₅₀ in mice was 14.5 mg/kg.
IT 38385-95-49
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 38385-95-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

145.99

294.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-20.83

-20.83

STN INTERNATIONAL LOGOFF AT 11:22:18 ON 24 JUN 2003

10/071,978

Page 3

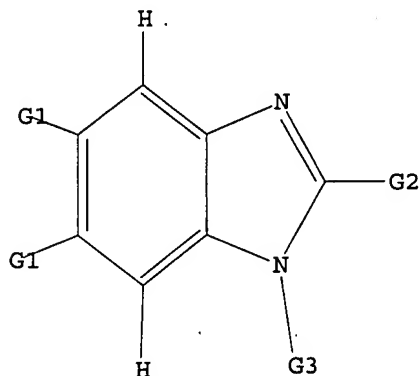
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CN,NO2,NH2,X,Ak,O

G2 Ph,NH2,Hy,X,Cb,NH,N

G3 H,Cb,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:34:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14266 TO ITERATE

7.0% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

9 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 278174 TO 292466
PROJECTED ANSWERS: 1888 TO 3246

L2 9 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:34:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 281583 TO ITERATE

100.0% PROCESSED 281583 ITERATIONS
SEARCH TIME: 00.00.08

2187 ANSWERS

L3 2187 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.55

148.76

FILE 'CAPLUS' ENTERED AT 15:35:08 ON 24 JUN 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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6/24/2003

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FILE COVERS 1907 - 24 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 23 Jun 2003 (20030623/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 594 L3

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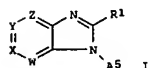
L5 35 L4 AND (BACTERI? OR ANTIBACTERI?)

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:334903 CAPLUS
 DOCUMENT NUMBER: 138:353988
 TITLE: Preparation of benzimidazoles and analogs and their use as protein kinase inhibitors
 INVENTOR(S): Edwards, Michael Louis; Cox, Paul Joseph; Amendola, Shelley; Deprete, Stephanie Daniele; Gillespy, Timothy
 Timothy,
 Herve;
 Majid,
 Tahir Nedeeem; Reader, John C.; Payne, Lloyd J.; Khan, Nawaz M.; Cherry, Michael
 Aventis Pharmaceuticals Inc., USA
 PCT Int. Appl., 711 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2003035065	A1	20030501	WO 2002-GB4763	20021024
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RW, TJ, TM</p> <p>RW: GH, GM, KE, LS, MM, MZ, SD, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
PR 2831537	A1	20030502	FR 2001-13868	20011026
<p>PRIORITY APPLN. INFO.: GB 2001-13868 A 20011026 GB 2002-6893 A 20020322 GB 2002-6895 A 20020322 US 2002-395060P P 20020711 US 2002-395151P P 20020711</p>				
OTHER SOURCE(S): MARPAT 138:353988				
GI				

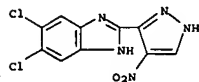


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

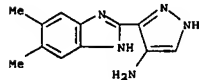
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3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
 RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; prepn. of benzimidazoles and analogs and their use as protein kinase inhibitors)

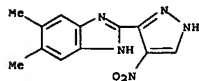
RN 518987-04-7 CAPLUS
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-05-8 CAPLUS
 CN 1H-Pyrazol-4-amine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-06-9 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-07-0 CAPLUS
 CN 1H-Pyrazol-4-amine, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The invention is directed to physiologically active benzimidazoles and analogs (shown as I; variables defined below; e.g. 2-(1H-indazol-3-yl)-1H-benzimidazole-5-carboxylic acid benzylamide) and compns. contg. such compds., and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs, as well as to novel I and to processes for their prepn. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases. For 1: X = C-R2 and W, Y and Z = CH or CR3; or W = CH, X = N, Y = CH or CR3, and Z = CH or CR3; or W = N, X = CH or CR2, Y = CH and CR3, and Z = CH or CR3; or W = N, X = CH or CR2, Y = N, and Z is CH or CR3; or W = N, X = CH or CR2, Y = CH or CR3, and Z = N; or W = N, X = N, Y = CH or CR3, and Z = CH or CR3. A5 = H or alkyl; R1 = optionally substituted aryl or heteroaryl; addnl. details are given in the claims. IC50 values for >200 I are tabulated for inhibition of KDR receptor tyrosine kinase. Particular I inhibit SYK activity with IC50's = 100 .mu.M to 0.1 nM. Particular I inhibit ITK activity with IC50's = 100 .mu.M to 1 .mu.M. I inhibit the increase in edema obsd. in a sensitized mouse ear following antigen exposure and inhibit mast cell activation and functional responses

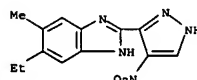
When given orally in a mouse model of passive cutaneous anaphylaxis. Methods of prepn. are claimed and hundreds of example prepn. of I and intermediates leading to them are included. For example, 20 mg 2-(1H-indazol-3-yl)-1H-benzimidazole-5-carboxylic acid benzylamide was prepd. from 20 mg 2-(1H-indazol-3-yl)-1H-benzimidazole-5-carboxylic acid and benzylamine in DMF in the presence of HBTU followed by addn. of N,N-diisopropylethylamine; the acid was prepd. in several steps starting from 3-indazolecarboxylic acid and involving intermediates Me 3-indazolecarboxylate, (1H-indazol-3-yl)methanol and 1H-indazole-3-carboxaldehyde.

IT 518987-04-7P, 5,6-Dichloro-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole 518987-05-8P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine 518987-06-9P, 5,6-Dimethyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole 518987-07-0P, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine 518987-08-1P, 5-Ethyl-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole 518987-09-2P, 3-(6-Chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine 518987-10-5P, 6-Chloro-5-methoxy-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole 518987-15-0P, 3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine 518987-16-1P, 5-Fluoro-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)-1H-benzimidazole 518987-27-4P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methoxy-1H-indazole 518987-59-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)pyrazole-4-carboxylic acid ethyl ester 518987-62-7P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methylpyrazole-4-carboxylic acid ethyl ester 518987-74-1P, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-indazole-5-carbonitrile 518987-80-9P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carbonitrile dihydrochloride 518988-05-1P 518988-10-8P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methylpyrazole-4-carboxylic acid 518988-24-4P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carboxylic acid 518988-53-9P, 3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1H-

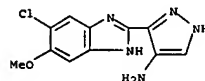
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

Me
 Et
 H2N
 NH

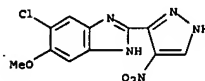
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 CN 1H-Benzimidazole, 5-ethyl-6-methyl-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-09-2 CAPLUS
 CN 1H-Pyrazol-4-amine, 3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



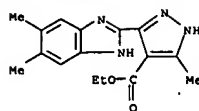
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 CN 1H-Benzimidazole, 5-chloro-6-methoxy-2-(4-nitro-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



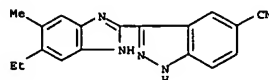
RN 518987-15-0 CAPLUS
 CN 1H-Pyrazol-4-amine, 3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

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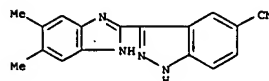
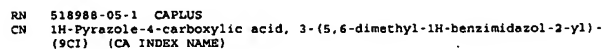
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518987-74-1 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-
(9CI) (CA INDEX NAME)

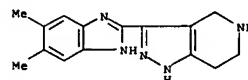


RN 518987-80-9 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-,
dihydrochloride (9CI) (CA INDEX NAME)

 $\bullet_2 \text{HCl}$ Cc1cc(C)c2c(c1)c3nc4c(ncn4C(=O)O)c5c3ncc5

RN 518988-10-8 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-

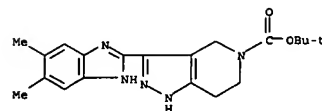
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



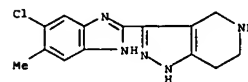
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RN      S18988-73-3  CAPLUS
CN      5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(5,6-dimethyl-1H-
        benzimidazol-2-yl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI)
(CA     INDEX NAME)

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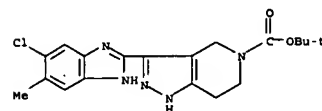
RN 518988-75-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-
4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



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RN      518988-77-7  CAPLUS
CN      5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(5-chloro-6-methyl-1H-
(CA     benzimidazol-2-yl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI)
        INDEX NAME)

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IT 109073-56-5P, 5,6-Dimethyl-2-(5-methyl-2H-pyrazol-3-yl)-1H-benzimidazole 518355-23-4P, 5,6-Dimethyl-2-(1H-indazol-3-yl)-1H-benzimidazole 518355-30-1P, 2-(4-Bromo-2H-pyrazol-3-yl)-5,6-

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 dimethyl-1H-benzimidazole 518985-31-2P, 2-(5-Ethyl-2H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518986-46-4P,
 5,6-Dimethyl-2-(5-thiophen-2-yl)-2H-pyrazol-3-yl)-1H-benzimidazole 518986-60-2P, 5,6-Dimethyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-63-5P, 6-Chloro-5-methyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-66-8P,
 6-Chloro-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-70-4P, 2-(5-Cyclopropylmethylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518986-72-4P, 2-(5-Ethylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518986-74-8P,
 5,6-Dimethyl-2-[5-(pyridin-3-ylmethylsulfanyl)-1H-pyrazol-3-yl]-1H-benzimidazole 518986-78-2P, 5,6-Dimethyl-2-(5-phenethylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-82-8P,
 5,6-Dimethyl-2-(5-benzylsulfanyl-1H-pyrazol-3-yl)-1H-benzimidazole 518986-84-0P, 6-Chloro-5-methyl-2-(5-morpholino-1H-pyrazol-3-yl)-1H-benzimidazole 518986-86-2P, 5,6-Dimethyl-2-(5-(thiophen-2-ylmethylsulfanyl)-1H-pyrazol-3-yl)-1H-benzimidazole 518987-03-6P,
 3-(5,6-Dichloro-1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine 518987-29-6P, 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-5-methoxy-1H-indazole 518987-31-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-fluoro-1H-indazole 518987-32-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-6-fluoro-1H-indazole 518987-34-3P,
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 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid propylamide 518987-72-9P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (tetrahydropyran-4-yl)amide 518987-78-5P, 3-(6-Ethyl-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isopropylamide 518987-80-8P,
 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-1H-indazole 518987-90-1P, 2-(5-Isopropyl-1H-pyrazol-3-yl)-5,6-dimethyl-1H-benzimidazole 518987-91-2P, 5,6-Dimethyl-2-(1,4,5,6-tetrahydrocyclopentapyrazol-3-yl)-1H-benzimidazole 518987-92-3P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-4-fluoro-1H-indazole 518987-94-5P, 4-Chloro-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-indazole 518987-96-7P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-chloro-1H-indazole 518987-97-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-ol 518988-04-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isopropylamide 518988-06-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (2-hydroxy-1,1-dimethylethyl)amide 518988-09-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methyl-1H-pyrazole-4-carboxylic acid cyclopropylamide 518988-20-0P, 3-(5,6-Dimethyl-1H-

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid isobutylamide 518988-21-1P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazole-4-carboxylic acid (cyclopropylmethyl)amide 518988-22-3P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-methyl-1H-pyrazole-4-carboxylic acid tert-butylamide 518988-23-3P 518988-32-4P,
 N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]isobutyramide 518988-33-5P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylbutyramide 518988-34-6P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-phenylacetamide 518988-35-7P,
 Cyclopropanecarboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-36-8P, Methoxyacetic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-37-9P, Cyclopentanecarboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-38-0P,
 Trimethylacetic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-39-1P, tert-Butylacetic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-40-4P, Butanoic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-41-5P, Isoxazole-5-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-42-6P, (S)-(+)-2-Methylbutanoic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-43-7P,
 Cyclopropanecarboxylic acid [3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-44-8P, Piperidine-1-carboxylic acid [3-(6-chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-45-9P, 3-[3-(6-Chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-dimethylurea 518988-48-2P,
 Cyclopropanecarboxylic acid [3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-52-8P, Cyclopropanecarboxylic acid [3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-54-0P, 3,5-Dimethylisoxazole-4-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-55-1P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]acetamide 518988-56-2P, Furan-3-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518988-57-3P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-methylbenzamide 518988-67-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid isopropylamide 518988-69-7P, Cyclopropyl[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]methanone 518988-70-0P, Isopropyl[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]methanone 518988-71-2P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2,2-dimethylpropan-1-one 518988-72-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methyl ester 518988-80-0P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyranol[4,3-c]pyridine 518988-82-5P,
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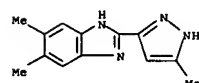
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 4-yl]-2-piperidin-1-ylacetamide 518989-94-8P,
 N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-(1H-1,2,3,4-tetrazol-1-yl)acetamide 518989-95-5P, N-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]isocotinamide 518989-96-0P, 2-Cyclopropyl-N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]acetamide 518989-97-1P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-98-3P,
 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-isopropylurea 518989-99-3P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-phenylurea 518989-00-9P, 1-Benzyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-01-0P,
 Cyclopropanecarboxylic acid [3-(5-ethoxy-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-10-1P, Cyclopropanecarboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-13-4P, Tetrahydropyran-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-15-6P, Morpholine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-17-8P, Piperidine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-19-0P, 3-[3-(6-Ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-diethylurea 518989-22-5P,
 Morpholine-4-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]methylamide 518989-28-1P, Cyclopropanecarboxylic acid [3-(6-chloro-5-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-33-8P, Piperidine-1-carboxylic acid [3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-34-9P,
 3-[3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-dimethylurea 518989-36-1P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid diethylamide 518989-37-2P, [3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]pyrrolidin-1-ylmethanone 518989-38-3P, [3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]piperidin-1-ylmethanone 518989-39-4P, [3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]morpholinomethanone 518989-40-7P, 3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid diethylamide 518989-41-8P, Morpholine-4-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-42-9P, Piperidine-1-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-43-2P, 3-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-dimethylurea 518989-47-4P

1-Cyclopropyl-3-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-48-5P, 1-[3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-49-6P,
 4-Methylpiperazine-1-carboxylic acid [3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-50-9P, Piperidine-1-carboxylic acid [3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-51-0P, 1-[3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-52-1P,
 Morpholine-4-carboxylic acid [3-(5-Fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-53-2P, 4-Methylpiperazine-1-carboxylic acid [3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-55-4P, 1-[3-(5-Chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methylurea 518989-56-5P,
 4-Methylpiperazine-1-carboxylic acid

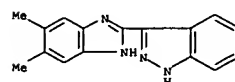
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L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 2-yl)-1H-pyrazol-4-yl]amide 518989-57-6P, 1-tert-Butyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-58-7P,
 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-ethylurea 518989-59-6P, 4-Methylpiperazine-1-carboxylic acid [3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-60-1P, 1-Cyclopropyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-62-2P, 3-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-1,1-diethylurea 518989-62-3P,
 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-isobutylurea 518989-63-4P, 1-Cyclopropylmethyl-3-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]urea 518989-64-5P,
 3-(5-Ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-indazole-5-carboxylic acid dihydrochloride 518989-80-2P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carbonitrile 518989-81-3P,
 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1H-indazole-5-carboxylic acid diethylamide 518989-82-4P, Furan-3-carboxylic acid [3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]amide 518989-83-5P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,5,6,7,8-hexahydrocycloheptapyrazole 518989-84-6P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 518989-85-7P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid diethylamide 518989-86-8P, 1-[3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-3-methylbutan-1-one 518989-87-9P, 3-(5,6-Dimethyl-1H-benzimidazol-2-yl)-5-(propane-2-sulfonyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of benzimidazoles and analogs and their use as protein kinase inhibitors)

RN 518355-25-4 CAPLUS
 CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



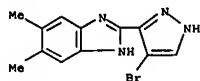
RN 518355-25-4 CAPLUS
 CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



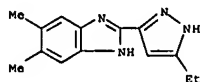
RN 518355-30-1 CAPLUS

6/24/2003

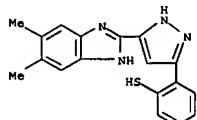
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazole, 2-(4-bromo-1H-pyrazol-3-yl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



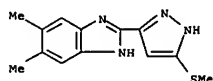
RN 518355-31-2 CAPLUS
 CN 1H-Benzimidazole, 2-(5-ethyl-1H-pyrazol-3-yl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 518986-46-4 CAPLUS
 CN Benzenethiol, 2-[5-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



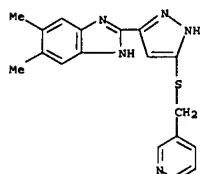
RN 518986-60-2 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-(methylthio)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



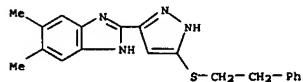
RN 518986-63-5 CAPLUS

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

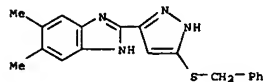
RN 518986-74-8 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(3-pyridinylmethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 518986-78-2 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-phenylethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

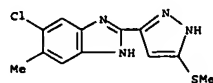


RN 518986-82-8 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(phenylmethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

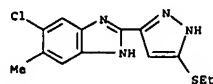


RN 518986-84-0 CAPLUS
 CN 1H-Benzimidazole, 5-chloro-6-methyl-2-[5-(4-morpholinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

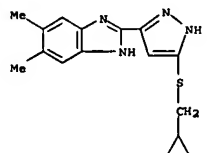
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazole, 5-chloro-2-[5-(methylthio)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



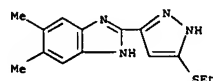
RN 518986-66-8 CAPLUS
 CN 1H-Benzimidazole, 5-chloro-2-[5-(ethylthio)-1H-pyrazol-3-yl]-6-methyl- (9CI) (CA INDEX NAME)



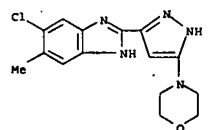
RN 518986-70-4 CAPLUS
 CN 1H-Benzimidazole, 2-[5-[(cyclopropylmethyl)thio]-1H-pyrazol-3-yl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



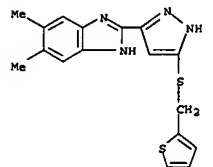
RN 518986-72-6 CAPLUS
 CN 1H-Benzimidazole, 2-[5-(ethylthio)-1H-pyrazol-3-yl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



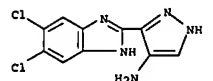
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



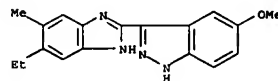
RN 518986-86-2 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-thienylmethyl)thio]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 518987-03-6 CAPLUS
 CN 1H-Pyrazol-4-amine, 3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



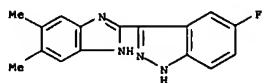
RN 518987-29-6 CAPLUS
 CN 1H-Indazole, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-5-methoxy- (9CI) (CA INDEX NAME)



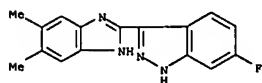
RN 518987-31-0 CAPLUS
 CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-fluoro- (9CI) (CA INDEX NAME)

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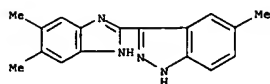
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
INDEX NAME)



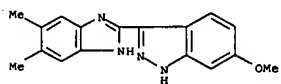
RN 518987-32-1 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-6-fluoro- (9CI) (CA INDEX NAME)



RN 518987-34-3 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-methyl- (9CI) (CA INDEX NAME)

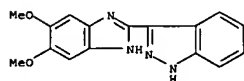


RN 518987-36-5 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-6-methoxy- (9CI) (CA INDEX NAME)

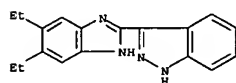


RN 518987-38-7 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(4-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

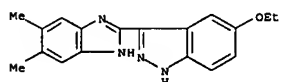
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



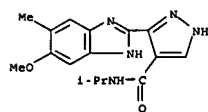
RN 518987-54-7 CAPLUS
CN 1H-Indazole, 3-(5,6-diethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-57-0 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-ethoxy- (9CI) (CA INDEX NAME)

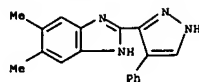


RN 518987-66-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5-methoxy-6-methyl-1H-benzimidazol-2-yl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

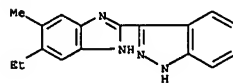


RN 518987-68-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

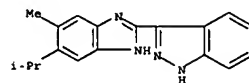
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



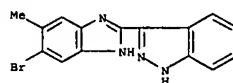
RN 518987-40-1 CAPLUS
CN 1H-Indazole, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-41-2 CAPLUS
CN 1H-Indazole, 3-(5-methyl-6-(1-methylethyl)-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

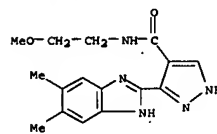


RN 518987-42-3 CAPLUS
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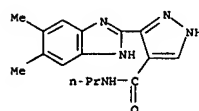


RN 518987-53-6 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethoxy-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

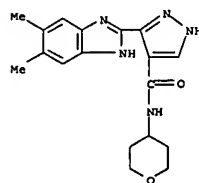
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518987-70-7 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-propyl- (9CI) (CA INDEX NAME)

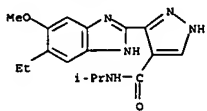


RN 518987-72-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

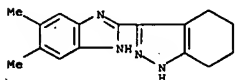


RN 518987-76-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5-ethyl-6-methoxy-1H-benzimidazol-2-yl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

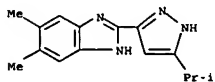
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



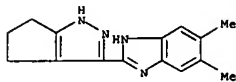
RN 518987-89-8 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(4-ethyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



RN 518987-90-1 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-(1-methylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

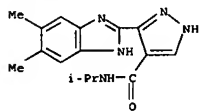


RN 518987-91-2 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-(1,4,5,6-tetrahydro-3-cyclopentapyrazolyl)- (9CI) (CA INDEX NAME)

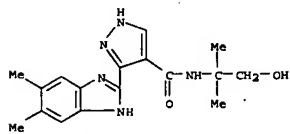


RN 518987-92-3 CAPLUS
CN 1H-Indazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4-fluoro- (9CI) (CA INDEX NAME)

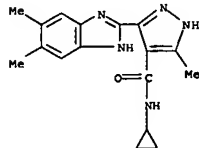
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-06-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

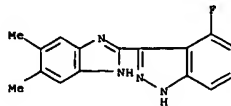


RN 518988-09-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-methyl- (9CI) (CA INDEX NAME)

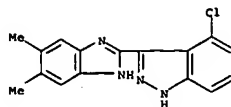


RN 518988-20-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

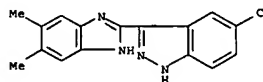
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



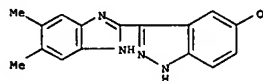
RN 518987-94-5 CAPLUS
CN 1H-Indazole, 4-chloro-3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 518987-96-7 CAPLUS
CN 1H-Indazole, 5-chloro-3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

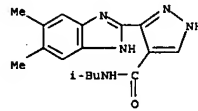


RN 518987-97-8 CAPLUS
CN 1H-Indazole-5-ol, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

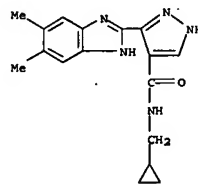


RN 518988-04-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

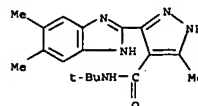
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



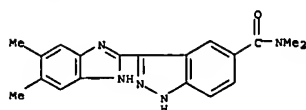
RN 518988-21-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



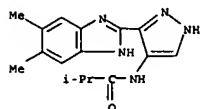
RN 518988-22-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N-(1,1-dimethylethyl)-5-methyl- (9CI) (CA INDEX NAME)



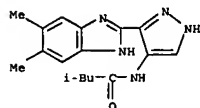
RN 518988-23-3 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

 $\bullet_2 \text{HCl}$

RN 518988-32-4 CAPLUS
CN Propanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-methyl- (9CI) (CA INDEX NAME)

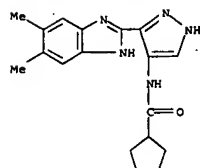


RN 518988-33-5 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

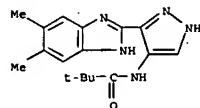


RN 518988-34-6 CAPLUS
CN Benzeneacetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

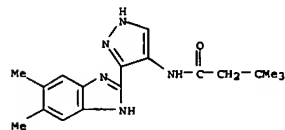
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



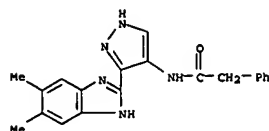
RN 518988-38-0 CAPLUS
CN Propanamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2,2-
dimethyl- (9CI) (CA INDEX NAME)



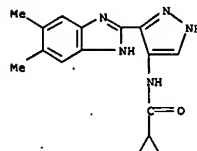
RN 518988-39-1 CAPLUS
CN Butanamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-3,3-
dimethyl- (9CI) (CA INDEX NAME)



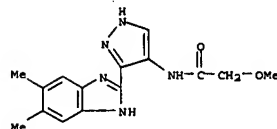
RN 518988-40-4 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-
(9CI) (CA INDEX NAME)



RN 518988-35-7 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME).

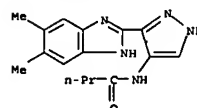


RN 518988-36-8 CAPLUS
CN Acetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-methoxy- (9CI) (CA INDEX NAME)

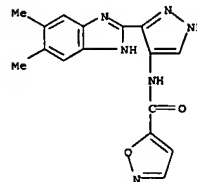


RN 518988-37-9 CAPLUS
CN Cyclopentanecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

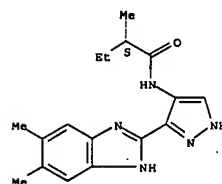


RN 518988-41-5 CAPLUS
CN 5-Isoxazolecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



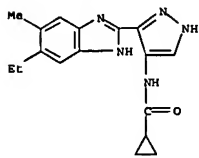
RN 518988-42-6 CAPLUS
CN Butanamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

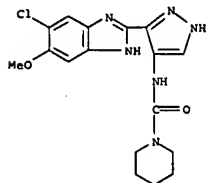


RN 518988-43-7 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

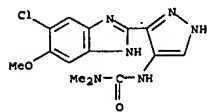
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-44-8 CAPLUS
CN 1-Piperidinecarboxamide,
N-[3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)

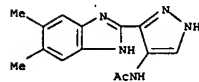


RN 518988-45-9 CAPLUS
CN Urea,
N'-[3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-
dimethyl- (9CI) (CA INDEX NAME)

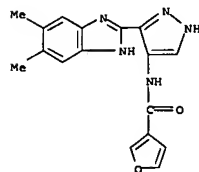


RN 518988-48-2 CAPLUS
CN Cyclopropanecarboxamide,
N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)

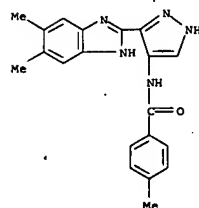
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-
(9CI) (CA INDEX NAME)



RN 518988-56-2 CAPLUS
CN 3-Furancarboxamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-
yl]- (9CI) (CA INDEX NAME)



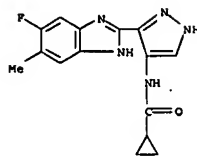
RN 518988-57-3 CAPLUS
CN Benzamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-4-
methyl- (9CI) (CA INDEX NAME)



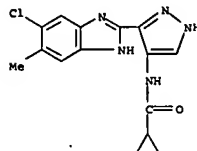
RN 518988-67-5 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide,
3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

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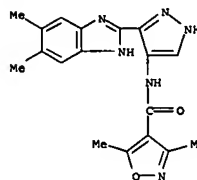
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518988-52-8 CAPLUS
CN Cyclopropanecarboxamide,
N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)

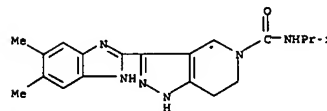


RN 518988-54-0 CAPLUS
CN 4-Isoxazolecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

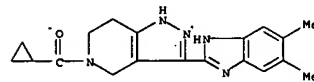


RN 518988-55-1 CAPLUS

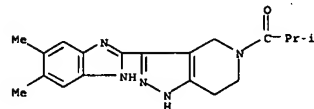
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



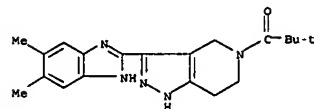
RN 518988-69-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-(cyclopropylcarbonyl)-3-(5,6-dimethyl-1H-
benzimidazol-2-yl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 518988-70-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine,
3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-
tetrahydro-5-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

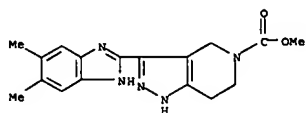


RN 518988-71-1 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-5-(2,2-
dimethyl-1-oxopropyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

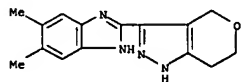


RN 518988-72-2 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(5,6-dimethyl-1H-
benzimidazol-2-yl)-1,4,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX
NAME)

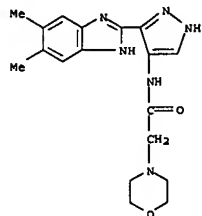
6/24/2003



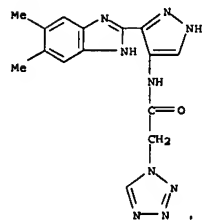
RN 518988-88-0 CAPLUS
CN Pyrano[4,3-c]pyrazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)



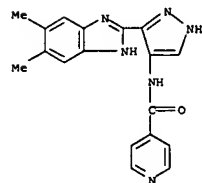
RN 518988-91-5 CAPLUS
CN 4-Morpholineacetamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



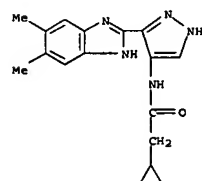
RN 518988-92-6 CAPLUS
CN Acetamide, 2-(dimethylamino)-N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



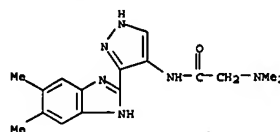
RN 518988-95-9 CAPLUS
CN 4-Pyridinecarboxamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



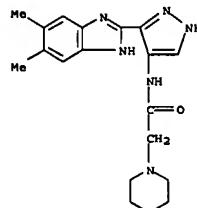
RN 518988-96-0 CAPLUS
CN Cyclopropylacetamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



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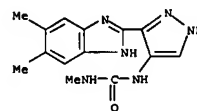


RN 518988-93-7 CAPLUS
CN 1-Piperidineacetamide,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

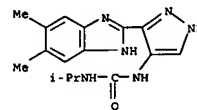


RN 518988-94-8 CAPLUS
CN 1H-Tetrazole-1-acetamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

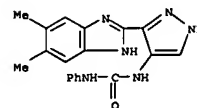
RN 518988-97-1 CAPLUS
CN Urea,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-methyl- (9CI) (CA INDEX NAME)



RN 518988-98-2 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

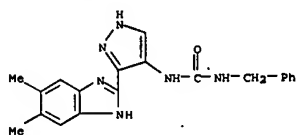


RN 518988-99-3 CAPLUS
CN Urea,
N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

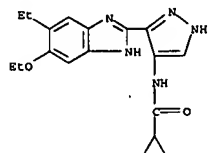


RN 518989-00-9 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

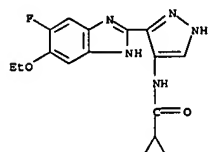
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-01-0 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

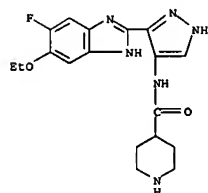


RN 518989-10-1 CAPLUS
CN Cyclopropanecarboxamide,
N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)

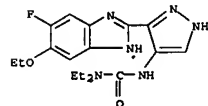


RN 518989-13-4 CAPLUS
CN 2H-Pyran-4-carboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]tetrahydro- (9CI) (CA INDEX NAME)

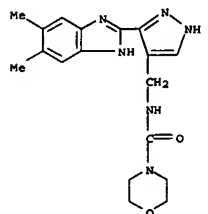
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-19-0 CAPLUS . . .
CN Urea,
N'-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-
diethyl- (9CI) (CA INDEX NAME)



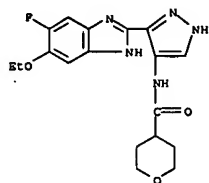
RN 518989-22-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[(3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



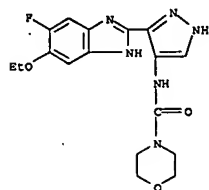
RN 518989-28-1 CAPLUS
CN 'Cyclopropanecarboxamide,
N-[3-(5-chloro-6-methoxy-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)

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L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

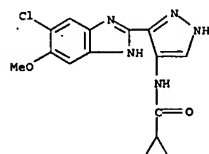


RN 518989-15-6 CAPLUS
CN 4-Morpholinecarboxamide,
N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI), (CA INDEX NAME)

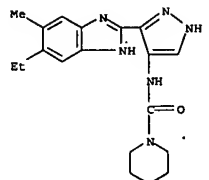


RN 518989-17-8 CAPLUS
CN 4-Piperidinecarboxamide,
N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)

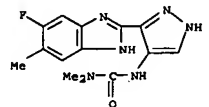
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-33-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



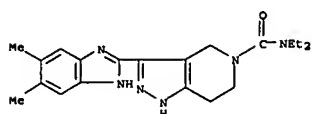
RN 518989-34-9 CAPLUS
CN Urea,
N'-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-
dimethyl- (9CI) (CA INDEX NAME)



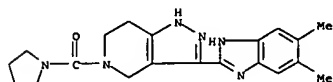
RN 518989-36-1 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide,
3-(5,6-dimethyl-1H-benzimidazol-
2-yl)-N,N-diethyl-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

6/24/2003.

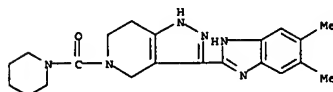
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



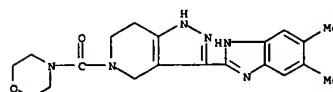
RN 518989-37-2 CAPLUS
 CN 1H-Pyrazolo[4,3-c]pyridine,
 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-
 tetrahydro-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 518989-38-3 CAPLUS
 CN 1H-Pyrazolo[4,3-c]pyridine,
 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-
 tetrahydro-5-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

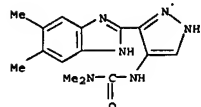


RN 518989-39-4 CAPLUS
 CN 1H-Pyrazolo[4,3-c]pyridine,
 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-
 tetrahydro-5-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

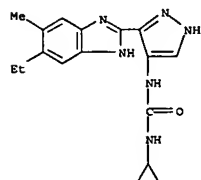


L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

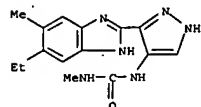
RN 518989-45-2 CAPLUS
 CN Urea, N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-
 dimethyl- (9CI) (CA INDEX NAME)



RN 518989-47-4 CAPLUS
 CN Urea, N'-cyclopropyl-N'-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-
 pyrazol-4-yl]- (9CI) (CA INDEX NAME)



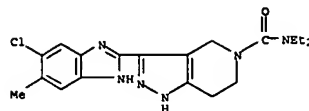
RN 518989-48-5 CAPLUS
 CN Urea, N'-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-
 methyl- (9CI) (CA INDEX NAME)



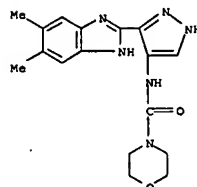
RN 518989-49-6 CAPLUS
 CN 1-Piperazinecarboxamide, N-[3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-1H-
 pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

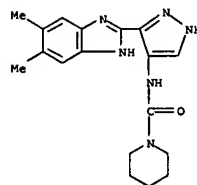
RN 518989-40-7 CAPLUS
 CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(5-chloro-6-methyl-1H-
 benzimidazol-2-yl)-N,N-diethyl-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)



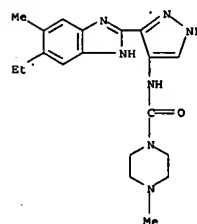
RN 518989-41-8 CAPLUS
 CN 4-Morpholinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-
 pyrazol-4-yl]- (9CI) (CA INDEX NAME)



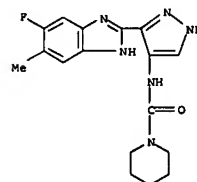
RN 518989-42-9 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-
 pyrazol-4-yl]- (9CI) (CA INDEX NAME)



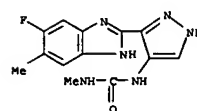
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-50-9 CAPLUS
 CN 1-Piperidinecarboxamide,
 N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-
 pyrazol-4-yl]- (9CI) (CA INDEX NAME)

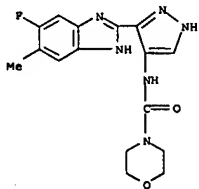


RN 518989-51-0 CAPLUS
 CN Urea, N'-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-
 methyl- (9CI) (CA INDEX NAME)

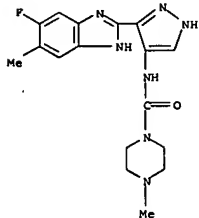


RN 518989-52-1 CAPLUS
 CN 4-Morpholinecarboxamide,
 N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-
 pyrazol-4-yl]- (9CI) (CA INDEX NAME)

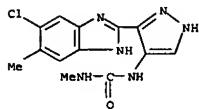
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



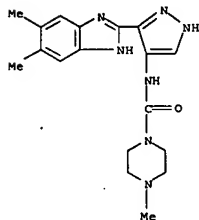
RN 518989-53-2 CAPLUS
CN 1-Piperazinecarboxamide,
N-[3-(5-fluoro-6-methyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)



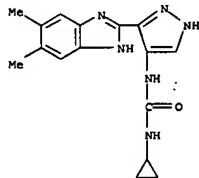
RN 518989-55-4 CAPLUS
CN Urea, N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-
methyl- (9CI) (CA INDEX NAME)



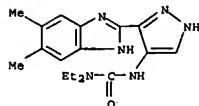
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 518989-59-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)



RN 518989-60-1 CAPLUS
CN Urea,
N-cyclopropyl-N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-
yl]- (9CI) (CA INDEX NAME)



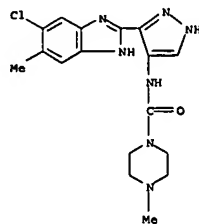
RN 518989-61-2 CAPLUS
CN Urea, N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N,N-
diethyl- (9CI) (CA INDEX NAME)



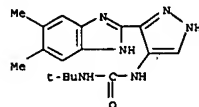
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L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

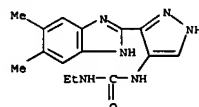
RN 518989-56-5 CAPLUS
CN 1-Piperazinecarboxamide,
N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]-4-methyl- (9CI) (CA INDEX NAME)



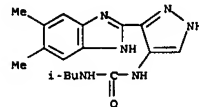
RN 518989-57-6 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(1,1-
dimethylethyl)- (9CI) (CA INDEX NAME)



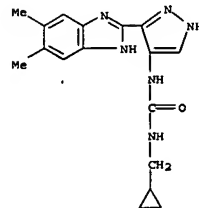
RN 518989-58-7 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-ethyl-
(9CI) (CA INDEX NAME)



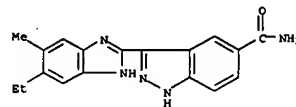
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 518989-62-3 CAPLUS
CN Urea, N-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]-N'-(2-
methylpropyl)- (9CI) (CA INDEX NAME)



RN 518989-63-4 CAPLUS
CN Urea, N-(cyclopropylmethyl)-N'-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1H-
pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 518989-64-5 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-(5-ethyl-6-methyl-1H-benzimidazol-2-yl)-,
dihydrochloride (9CI) (CA INDEX NAME)

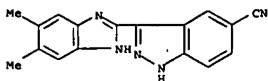


● 2 HCl

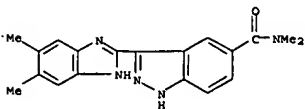
RN 518990-80-2 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI)
(CA INDEX NAME)

6/24/2003

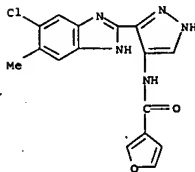
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518990-81-3 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



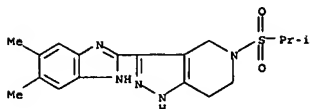
RN 518990-82-4 CAPLUS
CN 3-Furancarboxamide, N-[3-(5-chloro-6-methyl-1H-benzimidazol-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 518990-83-5 CAPLUS
CN Cycloheptapyrazole, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,5,6,7,8-hexahydro- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 518990-87-9 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine,
3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 518986-62-4P, 5,6-Dimethyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-64-6P, 6-Chloro-5-methyl-2-(5-methylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-67-9P, 6-Chloro-2-(5-ethylsulfanyl-1H-pyrazol-3-yl)-5-methyl-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-71-5P, 2-(5-Cyclopropylmethylsulfanyl)-1H-pyrazol-3-yl)-5,6-dimethyl-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-73-7P, 5,6-Dimethyl-2-(5-ethylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-75-9P,

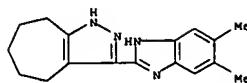
5,6-Dimethyl-2-[5-[(pyridin-3-yl)methyl]sulfanyl]-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-79-3P, 5,6-Dimethyl-2-(5-phenethylsulfanyl-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-83-9P, 2-(5-Benzylsulfanyl-1H-pyrazol-3-yl)-5,6-dimethyl-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-85-1P, 6-Chloro-5-methyl-2-(5-morpholino-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518986-87-3P, 5,6-Dimethyl-2-[5-(thiophen-2-ylmethylsulfanyl)-1H-pyrazol-3-yl)-1-[(2-trimethylsilyl)ethoxy]methyl]-1H-benzimidazole 518989-03-2P, Cyclopropanecarboxylic acid [3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide 518989-12-3P, Cyclopropanecarboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide 518989-14-5P, Tetrahydropyran-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide 518989-16-7P, Morpholine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide 518989-18-9P, Piperidine-4-carboxylic acid [3-(6-ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]amide 518989-20-3P,

3-[3-(6-Ethoxy-5-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]-1,1-diethylurea 518989-23-6P, Morpholine-4-carboxylic acid [2,4-dimethoxybenzyl]-[3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-yl]methyl]amide 518990-67-5P, 3-(5-Ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydropyran-2-yl)-1H-pyrazol-4-ylamine 518990-69-7P,

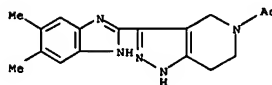
6-Ethoxy-5-fluoro-2-[4-amino-1-(tetrahydropyran-2-yl)-1H-pyrazol-3-yl]-1H-

Habt

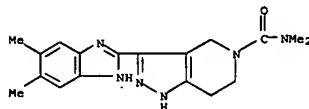
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



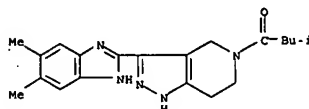
RN 518990-84-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine,
5-acetyl-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-
4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 518990-85-7 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide,
3-(5,6-dimethyl-1H-benzimidazol-2-yl)-1,4,6,7-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



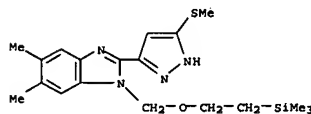
RN 518990-86-8 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine,
3-(5,6-dimethyl-1H-benzimidazol-2-yl)-4,5,6,7-tetrahydro-5-(3-methyl-1-oxobutyl)- (9CI) (CA INDEX NAME)



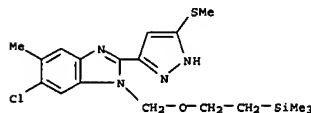
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

benzimidazole 518990-73-3P, 5-Ethoxy-6-ethyl-2-[4-nitro-1-(tetrahydropyran-2-yl)-1H-pyrazol-3-yl]-1H-benzimidazole 518990-75-5P, 6-Ethoxy-5-fluoro-2-[4-nitro-1-(tetrahydropyran-2-yl)-1H-pyrazol-3-yl]-1H-benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazoles and analogs and their use as protein kinase inhibitors)

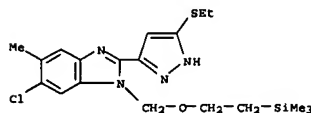
RN 518986-62-4 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-(methylthio)-1H-pyrazol-3-yl]-1-[(2-trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



RN 518986-64-6 CAPLUS
CN 1H-Benzimidazole, 6-chloro-5-methyl-2-[5-(methylthio)-1H-pyrazol-3-yl]-1-[(2-trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



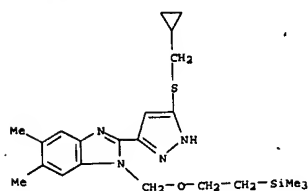
RN 518986-67-9 CAPLUS
CN 1H-Benzimidazole, 6-chloro-2-[5-(ethylthio)-1H-pyrazol-3-yl]-5-methyl-1-[(2-trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



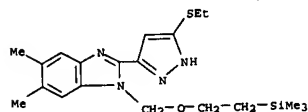
RN 518986-71-5 CAPLUS
CN 1H-Benzimidazole, 2-[5-((cyclopropylmethyl)thio)-1H-pyrazol-3-yl]-5,6-dimethyl-1-[(2-trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

10/071,978

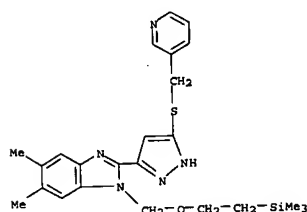
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518986-73-7 CAPLUS
CN 1H-Benzimidazole, 2-[5-(ethylthio)-1H-pyrazol-3-yl]-5,6-dimethyl-1-[(2-(trimethylsilyl)ethoxy)methyl]- (9CI) (CA INDEX NAME)

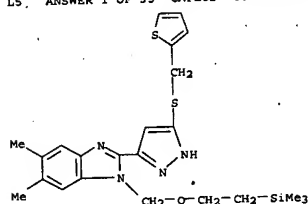


RN 518986-75-9 CAPLUS
CN 1H-Benzimidazole, 2-[5-[(3-pyridinylmethylthio)-1H-pyrazol-3-yl]-5,6-dimethyl-1-[(2-(trimethylsilyl)ethoxy)methyl]- (9CI) (CA INDEX NAME)

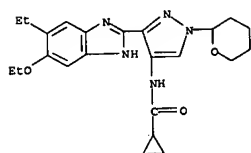


RN 518986-79-3 CAPLUS

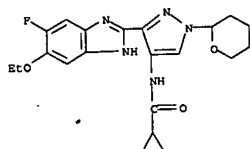
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-03-2 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



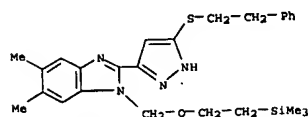
RN 518989-12-3 CAPLUS
CN Cyclopropanecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



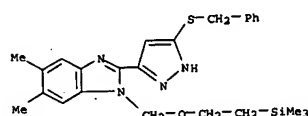
RN 518989-14-5 CAPLUS
CN 2H-Pyran-4-carboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]tetrahydro- (9CI) (CA INDEX NAME)

Page 18

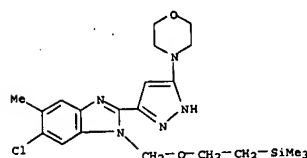
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-phenylethylthio)-1H-pyrazol-3-yl]-1-[(2-(trimethylsilyl)ethoxy)methyl]- (9CI) (CA INDEX NAME)



RN 518986-83-9 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(phenylmethylthio)-1H-pyrazol-3-yl]-1-[(2-(trimethylsilyl)ethoxy)methyl]- (9CI) (CA INDEX NAME)

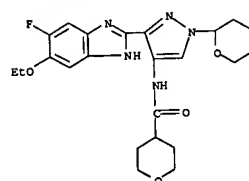


RN 518986-85-1 CAPLUS
CN 1H-Benzimidazole, 6-chloro-5-methyl-2-[5-(4-morpholinyl)-1H-pyrazol-3-yl]-1-[(2-(trimethylsilyl)ethoxy)methyl]- (9CI) (CA INDEX NAME)

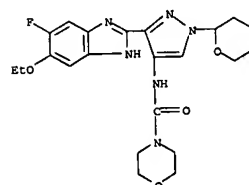


RN 518986-87-3 CAPLUS
CN 1H-Benzimidazole, 5,6-dimethyl-2-[5-[(2-thienylmethylthio)-1H-pyrazol-3-yl]-1-[(2-(trimethylsilyl)ethoxy)methyl]- (9CI) (CA INDEX NAME)

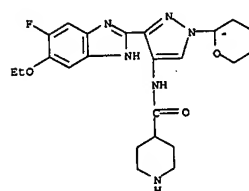
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518989-16-7 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 518989-18-9 CAPLUS
CN 4-Piperidinecarboxamide, N-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

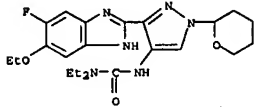


RN 518989-20-3 CAPLUS
CN Urea, N'-[3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-

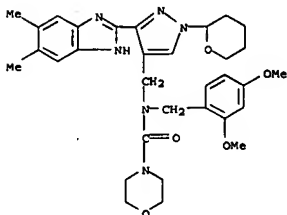
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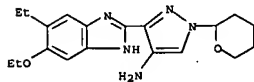
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
pyran-2-yl)-1H-pyrazol-4-yl)-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 518989-23-6 CAPLUS
CN 4-Morpholinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-N-[(3-{5,6-dimethyl-1H-benzimidazol-2-yl}-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



RN 518990-67-5 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-ethoxy-6-ethyl-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)



RN 518990-69-7 CAPLUS
CN 1H-Pyrazol-4-amine, 3-(5-ethoxy-6-fluoro-1H-benzimidazol-2-yl)-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2003 ACS

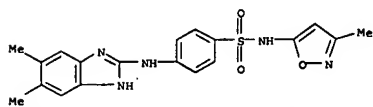
ACCESSION NUMBER: 2002:678755 CAPLUS
DOCUMENT NUMBER: 138:170171
TITLE: Antimicrobial activity of some novel thiourea, hydrazine, fused pyrimidine and 2-(4-substituted)anilino benzoxazole derivatives containing sulfonamido moieties
AUTHOR(S): El-Gaby, Mohamed S. A.; Micky, Jehane A.; Taha, Nadia M.; El-Sharief, Marwa A. M. Sh.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Al-Azhar University at Assiut, Assiut, 71524, Egypt
SOURCE: Journal of the Chinese Chemical Society (Taipei, Taiwan) (2002), 49(3), 407-414
CODEN: JCCJAC; ISSN: 0009-4536
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Addn. of isothiocyanatosulfonamides, e.g., I (R = Ac), to arom. amines, e.g., 4-aminopyridine, gave 1,3-disubstituted thioureas, e.g., II. Interaction of two mols. of I [R = 5-(3,4-dimethyl)isoxazolyl] with 4-H₂NCH₂CH₂NH₂ gave bis-thiourea III. Cyclocondensation of I (R = Ac) with 2-aminobenzoic acid gave thioxoquinazolinones, e.g., IV. Analogous cyclocondensation of I [R = 5-(3,4-dimethyl)isoxazolyl] with 5-amino-1-phenyl-pyrazole-4-carboxylic acid gave thioxopyrazolopyrimidinone V. 2-Anilino benzoxazoles, e.g., VI (X = O, S, NH), were obtained via cyclocondensation of I [R = Ac, 5-(3,4-dimethyl)isoxazolyl] with 1,2-dimucleophiles. Prep'd. compds. were tested for antimicrobial activity.

IT 497251-24-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(antimicrobial anilino benzoxazoles prep'd. via cyclocondensation of isothiocyanatosulfonamides with dinucleophiles)

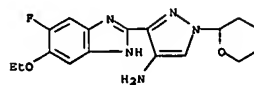
RN 497251-24-8 CAPLUS
CN Benzenesulfonamide, 4-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-N-(3-methyl-5-isoxazolyl)- (9CI) (CA INDEX NAME)



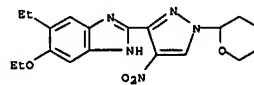
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

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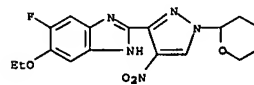
L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 518990-73-3 CAPLUS
CN 1H-Benzimidazole, 5-ethoxy-6-ethyl-2-[4-nitro-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 518990-75-5 CAPLUS
CN 1H-Benzimidazole, 5-ethoxy-6-fluoro-2-[4-nitro-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L5 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:555331 CAPLUS
DOCUMENT NUMBER: 137:114253
TITLE: Sunscreen compositions comprising UV filters and non-photosynthetic filamentous bacteria
INVENTOR(S): Forestier, Serge; Fiandino, Cecile
PATENT ASSIGNER(S): L'oreal, Fr.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056858	A1	20020725	WO 2002-PR77	20020110
M: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
FR 2819407	A1	20020719	FR 2001-685	20010118
FR 2819407	B1	20030221		

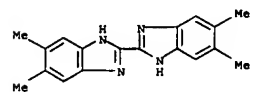
PRIORITY APPL. INFO.: MARPAT 137:114253
OTHER SOURCE(S): FR 2001-685 A 20010118

AB The invention concerns cosmetic or dermatol. compns. for topical use, in particular for solar protection of the skin and/or the hair, characterized in that they comprise, in a cosmetically acceptable support, at least:

(a) an insol. org. UV filter with av. elementary particle size ranging between 10 nm and 5 .mu.m, and (b) at least an ext. of at least a non-photosynthetic filamentous bacterium. The invention also concerns their uses for skin and hair protection against UV radiation effects. Prep'n. of an aq. ext. of Vitreoscilla filiformis is described.

IT 14468-52-1
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(sunscreen compns. comprising UV filters and non-photosynthetic filamentous bacteria)

RN 14468-52-1 CAPLUS
CN 2,2'-Bi-1H-benzimidazole, 5,5',6,6'-tetramethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L5 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:554265 CAPLUS
DOCUMENT NUMBER: 137:243205
TITLE: Comparison of the computer programs DEREK and TOPKAT to predict bacterial mutagenicity
AUTHOR(S): Carliello, Neal F.; Wilson, John D.; Britt, Ben H.; Wedd, David J.; Burlinson, Brian; Gombar, Vijay
CORPORATE SOURCE: Safety Assessment, GlaxoSmithKline Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Mutagenesis (2002), 17(4), 321-329
CODEN: MUTAEX; ISSN: 0267-8357
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The performance of two computer programs, DEREK and TOPKAT, was examined with regard to predicting the outcome of the Ames bacterial mutagenicity assay. The results of over 400 Ames tests conducted at Glaxo Wellcome (now GlaxoSmithKline) during the last 15 yr on a wide variety of chem. classes were compared with the mutagenicity predictions of both computer programs. DEREK was considered concordant with the Ames assay if (i) the Ames assay was neg. (not mutagenic) and no structural alerts for mutagenicity were identified or (ii) the Ames assay was pos. (mutagenic) and at least one structural alert was identified. Conversely, the DEREK output was considered discordant if (i) the Ames assay was neg. and any structural alert was identified or (ii) the Ames assay was pos. and no structural alert was identified. The overall concordance of the DEREK program with the Ames results was 65% and the overall discordance was 35% based on over 400 compds. About 23% of the test mols. were outside the permissible limits of the optimum prediction space of TOPKAT. Another 4% of the compds. were either not processable or had indeterminate mutagenicity predictions; these mols. were excluded from the TOPKAT anal. If the TOPKAT probability was (i) .gtoreq.0.7 the mol. was predicted to be mutagenic, (ii) .ltoreq.0.3 the compd. was predicted to be non-mutagenic and (iii) between 0.3 and 0.7 the prediction was considered indeterminate. From over 300 acceptable predictions, the overall TOPKAT concordance was 73% and the overall discordance was 27%. While the overall concordance of the TOPKAT program was higher than DEREK, TOPKAT fared more poorly than DEREK in the crit. Ames-pos. category, where 60% of the compds. were incorrectly predicted by TOPKAT as neg. but were mutagenic in the Ames test. For DEREK, 54% of the Ames-pos. mols. had no structural alerts and were predicted to be non-mutagenic. Alternative methods of analyzing the output of the programs to increase the accuracy with Ames-pos. compds. are discussed.
IT 176161-24-3
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (computer programs DEREK and TOPKAT to predict bacterial mutagenicity)
RN 176161-24-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-(1-methylethyl)-1-..beta.-L-

L5 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:539502 CAPLUS
DOCUMENT NUMBER: 137:114229
TITLE: Amphiphilic polymer-based photoprotective compositions
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE: Boutelet, Karl; Candau, Didier
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055045	A1	20020718	WO 2002-FR28	20020104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KQ, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2819180	A1	20020712	FR 2001-387	20010111
FR 2819180	B1	20030221		

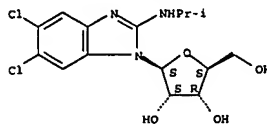
PRIORITY APPLN. INFO.: MARPAT 137:114229
OTHER SOURCE(S):
AB The invention relates to a cosmetic or dermatol. compn. comprising at least one photoprotective system capable of filtering UV rays and contg. at least one mineral or org. insol. UV filter having a particle size varying between 5 nm and 5 .mu.m, characterized by the fact that it also comprises at least one amphiphilic polymer contg. at least one monomer having ethylenic unsatn. with a sulfonic group, in free form or partially or totally neutralized, and comprising at least one hydrophobic part.
The invention also relates to the application of said compns. for the protection of the skin and hair against the effects of UV rays. A polymer was obtained by polymn. of Genapol T-250 methacrylate 10, 2-acrylamido-2-methylpropane sulfonic acid neutralized by ammonia 90, trimethylol propane triacrylate 1.8, dilauryl peroxide 1, and tert-butanol 300 g. An sunscreen contained 2-acrylamido-2-methylpropane sulfonic acid-dodecylacrylamide neutralized with sodium hydroxide 1.5, Uvinul N539 9, Bu methoxydibenzoylmethane 2.5, Drometrizole trisiloxane 0.75, decyl cocate 9, glycerol 4, propylene glycol 4, NaEDTA 0.1, Mexoryl SX 1.5, triethanolamine 0.25, coated titanium oxide 16.7, preservatives and water q.s. 100 g.
IT 14468-52-1
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (amphiphilic polymer-based photoprotective compns. with at least one monomer having ethylenic unsatn. with sulfonic group and comprising hydrophobic part)

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L5 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

ribofuranosyl- (9CI) (CA INDEX NAME)

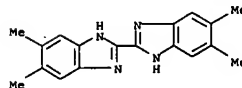
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L5 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 14468-52-1 CAPLUS
CN 2,2'-Bi-1H-benzimidazole, 5,5',6,6'-tetramethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

6/24/2003

L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:526050 CAPLUS
 DOCUMENT NUMBER: 135:107149
 TITLE: Synthesis, antibacterial activity and RNA polymerase inhibition of phenylamine derivs.
 INVENTOR(S): Li, Leping; Chen, Xiaohui; Fan, Pingchen; Mihalic, Jeffrey Thomas; Cutler, Serena
 PATENT ASSIGNEE(S): Tularik Inc., USA
 SOURCE: PCT Int. Appl., 104 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051456	A2	20010719	WO 2001-US1219	20010112
WO 2001051456	A3	20011220		

W: AR, AQ, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TK, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TN

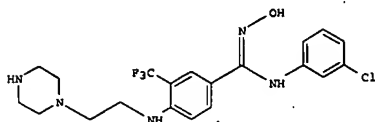
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002045749 A1 20020418 US 2001-759633 20010112
 EP 1246795 A2 20021009 EP 2001-914329 20010112

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO, MK, CY, AL, TR

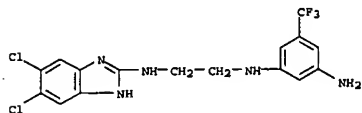
PRIORITY APPLN. INFO.: US 2000-175892P P 20000113
 WO 2001-US1219 W 20010112

OTHER SOURCE(S): MARPAT 135:107149
 GI

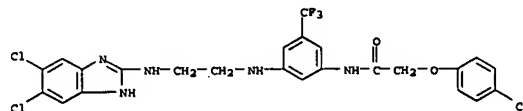


AB Synthesis of hydroxyamides, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against *S. aureus* and *E. coli* are given.
 IT 350488-16-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

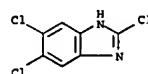
L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1,3-Benzenediamine,
 N-[2-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]ethyl]-
 5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



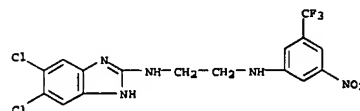
L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamide deriva.)
 RN 350488-16-3 CAPLUS
 CN Acetamide, 2-(4-chlorophenoxy)-N-[3-[[2-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]ethyl]amino]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT 16865-11-5, 2,5,6-Trichlorobenzimidazole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamide deriva.)
 RN 16865-11-5 CAPLUS
 CN 1H-Benzimidazole, 2,5,6-trichloro- (9CI) (CA INDEX NAME)

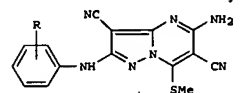


IT 350488-50-5P 350488-51-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamide deriva.)
 RN 350488-50-5 CAPLUS
 CN 1,2-Ethanediamine, N-(5,6-dichloro-1H-benzimidazol-2-yl)-N'-[3-nitro-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

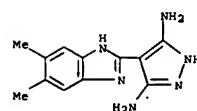


RN 350488-51-6 CAPLUS

L5 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:516900 CAPLUS
 DOCUMENT NUMBER: 135:272933
 TITLE: Some reactions with ketene dithioacetals. Part I. Synthesis of antimicrobial pyrazolo[1,5-a]pyrimidines via the reaction of ketene dithioacetals and 5-aminopyrazoles
 AUTHOR(S): Zaharan, Medhat A.; El-Sharief, Ahmed M. Sh.;
 El-Gaby,
 Mohamed S. A.; Ammar, Youssy A.; El-Said, Usama H.
 CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Nasser City, Egypt
 SOURCE: Farmaco (2001), 56(4), 277-283
 CODEN: PRMCE8; ISSN: 0014-827X
 PUBLISHER: Elsevier Science S.A.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:272933
 GI

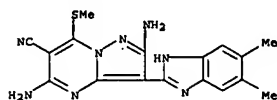


AB Pyrazolo[1,5-a]pyrimidines such as I (R = 2-, 4-OEt) were synthesized via the reaction of ketene dithioacetals and 5-aminopyrazoles. The antibacterial and antifungal activities of some selected compds. were reported.
 IT 134259-21-5P 344043-50-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of antimicrobial pyrazolo[1,5-a]pyrimidines via reaction of ketene dithioacetals with 5-aminopyrazoles)
 RN 134259-21-5 CAPLUS
 CN 1H-Pyrazole-3,5-diamine, 4-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 344043-50-5 CAPLUS
 CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-(5,6-dimethyl-1H-benzimidazol-2-yl)-7-(methylthio)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L5 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:112638 CAPLUS
 DOCUMENT NUMBER: 134:340472

TITLE: Heterocycles of biological importance. Part 5. The formation of novel biologically active pyrimido[1,2-a]benzimidazoles from allenic nitriles and aminobenzimidazoles
 AUTHOR(S): Forche Asobo, Peter; Wahe, Helene; Mbafor, Joseph Tanyi; Nkengfack, Augustin Ephraim; Pomm, Zacharias Tane; Sopbus, Emmanuel Fondjo; Dopp, Dietrich
 CORPORATE SOURCE: Department of Organic Chemistry, University of Yaounde

SOURCE: 1, Yaounde, Cameroon
 Journal of the Chemical Society, Perkin Transactions 1

(2001), (4), 457-461
 CODEN: JCSPCE; ISSN: 1472-7781

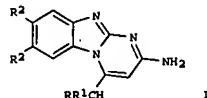
PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:340472

GI



AB The reaction of allenic nitriles RR1C:C:CHCN [R = Me, R1 = Et, Pr, iso-Bu:

R = R1 = Et; RR1 = (CH2)5] with 2-aminobenzimidazole or 2-amino-5,6-dimethylbenzimidazole gives 2-aminopyrimido[1,2-a]benzimidazoles 5 (shown as I; same R, R1; R2 = H, Me) in very good 71-92% yields. The pharmacol. screening of compds. I [R = Me, R1 = Et,

R2 = H 5a, R = R1 = Et, R2 = Me 5d and RR1 = (CH2)5, R2 = H 5i] shows that they possess slight antibiotic and antiarrhythmic properties.

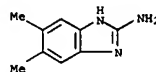
29096-75-1

IT RL: RCT (Reactant); RACT (Reactant or reagent)

(cyclization of allenic nitriles with aminobenzimidazoles)

RN 29096-75-1 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:911254 CAPLUS
 DOCUMENT NUMBER: 134:71595

TITLE: Preparation of indolylbenzimidazole derivatives as antibacterials

INVENTOR(S): Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard F.; Xie,

Roger

PATENT ASSIGNEE(S): Leijie
 Sepsacor, Inc., USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

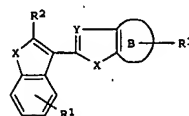
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078761	A1	20001228	WO 2000-US17371	20000623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-140570P P 19990623

OTHER SOURCE(S): MARPAT 134:71595

GI



AB The title heteroarom. compds. I [X = NR, O, S; Y = N, NO; B = fused ring; R1 = Me, alkyl, aryl, etc.; R2 = H, heteroalkyl, cycloalkyl, etc.], antibacterials or anti-infectives or both, were prepd. E.g., the product resulting from reaction of 5-bromo-3-indolecarboxaldehyde and 4-chloro-o-phenylenediamine was prepd. and tested for antibacterial activity.

IT 314248-65-2P 314248-66-3P 314248-68-5P

314248-70-9P

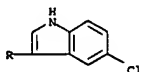
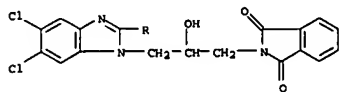
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

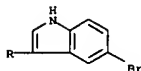
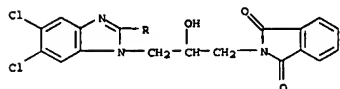
(prepn. of indolylbenzimidazole derivs. as antibacterials)

RN 314248-65-2 CAPLUS

L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Indole-1,3(2H)-dione,
 2-[3-[5,6-dichloro-2-(5-chloro-1H-indol-3-yl)-
 1H-benzimidazol-1-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

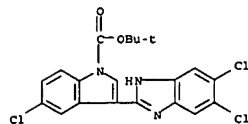


RN 314248-66-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

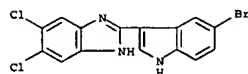


RN 314248-68-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

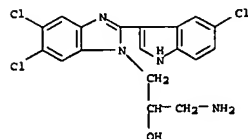
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



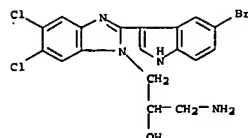
RN 314248-69-6 CAPLUS
 CN 1H-Benzimidazole-1-ethanol, .alpha.-(aminomethyl)-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 314248-74-3 CAPLUS
 CN 1H-Benzimidazole-1-ethanol, .alpha.-(aminomethyl)-2-(5-chloro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

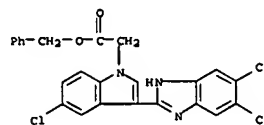


RN 314248-75-4 CAPLUS
 CN 1H-Benzimidazole-1-ethanol, .alpha.-(aminomethyl)-2-(5-bromo-1H-indol-3-yl)-5,6-dichloro- (9CI) (CA INDEX NAME)

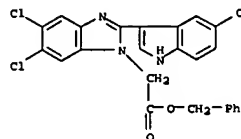


Habte

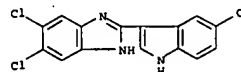
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 314248-70-9 CAPLUS
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(5-chloro-1H-indol-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



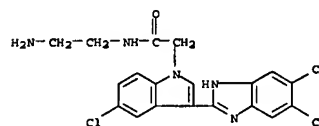
IT 314248-63-0P 314248-64-1P 314248-63-6P
 314248-74-3P 314248-75-4P 314248-77-6P
 314248-78-7P 314248-79-8P 314248-82-3P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of indolylbenzimidazole derivs. as antibacterials)
 RN 314248-63-0 CAPLUS
 CN 1H-Benzimidazole, 5,6-dichloro-2-(5-chloro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



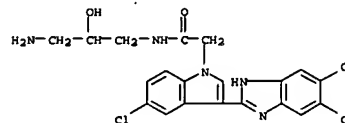
RN 314248-64-1 CAPLUS
 CN 1H-Indole-1-carboxylic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

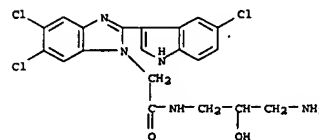
RN 314248-77-6 CAPLUS
 CN 1H-Indole-1-acetamide, N-(2-aminoethyl)-5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 314248-78-7 CAPLUS
 CN 1H-Indole-1-acetamide, N-(3-amino-2-hydroxypropyl)-5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



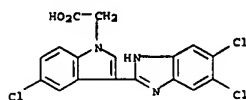
RN 314248-79-8 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, N-(3-amino-2-hydroxypropyl)-5,6-dichloro-2-(5-chloro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 314248-82-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-chloro-3-(5,6-dichloro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

6/24/2003

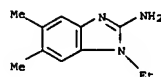
L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



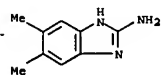
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:544029 CAPLUS
DOCUMENT NUMBER: 133:248277
TITLE: Chromatographic behaviour and lipophilicity of some benzimidazole derivatives
AUTHOR(S): Perisic-Janjic, Nada U.; Podunavac-Kuzmanovic, Sanja O.; Balaz, Jelica S.; Vlasovic, Djordje
CORPORATE SOURCE: Institute of Chemistry, Faculty of Sciences, University of Novi Sad, Novi Sad, 21000, Yugoslavia
SOURCE: Journal of Planar Chromatography--Modern TLC (2000), 13(2), 123-129
CODEN: JPCTES; ISSN: 0933-4173
PUBLISHER: Research Institute for Medicinal Plants
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The retention behavior of 2-amino-5,6-dimethylbenzimidazoles, 2-aminobenzimidazoles, and 5,6-dimethylbenzimidazoles has been studied on thin layers of rice starch, cellulose, and Aminoplast. The mobile phases used were ammonia-propanol for cellulose and rice starch layers and cyclohexane-acetone-ammonia, for the Aminoplast layer. Detn. of lipophilicity by TLC is mainly based on the linear relationship between values and the concn. of org. solvent in the mobile phase, in accordance with well known TLC equations. Retention const., R_{MO} , were detd. by extrapolation. Good correlation was found between the retention const., R_{MO} , and $\log P$, and between R_{MO} and the antimicrobial activity of the compds. investigated.
IT 15777-04-5 29096-75-1 141211-27-0
141211-28-1
RL: ANT (Analyte); BUU (Biological use, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study); USES (Uses) (microbicidal activity, TLC, lipophilicity of)
RN 15777-04-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-ethyl-5,6-dimethyl- (9CI) (CA INDEX NAME)

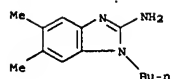


RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

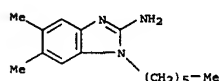


RN 141211-27-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-butyl-5,6-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



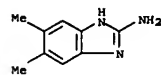
RN 141211-28-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-hexyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



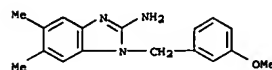
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:782734 CAPLUS
DOCUMENT NUMBER: 132:219397
TITLE: Physicochemical properties and antibacterial activity of Cu(II) complexes with some benzimidazole derivatives
AUTHOR(S): Perisic-Janjic, Nada U.; Podunavac-Kuzmanovic, Sanja O.; Balaz, Jelica S.; Vlasovic, Dorde S.
CORPORATE SOURCE: Institute of Chemistry, Faculty of Sciences, Novi Sad, 21000, Yugoslavia
SOURCE: Acta Periodica Technologica (1999), Volume Date 1998-1999, 29-30, 173-181
CODEN: APTEPF; ISSN: 1450-7188
PUBLISHER: University of Novi Sad, Faculty of Technology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Copper (II) complexes with 1-X-5,6-dimethylbenzimidazole, 1-X-2-amino-benzimidazole and 1-X-2-amino-5,6-dimethylbenzimidazole (X=H; -CH2-C6H4-3-OCH3; -CH2-C6H4-3-F) have been prepd. in solns. The complexes were characterized by electronic absorption spectra (UV/VIS). Two types of complexes were obtained: yellow-green Cu(II) complexes with 5,6-dimethylbenzimidazole derive. as ligands and orange-brown with 2-amino- and 2-amino-5,6-dimethylbenzimidazole derivs. Compn. of Cu(II) complexes were detd. by spectrophotometric method as metal:ligand = 1:2. The antimicrobial activity of the mentioned complexes were screened against: *Erwinia amylovora*, *Erwinia carotovora* subsp. *carotovora*, *Xanthomonas campestris* pv. *phaseoli* and *Pseudomonas syringae* pv. *syringae*. Correlation of structure and antimicrobial activities of tested complexes are discussed.
IT 29096-75-1 141211-29-2 141211-30-5
RL: SAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (antibacterial activity and physicochem. properties of Cu(II) complexes with some benzimidazole derivs.)
RN 29096-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

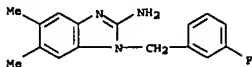


RN 141211-29-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-methoxyphenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141211-30-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl- (9CI)
 (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:403830 CAPLUS
 DOCUMENT NUMBER: 131:138407
 TITLE: Complexes cobalt(II), zinc(II) and copper(II) with some newly synthesized benzimidazole derivatives and their antibacterial activity
 AUTHOR(S): Podunavac-Kuzmanovic, S. O.; Leovac, V. M.; Perisic-Janjic, N. U.; Rogan, J.; Balaz, J.
 CORPORATE SOURCE: Faculty of Technology, Novi Sad, YU-21000, Yugoslavia
 SOURCE: Journal of the Serbian Chemical Society (1999), 64(5-6), 381-388
 CODEN: JSCSEN; ISSN: 0352-5139
 PUBLISHER: Serbian Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The prepn. and properties of some complexes of Co(II), Zn(II) and Cu(II) with several newly synthesized benzimidazole derive. (L) are reported. The complexes, [MCl₂L₂] (M = Co(II), Zn(II)) have a tetrahedral structure but that of [CuCl₂L₂(H₂O)] is undetd. and possibly intermediate between tetrahedral and square planar. The complexes were characterized by elemental anal., molar cond., magnetic susceptibility measurements, IR and

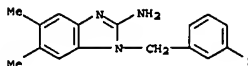
absorption electronic spectra. The antibacterial activity of the benzimidazoles and their complexes was evaluated against Erwinia carotovora subsp. carotovora and Erwinia amylovora. The complexes are more toxic than the ligands.

IT 141211-30-5 141211-31-6 141472-83-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent) (antibacterial activity and reaction with transition metal salts)

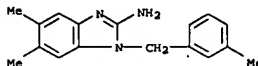
RN 141211-30-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl- (9CI)
 (CA INDEX NAME)



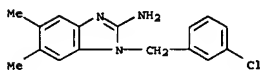
RN 141211-31-6 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(3-methylphenyl)methyl]- (9CI)
 (CA INDEX NAME)

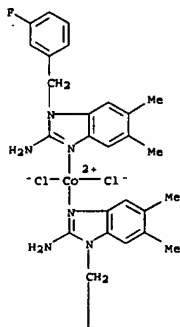


L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141472-83-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(3-chlorophenyl)methyl]-5,6-dimethyl- (9CI)
 (CA INDEX NAME)



IT 220336-61-8P 233679-35-1P 233679-38-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antibacterial activity of)
 RN 220336-61-8 CAPLUS
 CN Cobalt, dichlorobis[1-[(3-fluorophenyl)methyl]-5,6-dimethyl-1H-benzimidazol-2-amine-kappa.N3]-, (T-4)- (9CI) (CA INDEX NAME)



PAGE 1-A

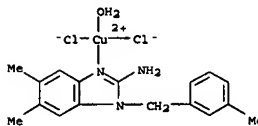
L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



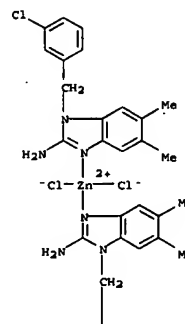
RN 233679-35-1 CAPLUS

CN Copper, aquadichloro[5,6-dimethyl-1-[(3-methylphenyl)methyl]-1H-benzimidazol-2-amine-kappa.N3]- (9CI) (CA INDEX NAME)



RN 233679-38-4 CAPLUS

CN Zinc, dichlorobis[1-[(3-chlorophenyl)methyl]-5,6-dimethyl-1H-benzimidazol-2-amine-kappa.N3]-, (T-4)- (9CI) (CA INDEX NAME)



PAGE 1-A

L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

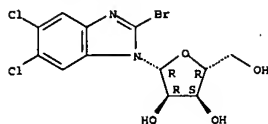


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L5 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:19304 CAPLUS
 DOCUMENT NUMBER: 128:136130
 TITLE: Inhibition of human cytomegalovirus DNA maturation by a benzimidazole ribonucleoside is mediated through the UL89 gene product
 AUTHOR(S): Underwood, Mark R.; Harvey, Robert J.; Stanat, Sylvia C.; Hemphill, Mary Lou; Miller, Teresa; Drach, John C.; Townsend, Leroy B.; Biron, Karen K.
 CORPORATE SOURCE: Department of Virology, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
 SOURCE: Journal of Virology (1998), 72(1), 717-725
 CODEN: JOVIAM; ISSN: 0022-538X
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB 2-Bromo-5,6-dichloro-1-.beta.-D-ribofuranosyl benzimidazole (BDCRB) is a member of a new class of benzimidazole ribonucleosides which inhibit human cytomegalovirus (HCMV) late in the replication cycle without inhibiting viral DNA synthesis. The authors show here that polygenomic concatemeric HCMV DNA does not mature to unit genome length in the presence of BDCRB. To discover the locus of action, virus resistant to BDCRB was selected by serial passage in the presence of the compd. Genetic mapping expts. with BDCRB-resistant virus demonstrated that the resistance phenotype mapped to one amino acid (Asp344Glu; low resistance) or two amino acids (Asp344Glu and Ala355Thr; high resistance) within the product of exon 2 of the HCMV UL89 open reading frame. The HCMV UL89 open reading frame and its homologs are among the most conserved open reading frames in the herpesviruses, and their products have sequence similarities to a known ATP-dependent endonuclease from the double-stranded DNA bacteriophage T4. These findings strongly suggest that BDCRB prevents viral DNA maturation by interacting with a UL89 gene product and that the UL89 open reading frame may encode an endonucleolytic subunit of the putative HCMV terminase. Further, since mammalian cell DNA replication does not involve a DNA maturation step, compds. which inhibit viral DNA maturation should be selective and safe.
 IT 142356-43-2
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
 USES (Uses)
 (inhibition of human cytomegalovirus DNA maturation by benzimidazole ribonucleoside is mediated through UL89 gene product)
 RN 142356-43-2 CAPLUS
 CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

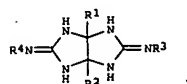
L5 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:772641 CAPLUS
 DOCUMENT NUMBER: 128:48222
 TITLE: Preparation of diiminoimidazoimidazoles as granulocyte colony stimulating factor mimetics.
 INVENTOR(S): Luengo, Juan I.; Chan, James A.; Breen, Ann L.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Luengo, Juan I.; Chan, James A.; Breen, Ann L.
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9744033	A1	19971127	WO 1997-US8864	19970522
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9732865	A1	19971209	AU 1997-32865	19970522
AU 722453	B2	20000803		
EP 920314	A1	19990609	EP 1997-928663	19970522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1225013	A	19990804	CN 1997-196426	19970522
BR 9709326	A	19990810	BR 1997-9326	19970522
NZ 332823	A	20000526	NZ 1997-332823	19970522
JP 2000512629	T2	20000926	JP 1997-542808	19970522
NO 9805406	A	19981120	NO 1998-5406	19981120
US 5981551	A	19991109	US 1998-194217	19981120
KR 2000015881	A	20000315	KR 1998-709432	19981121
PRIORITY APPLN. INFO.:			US 1996-19542P	P 19960522
			WO 1997-US8864	W 19970522
OTHER SOURCE(S):		MARPAT 128:48222		
Q1				

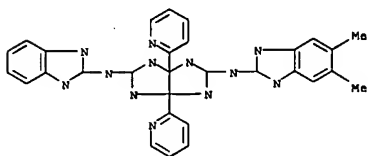


AB Title compds. [I; R1-R4 = (substituted) (polycyclic) (heterocyclic) aryl].
 were prepd. Thus, 2,2'-pyridil and 2-guanidinobenzimidazole were stirred 4 days in MeOH/aq. NaOH to give 72% I (R1, R2 = 2-pyridyl; R3, R4 benzimidazol-2-yl). The latter showed activation above 150% of control

6/24/2003

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L5 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 between 1-32 .mu.M in a luciferase assay using NPS60 cells.
 IT 199854-64-3P 199854-93-8P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of diiminoimidazoimidazoles as granulocyte colony stimulating
 factor mimetics)
 RN 199854-64-3 CAPLUS
 CN Imidazo[4,5-d]imidazole-2,5-diamine, N-1H-benzimidazol-2-yl-N'-(5,6-
 dimethyl-1H-benzimidazol-2-yl)-1,3a,4,6a-tetrahydro-3a,6a-di-2-pyridinyl-,
 bis(trifluoroacetate) (9CI) (CA INDEX NAME)
 CM 1
 CRN 199854-63-2
 CMF C30 H36 N12



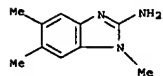
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 CRN 76-05-1
 CMF C2 H F3 O2



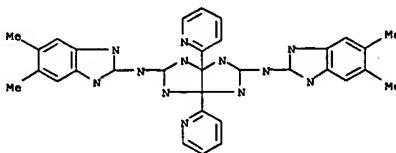
RN 199854-93-8 CAPLUS
 CN Imidazo[4,5-d]imidazole-2,5-diamine,
 N,N'-bis(5,6-dimethyl-1H-benzimidazol-
 2-yl)-1,3a,4,6a-tetrahydro-3a,6a-di-2-pyridinyl-, bis(trifluoroacetate)
 (9CI) (CA INDEX NAME)
 CM 1

L5 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:406619 CAPLUS
 DOCUMENT NUMBER: 125:79097
 TITLE: Structural and quantum chemical factors affecting
 mutagenic potency of aminoimidazo-azaarenes
 Hatch, F. T.; Colvin, M. E.; Seidl, E. T.
 AUTHOR(S): Lawrence Livermore Natl. Lab., Livermore, CA, USA
 CORPORATE SOURCE: Environmental and Molecular Mutagenesis (1996),
 27(4),
 314-330
 CODEN: EMMUEG; ISSN: 0893-6692
 PUBLISHER: Wiley-Liss
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A set of 16 mutagenic aminoimidazo-azaarenes, including four that have
 been isolated from cooked foods and identified as bacterial
 mutagens and rodent carcinogens, was selected from a larger series
 previously published (1991) for an in-depth structure-activity study
 using
 computational methods. Structural features believed to affect mutagenic
 potency were tabulated. MO energies and other electronic properties of
 these compds. were calcd. using Hueckel, semiempirical AM1, and ab initio
 quantum mech. methods. Factor interrelationships were studied by
 multiple
 linear regression and canonical correlation analyses. The goal was an
 improved understanding of the chem. basis of mutagenicity or this class
 of
 heterocyclic amines. The major findings were as follows: (1) mutagenic
 potency is related to the size of the arom. ring system; (2) potency is
 enhanced by the presence and location of an N-Me group; (3) potency is
 enhanced by addn. of ring nitrogen atoms in pyridine, quinoline, and
 quinoxaline configurations; (4) potency is inversely related to the
 energy
 of LUMO of the parent amines; (5) potency is directly, though weakly,
 related to the LUMO energy of the derived nitrenium ions; and (6) the
 calcd. thermodyn. stability of the nitrenium ions (relative to the parent
 amine) is directly correlated with nitrenium LUMO energy and with the
 neg.
 charge on the exocyclic nitrogen atom.
 IT 15777-02-3 29096-75-1
 RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL
 (Biological study)
 (structural and quantum chem. factors affecting mutagenic potency of
 aminoimidazo-azaarenes)
 RN 15777-02-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1,5,6-trimethyl- (9CI) (CA INDEX NAME)



RN 29096-75-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 199854-92-7
 CMF C32 H30 N12

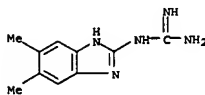


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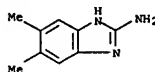
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



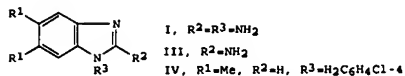
IT 41927-06-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of diiminoimidazoimidazoles as granulocyte colony stimulating
 factor mimetics)
 RN 41927-06-4 CAPLUS
 CN Guanidine, (5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1992:247903 CAPLUS
 DOCUMENT NUMBER: 116:247903
 TITLE: Synthesis, antibacterial, and antifungal activities of some new benzimidazoles
 AUTHOR(S): Vlasovic, Djordje; Canadanovic-Brunet, Jasna; Balaz, Jelica; Juranic, Ivan; Djokovic, Dejan; Mackenzie, Kenneth
 CORPORATE SOURCE: Dep. Chem., McMaster Univ., Hamilton, ON, L8S 4M1, Can.
 SOURCE: Bioscience, Biotechnology, and Biochemistry (1992), 56(2), 199-206
 CODEN: BBBIEJ; ISSN: 0916-8451
 DOCUMENT TYPE: Journal
 LANGUAGE: English
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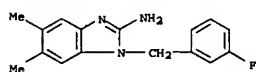


AB 1,2-Diaminobenzimidazoles (I, R¹ = H or Me) were synthesized by N-amination of 2-aminobenzimidazoles (II) with hydroxylamine-O-sulfonic acid. Substituted 1-alkyl and 1-alkylarylbenzimidazoles (III, R¹ = H or Me, R³ = alkyl, or substituted benzyl) were prepd. from various benzimidazoles by alkylating with the corresponding alkyl halides. As an example, 1-(4-chlorobenzyl)-5,6-dimethylbenzimidazole was N-aminated with O-(mesitylenesulfonyl)hydroxylamine to give 5,6-dimethyl-1-(4-chlorobenzyl)-3-aminobenzimidazole (IV) mesitylenesulfonate. Derivs. of 1,2-(5-nitro-2-furfurylideneamino)benzimidazoles were synthesized by the carbonylamine condensation of 5-nitro-2-furaldehyde with the appropriate

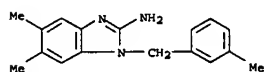
I and II and III, resp. An attempt to prep. the deriv. of 3-(5-nitro-2-furfurylideneamino)benzimidazolium mesitylenesulfonate from IV was unsuccessful. The antimicrobial activities of the above compds. were screened against different strains of bacteria and fungi. The general structure-activity relationships of tested benzimidazoles were detd.

IT 15777-04-5P 141211-27-0P 141211-28-1P
 141211-29-2P 141211-30-5P 141211-31-6P
 141472-56-2P 141472-57-3P 141472-58-4P
 141472-59-5P 141472-60-8P 141472-64-2P
 141472-65-3P 141472-66-4P 141472-67-5P
 141472-68-6P 141472-76-6P 141472-81-3P
 141472-82-4P 141472-83-5P 141472-84-6P
 141472-85-7P 141472-86-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

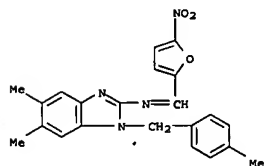
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



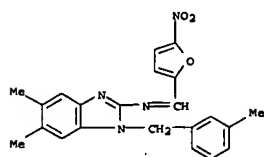
RN 141211-31-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 141472-56-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(4-methylphenyl)methyl]-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



RN 141472-57-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(3-methylphenyl)methyl]-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

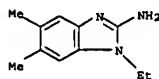


RN 141472-58-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(2-methylphenyl)methyl]-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

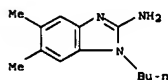
Hahte

L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prep. and bactericidal and fungicidal activity of, structure in relation to)

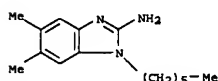
RN 15777-04-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-ethyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



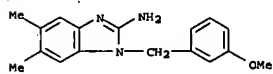
RN 141211-27-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-butyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 141211-28-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-hexyl-5,6-dimethyl- (9CI) (CA INDEX NAME)

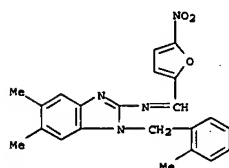


RN 141211-29-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(3-methoxyphenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)

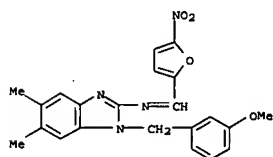


RN 141211-30-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl- (9CI) (CA INDEX NAME)

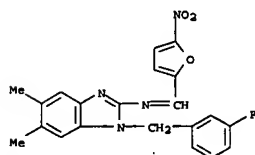
L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



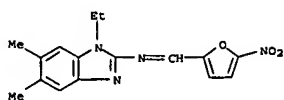
RN 141472-59-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(3-methoxyphenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



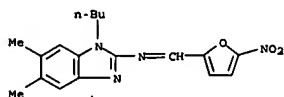
RN 141472-60-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(3-fluorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



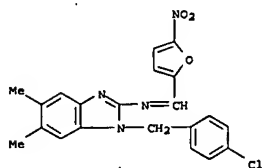
RN 141472-64-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-ethyl-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



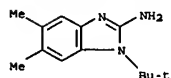
RN 141472-65-3 CAPLUS
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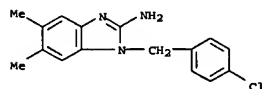
RN 141472-66-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-chlorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



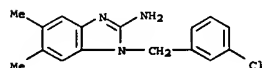
RN 141472-67-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-chlorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)



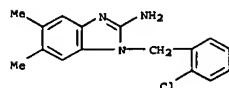
RN 141472-82-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-chlorophenyl)methyl]-5,6-dimethyl-N-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



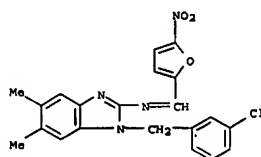
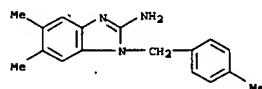
RN 141472-83-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(3-chlorophenyl)methyl]-5,6-dimethyl-N-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



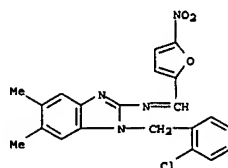
RN 141472-84-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-chlorophenyl)methyl]-5,6-dimethyl-N-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



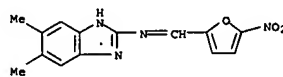
RN 141472-85-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 141472-68-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-chlorophenyl)methyl]-5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

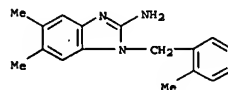


RN 141472-76-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-N-[(5-nitro-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

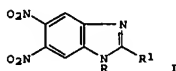


RN 141472-81-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(1,1-dimethylethyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)

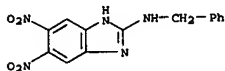
RN 141472-86-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-1-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:429203 CAPLUS
 DOCUMENT NUMBER: 115:29203
 TITLE: Synthesis and biological activity of 5,6-dinitro derivatives of benzimidazole
 AUTHOR(S): Chernova, E. Yu.; Mokrushina, G. A.; Chupekhin, O. N.;
 Kotovskaya, S. K.; Il'enko, V. I.; Andreeva, O. T.; Boreko, E. I.; Vladyko, G. V.; Korobchenko, L. V.; et al.
 CORPORATE SOURCE: Ural. Politekh. Inst., Sverdlovsk, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1991), 25(1), 50-2
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 115:29203
 Q1

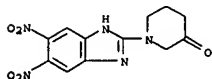


AB The title compds. I [R = H.cntdot.HCl, Me; R1 = NH2NH, amino, azolyl (II)] were prepd. from chlorobenzimidazoles I [R1 = Cl (III)]. III in turn, were prepd. by the nitration of 2-chlorobenzimidazole. The antiviral and antimicrobial activity of II were examd.
 IT 134539-04-1 134539-05-2 134539-06-3 134539-07-4 134539-08-5 134539-09-6 134539-10-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antibacterial activity of)
 RN 134539-04-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dinitro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

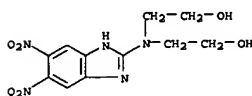


RN 134539-05-2 CAPLUS
 CN 1H-Benzimidazole, 5,6-dinitro-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

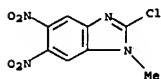
L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 134539-10-9 CAPLUS
 CN Ethanol, 2,2'-[(5,6-dinitro-1H-benzimidazol-2-yl)imino]bis- (9CI) (CA INDEX NAME)

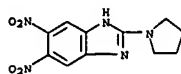


IT 2360-36-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and alkylation of)
 RN 2360-36-3 CAPLUS
 CN 1H-Benzimidazole, 2-chloro-1-methyl-5,6-dinitro- (9CI) (CA INDEX NAME)

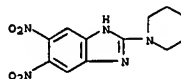


IT 134538-63-9P 134538-69-5P 134538-70-8P 134538-71-9P 134538-73-1P 134538-11-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antiviral activity of)
 RN 134538-63-9 CAPLUS
 CN 1H-Benzimidazole, 2-(4-morpholinyl)-5,6-dinitro-, monohydrochloride (9CI) (CA INDEX NAME)

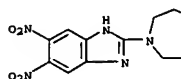
L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



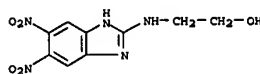
RN 134539-06-3 CAPLUS
 CN 1H-Benzimidazole, 5,6-dinitro-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 134539-07-4 CAPLUS
 CN 1H-Benzimidazole, 2-(hexahydro-1H-azepin-1-yl)-5,6-dinitro- (9CI) (CA INDEX NAME)

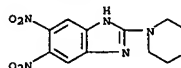


RN 134539-08-5 CAPLUS
 CN Ethanol, 2-[(5,6-dinitro-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



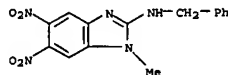
RN 134539-09-6 CAPLUS
 CN 3-Piperidinone, 1-(5,6-dinitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

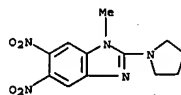


● HCl

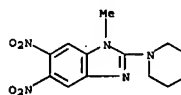
RN 134538-69-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-methyl-5,6-dinitro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



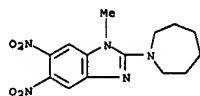
RN 134538-70-8 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-5,6-dinitro-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



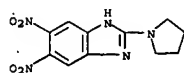
RN 134538-71-9 CAPLUS
 CN 1H-Benzimidazole, 1-methyl-2-(4-morpholinyl)-5,6-dinitro- (9CI) (CA INDEX NAME)



RN 134538-73-1 CAPLUS
 CN 1H-Benzimidazole, 2-(hexahydro-1H-azepin-1-yl)-1-methyl-5,6-dinitro- (9CI) (CA INDEX NAME)



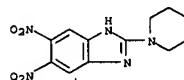
RN 134539-11-0 CAPLUS
CN 1H-Benzimidazole, 5,6-dinitro-2-(1-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

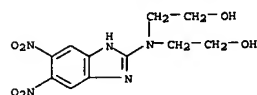
IT 134538-64-0P 134538-65-1P 134538-66-2P
134538-67-3P 134538-68-4P 134538-72-0P
134538-74-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn., antibacterial and antiviral activity of)
RN 134538-64-0 CAPLUS
CN 1H-Benzimidazole, 5,6-dinitro-2-(1-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



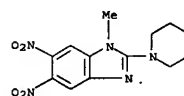
● HCl

RN 134538-65-1 CAPLUS
CN 1H-Benzimidazole, 2-(hexahydro-1H-azepin-1-yl)-5,6-dinitro-, monohydrochloride (9CI) (CA INDEX NAME)

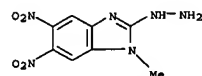


● HCl

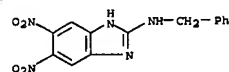
RN 134538-72-0 CAPLUS
CN 1H-Benzimidazole, 1-methyl-5,6-dinitro-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 134538-74-2 CAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-methyl-5,6-dinitro-, hydrazone (9CI) (CA INDEX NAME)

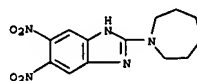


IT 134538-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., antiviral and antibacterial activity of)
RN 134538-62-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dinitro-N-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



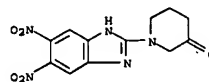
● HCl

Habte



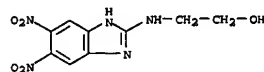
● HCl

RN 134538-66-2 CAPLUS
CN 3-Piperidinone, 1-[(5,6-dinitro-1H-benzimidazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

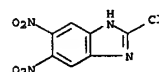
RN 134538-67-3 CAPLUS
CN Ethanol, 2-[[[(5,6-dinitro-1H-benzimidazol-2-yl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 134538-68-4 CAPLUS
CN Ethanol, 2,2'-[[[(5,6-dinitro-1H-benzimidazol-2-yl)imino]bis-, monohydrochloride (9CI) (CA INDEX NAME)

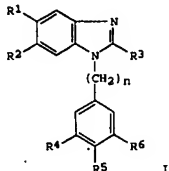
IT 1849-05-4P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., methylation and alkylation of)
RN 1849-05-4 CAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-dinitro- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:408806 CAPLUS
 DOCUMENT NUMBER: 115:8806
 TITLE: 1-Phenyl or 1-benzylbenzimidazole derivatives as drugs
 INVENTOR(S): Goto, Kiyoto
 PATENT ASSIGNER(S): Otsuka Pharmaceutical Factory, Inc., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

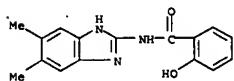
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03031264	A2	19910212	JP 1989-165084	19890626
JP 07072181	B4	19950802		

PRIORITY APPLN. INFO.: JP 1989-165084 19890626
 OTHER SOURCE(S): MARPAT 115:8806
 GI

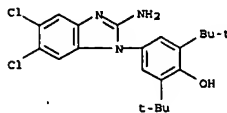


AB The title derive. I [R1, R2 = H, lower alkyl, halo; R3 = lower alkyl, (lower alkyl)phenyl, lower haloalkyl, NH2, lower alkylamino, lower alkenoylamino; R4 = H, lower alkyl, NO2, NH2; R5 = H, OH, OCH2Ph; R6 = H, lower alkyl; n = 0, 1] except I [R1 = R2 = H; R3 = alkyl, (lower alkyl)phenyl, (lower alkyl) amino; R4 = R6 = lower alkyl; R5 = OH; and n = 0], I [R1 = R2 = R4 = R6 = H; R3 = lower alkyl, Ph; R5 = OH; and n = 0], and I [R1 = R2 = H or R1 = R2 = lower alkyl; R3 = H, NH2; R4 = R5 = R6 = H; and n = 1] and their salts are prepd. as bactericides, fungicides, virucides, inflammation inhibitors, and rheumatism inhibitors (no data). A THF soln. of 2.1 g 2,6-di-tert-butyl-1,4-benzoquinone and 1.7 g o-C6H4(NH2)2 was treated with (BF3.Et2O) under reflux for 15 h and the resulting reddish purple product in pyridine was treated with (CF3CO)2O at room temp. for 15 h to give a red compd. The red compd. thus obtained was treated with an aq. Na2S2O4 soln. at room temp. for 10 min and the resulting product in AcOH was stirred at 95-100.degree. for 10 min

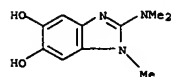
L5 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1990:608216 CAPLUS
 DOCUMENT NUMBER: 113:208216
 TITLE: Salicylanilide and its heterocyclic analogs. A comparative study of their antimicrobial activity
 AUTHOR(S): Daidone, G.; Maggio, Benedetta; Schillaci, D.
 CORPORATE SOURCE: Dip. Chim. Tecnol. Farm., Univ. Palermo, Palermo, 90133, Italy
 SOURCE: Pharmazie (1990), 45(6), 441-2
 CODEN: PHARAT; ISSN: 0031-7144
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of 18 salicylanilide derive. were synthesized and tested in vitro against gram-pos. (Staphylococcus aureus) and gram-neg. (Escherichia coli, Pseudomonas aeruginosa) bacteria and yeasts (Candida albicans, C. neoformans). The antimicrobial activity varied from moderate to weak for most compds. The MIC values indicated that the N-heterocyclic substitution in the 2-hydroxybenzamide mol. does not offer any advantage for the activities studied if compared with Ph substitution.
 IT 123199-80-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antimicrobial activity of)
 RN 123199-80-4 CAPLUS
 CN Benzamide, N-(5,6-dimethyl-1H-benzimidazol-2-yl)-2-hydroxy- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 to give 4.3 g I (R1 = R2 = H, R3 = CF3, R4 = R6 = CH3, R5 = OH, n = 0).
 IT 134275-11-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of. as microbicide and inflammation and rheumatism inhibitor)
 RN 134275-11-9 CAPLUS
 CN Phenol, 4-(2-amino-5,6-dichloro-1H-benzimidazol-1-yl)-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1988:48752 CAPLUS
 DOCUMENT NUMBER: 108:48752
 TITLE: Potentiating specific activity of isoniazid and streptomycin by benzimidazole derivatives
 AUTHOR(S): Vishnevskii, B. I.
 CORPORATE SOURCE: Leningr. NII Ftiziopul'monol., Leningrad, USSR
 SOURCE: Problemy Tuberkuleza (1987), (2), 58-61
 CODEN: PRTUAX; ISSN: 0032-9533
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Studies with 9 benzimidazoles in cultures of Mycobacterium tuberculosis showed that these compds. are able to increase the antibacterial specific activities of isoniazid (I) and streptomycin (II). The greatest potentiation was seen with 1-methyl-4,7-dimethoxybenzimidazole (III), which lowered the mini inhibitory concn. (MIC) of II 2-fold, the MIC of I 4-8-fold. Studies in mice showed that III is of value in increasing the tuberculostatic activity of I.
 IT 112388-47-3, 1-Methyl-2-dimethylamino-5,6-dihydroxybenzimidazole
 RL: BIOL (Biological study)
 (tuberculostatic activity of isoniazid and streptomycin potentiation by)
 RN 112388-47-3 CAPLUS
 CN 1H-Benzimidazole-5,6-diol, 2-(dimethylamino)-1-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1982:68703 CAPLUS
 DOCUMENT NUMBER: 96:68703
 TITLE: Cephalosporin derivatives, pharmaceutical compositions
 containing them and their intermediates
 INVENTOR(S): Jung, Frederic Henri
 PATENT ASSIGNEE(S): I.C.I.-Pharma S. A., Fr.
 SOURCE: Eur. Pat. Appl., 168 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

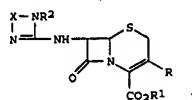
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 31708	A2	19810708	EP 1980-304674	19801222
EP 31708	A3	19820224		
EP 31708	B1	19840613		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
FR 2472574	A1	19810703	FR 1979-31616	19791224
AU 8065134	A1	19810702	AU 1980-65134	19801205
AU 544374	B2	19850523		
FI 8003817	A	19810625	FI 1980-3817	19801209
ZA 8007710	A	19811125	ZA 1980-7710	19801209
HU 27910	O	19831128	HU 1980-3021	19801217
HU 186289	B	19850729		
IL 61775	A1	19840831	IL 1980-61775	19801221
NO 8003903	A	19810625	NO 1980-3903	19801222
AT 7918	E	19840615	AT 1980-304674	19801222
DK 8005524	A	19810625	DK 1980-5524	19801223
DD 155520	C	19820616	DD 1980-226584	19801223
SU 1031408	A3	19830723	SU 1980-3219703	19801223
CS 226025	P	19840319	CS 1980-9244	19801223
CA 1175805	A1	19841009	CA 1980-367478	19801223
PL 132587	B1	19850330	PL 1980-228757	19801223
PL 133508	B1	19850629	PL 1980-232847	19801223
ES 498157	A1	19811201	ES 1980-498157	19801224
JP 56158787	A2	19811207	JP 1980-183659	19801224
JP 03020398	B4	19910319		
US 4463173	A	19840731	US 1980-219879	19801224
SU 1077573	A3	19840229	SU 1981-327478	19810424
ES 502352	A1	19820501	ES 1981-502352	19810520
CS 226028	P	19840319	CS 1981-3880	19810526
CS 226028	B2	19840319		

PRIORITY APPLN. INFO.:

FR 1979-31616 19791224
 EP 1980-304674 19801222

GI

L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

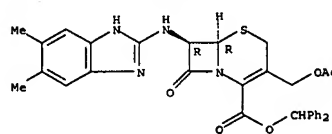


AB Cephalosporine I [R = a substituent customary for cephalosporins; R1 = H, protective group; R2 = H, OH, amino, alkyl, acyl, alkoxy, (un)substituted Ph, phenylalkyl; X = (un)substituted CH2CH2, CH:CH, o-C6H4] were prep. Thus 7-aminocephalosporanic acid was formylated, esterified, and treated with COCl2 to give benzhydryl 7-isocyanocephalosporanate which was brominated and the dibromomethyleneamino deriv. treated with o-(H2N)2C6H4 and sapon. to give I (X = o-C6H4, R = CH2OAc, R1 = R2 = H, II). II had

a min. inhibitory concn. against Staphylococcus aureus of 2 .mu.g/mL.
 IT 79591-91-6P 79591-10-2P 79591-12-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and sapon. of)
 RN 79591-91-6 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid.

3-[(acetyloxy)methyl]-7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

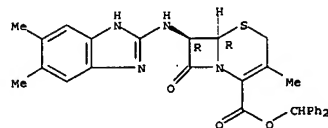
Absolute stereochemistry.



RN 79591-10-2 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

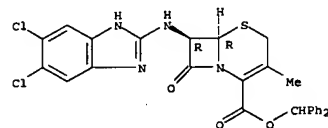
Absolute stereochemistry.

L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 79591-12-4 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 79591-80-3P 79591-98-3P 79591-02-2P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

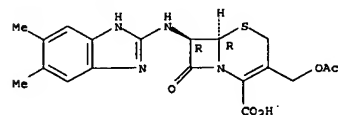
RN 79591-80-3 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid.

3-[(acetyloxy)methyl]-7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-8-oxo-, (6R-trans)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 79591-79-0
 CMF C19 H20 N4 O5 S

Absolute stereochemistry.



CM 2

Habte

L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 76-05-1
 CMF C2 H F3 O2

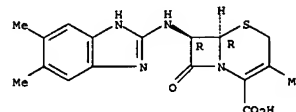


RN 79591-98-3 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(5,6-dimethyl-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, (6R-trans)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 79591-97-2
 CMF C17 H18 N4 O3 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



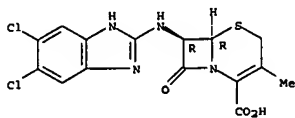
RN 79591-02-2 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]-3-methyl-8-oxo-, (6R-trans)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 79591-01-1
 CMF C15 H12 Cl2 N4 O3 S

6/24/2003

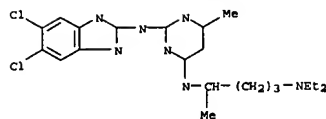
L5 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



CM 2
CRN 76-05-1
CHP C2 H F3 O2

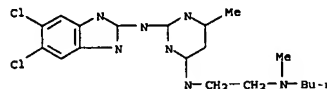


L5 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

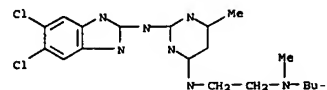
L5 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:34952 CAPLUS
DOCUMENT NUMBER: 92:34952
TITLE: Correlation analysis of pyrimidine folic acid antagonists as antibacterial agents. II. Classification by mode of action using discriminant analysis
AUTHOR(S): Smith, Carl C.; Genther, Clara S.; Coats, Eugene A.
CORPORATE SOURCE: Dep. Environ. Health, Univ. Cincinnati, Cincinnati, OH, 45267, USA
SOURCE: European Journal of Medicinal Chemistry (1979), 14(3), 271-6
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of folic acid [59-30-3] or folinic acid [58-05-9] to reverse the inhibitory effect of pyrimidines against Streptococcus faecium, Lactobacillus casei, and Pedicoccus cerevisiae was studied. An amino group at the 2-position of the pyrimidine nucleus was related to reversible antifolate action in all 3 organisms Ph or anilino substituents at the 6-position resulted in irreversible antibacterial activity against L. casei and P. cerevisiae, but was not significant against S. faecium. Discriminant anal. as an adjunct to regression anal. in characterization of structure-activity relations of pyrimidines in quant. terms is discussed.
IT 42388-78-3 42388-88-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (Bactericidal activity of, folate reversal of, structure in relation to)
RN 42388-78-3 CAPLUS
CN 2,4-Pyrimidinediamine.
N4-[2-(butylmethylamino)ethyl]-N2-(5,6-dichloro-1H-benzimidazol-2-yl)-6-methyl- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 42388-88-5 CAPLUS
CN 2,4-Pyrimidinediamine, N2-(5,6-dichloro-1H-benzimidazol-2-yl)-N4-[4-(diethylamino)-1-methylbutyl]-6-methyl- (9CI) (CA INDEX NAME)

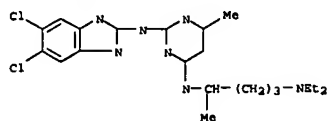
L5 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:34951 CAPLUS
DOCUMENT NUMBER: 92:34951
TITLE: Correlation analysis of pyrimidine folic acid antagonists as antibacterial agents. I
AUTHOR(S): Coats, Eugene A.; Genther, Clara S.; Smith, Carl C.
CORPORATE SOURCE: Coll. Pharm., Univ. Cincinnati, Cincinnati, OH, 45267, USA
SOURCE: European Journal of Medicinal Chemistry (1979), 14(3), 261-70
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The activities of 175 pyrimidines as inhibitors of Streptococcus faecium, Lactobacillus casei, and Pedicoccus cerevisiae are reported. In addn., the mode of action according to the ability of folic acid [59-30-3] or folinic acid [58-05-9] to reverse the inhibitory effect of the pyrimidines was detd. The 2,4-diamino substituent pattern appeared to be the dominant but not the sole factor controlling mode of action. Quant. structure-activity relations using regression anal., substituent consts., and indicator variables were developed in an effort to delineate influences on potency and to quant. differences between the test systems. Although arom. and/or lipophilic substituents at the 5 position of 2,4-diaminopyrimidines enhanced folate reversible inhibition against all systems the derived equations quant. establish differences in and limitations on the extent of this effect.
IT 42388-78-3 42388-88-5 42389-03-7
42389-09-3 42389-23-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (Bactericidal activity of, structure in relation to)
RN 42388-78-3 CAPLUS
CN 2,4-Pyrimidinediamine.
N4-[2-(butylmethylamino)ethyl]-N2-(5,6-dichloro-1H-benzimidazol-2-yl)-6-methyl- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

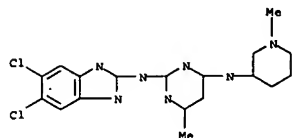
RN 42388-88-5 CAPLUS
CN 2,4-Pyrimidinediamine, N2-(5,6-dichloro-1H-benzimidazol-2-yl)-N4-[4-(diethylamino)-1-methylbutyl]-6-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



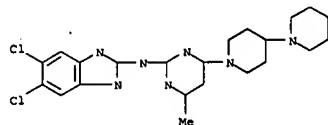
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 42389-03-7 CAPLUS
 CN 2,4-Pyrimidinediamine,
 N2-(5,6-dichloro-1H-benzimidazol-2-yl)-6-methyl-N4-
 (1-methyl-3-piperidinyl)- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 42389-09-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-(6-[1,4'-bipiperidin]-1'-yl)-4-methyl-2-
 pyrimidinyl)-5,6-dichloro- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 42389-23-1 CAPLUS
 CN 2,4-Pyrimidinediamine,
 N2-(5,6-dimethyl-1H-benzimidazol-2-yl)-N4-(1-ethyl-
 3-piperidinyl)-6-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:505309 CAPLUS
 DOCUMENT NUMBER: 79:105309
 TITLE: Pharmaceutical 3-(2-imidazolyl)rifamycins SV
 INVENTOR(S): Maggi, Nicola; Cricchio, Renato
 PATENT ASSIGNEE(S): Gruppo Lepetit S.p.A.
 SOURCE: Ger. Offen., 23 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2301766	A1	19730726	DE 1973-2301766	19730115
DE 2301766	B2	19800228		
DE 2301766	C3	19801120		
ZA 7208306	A	19730725	ZA 1972-8306	19721123
GB 1388880	A	19750326	GB 1972-59832	19721228
AU 7351099	A1	19740718	AU 1973-51099	19730115
CH 564554	A	19750731	CH 1973-528	19730115
NL 7300610	A	19730723	NL 1973-610	19730116
NL 159388	B	19790215		
DD 103236	C	19740112	DD 1973-168274	19730116
SU 444372	D	19740925	SU 1973-1872137	19730117
AT 320855	B	19750310	AT 1973-371	19730117
JP 48080600	A2	19731029	JP 1973-8230	19730118
JP 51008959	B4	19760322		
FR 2181676	A1	19731207	FR 1973-1784	19730118
HU 165387	P	19740828	HU 1973-LE675	19730118
ES 410755	A1	19760101	ES 1973-410755	19730118
SE 381152	B	19760301	SE 1973-711	19730118
CA 991636	A1	19760622	CA 1973-161561	19730118
DK 135995	B	19770725	DK 1973-281	19730118
BE 794298	A1	19730516	BE 1973-126676	19730119
			IT 1972-19525	19720119

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.
 AB Nine rifamycins SV's (I) (R = 2-benzimidazolyl, substituted 2-benzimidazolyls, 4,5-dihydro-7H-acenaphth 4,5-d imidazol-8-yl, 1,9-dihydrofluoreno 2,3-d imidazol-2-yl, 6,11-dioxo-6,11-dihydroanthra 1,2-d imidazol-2-yl) and 25-deacetyl-3-(2-benzimidazolyl)rifamycin SV, useful as antibacterial and anticarcinogenic agents and neoplasm inhibitors, were prep'd. by reaction of the 3-formylrifamycin SV with 1,2-diamines. Thus, a mixt of 2.7 g 3-formylrifamycin SV, 0.33 g o-phenylenediamine and THF was stirred 30 min at 0-5.degree. to give 80% 3-(2-benzimidazolyl)rifamycin SV.

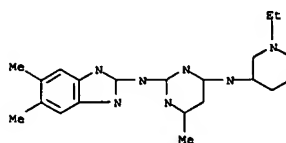
IT 49670-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 49670-55-5 CAPLUS

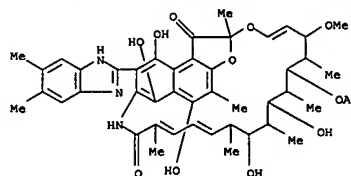
CN Rifamycin, 3-(5,6-dimethyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L5 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



LS ANSWER 25 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1973:136284 CAPLUS
 DOCUMENT NUMBER: 78:136284
 TITLE: Fungicidal 2-(5-nitro-2-thiazolyl)benzimidazoles
 INVENTOR(S): Strehlke, Peter; Redmann, Ulrich
 PATENT ASSIGNEE(S): Schering A.-G.
 SOURCE: Ger. Offen., 15 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

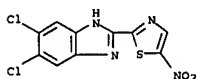
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2142585	A1	19730222	DE 1971-2142585	19710820
CH 566998	A	19750930	CH 1972-6270	19720427
DD 100243	C	19710912	DD 1972-163350	19720601
AT 312601	B	19740110	AT 1972-5162	19720615
HU 163798	P	19731027	HU 1972-SE399	19720622
BE 785367	A1	19721227	BE 1972-119107	19720623
FR 2150297	A1	19730406	FR 1972-22736	19720623
US 3819642	A	19740625	US 1972-265468	19720623
NL 7208799	A	19730222	NL 1972-8799	19720626
GB 1400602	A	19750716	GB 1972-29825	19720626
IL 39839	A1	19751125	IL 1972-39839	19720706
SU 461505	D	19750225	SU 1972-1813903	19720721
ES 405439	A1	19750701	ES 1972-405439	19720802
AU 7245754	A1	19740221	AU 1972-45754	19720818
CA 988090	A1	19760427	CA 1972-149735	19720818
JP 48029772	A2	19730419	JP 1972-83551	19720821

PRIORITY APPLN. INFO.: DE 1971-2142585 19710820
 AB Eleven title compd. [I, Rn = H, 5-Me, 5-MeO, 5,6-Me2, 5-Cl, 5,6-Cl2, 5-NO2, or 5(6)-CF3; R1 = H, Me, CH2CH2OH, CH2CH2NMe2, CH2CH2Ph, or Ph], used as fungicides (esp. against *Candida albicans* and dermatophytes) and useful as bactericides and protozoocides, were prepd. by reaction of o-phenylenediamines with the thiazoles II (R2 = C(NH)OEt (III), CHO, or CN). Thus, 1 g III and 540 mg o-(H2N)2C6H4 was refluxed

in MeOH contg. HCl for 1 hr to give 900 mg I (Rn = R1 = H).

IT 41625-79-0P 41689-35-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
 RN 41625-79-0 CAPLUS
 CN 1H-Benzimidazole, 5,6-dichloro-2-(5-nitro-2-thiazolyl)- (9CI) (CA INDEX NAME)



LS ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1972:413898 CAPLUS
 DOCUMENT NUMBER: 77:13898
 TITLE: Application of the Del Re method to molecules of biological interest. V. Structure-activity relations
 AUTHOR(S): Carbo, Ramon; Martin, Miguel; Riera, Jose M.
 CORPORATE SOURCE: Secc. Quim. Cuantica, Inst. Quim. Sarris, Barcelona, Spain
 SOURCE: Afinidad (1971), 28 (292), 1289-96
 CODEN: AFINAE; ISSN: 0001-9704
 DOCUMENT TYPE: Journal
 LANGUAGE: Spanish

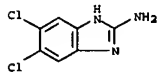
AB Using the Del Re method, values for log C/T, where T is the wt. of the tumor in a treated rat and C the wt. in a control rat, were obtained for 16 antitumor Schiff bases and compared with expt. values. The sigma.

Del Re charges and mol. energies were used to calc. the inhibitory activity of benzimidazole [51-17-2] and 22 derive. in normetanephrine [97-31-4] methylation, the *Escherichia coli* antibacterial activity of 12 tetracyclines, and the antihypertensive activity of 34 benzodithiazines. Correlation with expt. values indicated that the simple Del Re method is adequate for obtaining a priori the biol. activity of new compds.

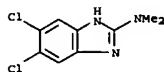
IT 18672-03-2 29096-73-9 29096-75-1
 29096-77-3 30486-77-2 30486-78-3
 30486-79-4 30486-89-6 30486-90-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (biol. activity of, Del Re method of calcn. for)

RN 18672-03-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dichloro- (9CI) (CA INDEX NAME)

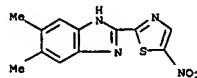


RN 29096-73-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

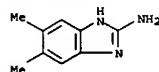


RN 29096-75-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dimethyl- (9CI) (CA INDEX NAME)

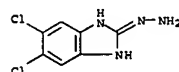
LS ANSWER 25 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 41689-35-4 CAPLUS
 CN 1H-Benzimidazole, 5,6-dimethyl-2-(5-nitro-2-thiazolyl)- (9CI) (CA INDEX NAME)



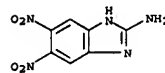
LS ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



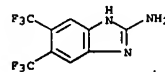
RN 29096-77-3 CAPLUS
 CN 2H-Benzimidazol-2-one, 5,6-dichloro-1,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



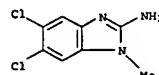
RN 30486-77-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dinitro- (9CI) (CA INDEX NAME)



RN 30486-78-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

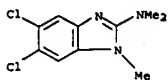


RN 30486-79-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-methyl- (9CI) (CA INDEX NAME)

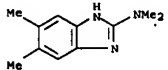


RN 30486-89-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N,N,1-trimethyl- (9CI) (CA INDEX NAME)

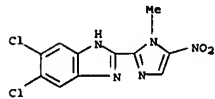
L5 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 30486-90-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N,N,5,6-tetramethyl- (9CI) (CA INDEX NAME)



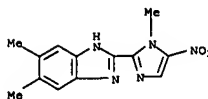
L5 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN Benzimidazole, 5,6-dichloro-2-(1-methyl-5-nitroimidazol-2-yl)- (8CI) (CA INDEX NAME)



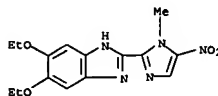
L5 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:141632 CAPLUS
 DOCUMENT NUMBER: 74:141632
 TITLE: 5-Nitroimidazoles substituted in 2-position by heterocyclic ring-systems
 AUTHOR(S): Rufer, Clemens; Kessler, Hans J.; Schroeder, Eberhard
 CORPORATE SOURCE: Hauptlab., Schering A.-G., Berlin, Fed. Rep. Ger.
 SOURCE: Progr. Antimicrob. Anticancer Chemother., Proc. Int. Congr. Chemother., 6th (1970), Meeting Date 1969, Volume 1, 145-8. Univ. Park Press: Baltimore, Md.
 CODEN: 22PYAN

DOCUMENT TYPE: Conference
 LANGUAGE: English
 Q1 For diagram(s), see printed CA Issue.
 AB 2-(5-Nitroimidazol-2-yl)benzimidazoles (I) and 3-[[5-nitroimidazol-2-yl)methylene]amino]-2-oxazolidinones (II) were prepd. (In I, Y = O, S, NH, or substituted N; R = Me, Et, or Bu; R1 = H, Cl, Me, OMe, OEt, or NO2;
 R2 = H, Cl, Me, or OEt. In II, R = Me, Et, or Bu; R1 = substituted N or substituted S.) Most I were prepd. from Et 1-methyl-5-nitroimidazole-2-carboximidate and o-phenylenediamines. II were prepd. by condensation of 3-amino-2-oxazolidinones with 5-nitroimidazole-2-carboxaldehydes. Min. inhibitory concns. (gamma./-ml) for I against Trichomonas vaginalis in vitro were 0.02-6.25 and for II 0.05-0.39.
 IT 30164-15-9P 30164-16-0P 32063-54-0P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 30164-15-9 CAPLUS
 CN Benzimidazole, 5,6-dimethyl-2-(1-methyl-5-nitroimidazol-2-yl)- (8CI) (CA INDEX NAME)



RN 30164-16-0 CAPLUS
 CN Benzimidazole, 5,6-diethoxy-2-(1-methyl-5-nitroimidazol-2-yl)- (8CI) (CA INDEX NAME)



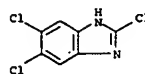
RN 32063-54-0 CAPLUS

L5 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:52481 CAPLUS
 DOCUMENT NUMBER: 74:52481
 TITLE: Microbiocidal polychlorobenzimidazoles
 INVENTOR(S): Wenger, Thomas; Weiss, Anton G.
 PATENT ASSIGNEE(S): Agipat S. A.
 SOURCE: Ger. Offen., 22 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2020090	A	19701105	DE 1970-2020090	19700424
DE 2020090	C3	19790913		
DE 2020090	B2	19790111		
DK 127625	B	19731210	DK 1970-1978	19700420
SE 367943	B	19740617	SE 1970-5374	19700420
RO 61088	P	19761115	RO 1970-63149	19700421
BE 749512	A	19701026	BE 1970-749512	19700424
NL 7006028	A	19701027	NL 1970-6028	19700424
FR 2040228	A5	19710122	FR 1970-15046	19700424
FR 2040228	B1	19730810		
ES 379017	A1	19720801	ES 1970-379017	19700424
GB 1306098	A	19730207	GB 1970-19407	19700424
JP 48014927	B4	19730511	JP 1970-35081	19700424
AT 308287	B	19730625	AT 1970-3762	19700424
IL 34378	A1	19730629	IL 1970-34378	19700424
CS 152356	P	19731219	CS 1970-2880	19700424
CA 945895	A1	19740423	CA 1970-81017	19700424
PL 80654	P	19750830	PL 1970-140246	19700424
			CH 1969-6318	19690425

PRIORITY APPLN. INFO.:
 AB The microbiocidal title compds. were prepd. and used for cellulose preservation. Thus, Cl was introduced into 2,5-di-chlorobenzimidazole and FeCl3 in HOAc at 20.degree., the mixt. was heated to 40-50.degree., NaOAc was added, Cl was introduced, and the process was repeated to give a mixt. contg. 42.6, 29.7 and 27.7% resp. of 2,5,6-trichloro-, 2,4,5,6-tetrachloro- and 2,4,5,6,7-penta-chlorobenzimidazoles. This mixt. was added to a com. disperse dye based on poly(vinyl acetate)-Et acrylate copolymer in 1:1 DMF-MeOCH2CH2OH and H2O. Coatings from this mixt. on filter paper were resistant to various microorganisms, e.g. Aspergillus niger and Candida albicans.
 IT 16865-11-5
 RL: BIOL (Biological study)
 (bactericidal and fungicidal coatings contg.)
 RN 16865-11-5 CAPLUS
 CN 1H-Benzimidazole, 2,5,6-trichloro- (9CI) (CA INDEX NAME)

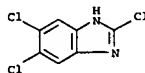


L5 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

L5 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:12129 CAPLUS
 DOCUMENT NUMBER: 74:12129
 TITLE: Combating microorganisms which damage and ruin
 non textile organic material
 INVENTOR(S): Wenger, Thomas; Weiss, Anton G.
 PATENT ASSIGNEE(S): Agripat S. A.
 SOURCE: Patentschrift (Switz.), 8 pp.
 CODEN: SWXXAS
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 494533	A	19700815	CH 1969-494533	19690425
PRIORITY APPLN. INFO.:			CH 1970-9795	19690425
AB Benzimidazoles with ring Cl substituents were used as protective agents. Thus, 2-chlorobenzimidazole was chlorinated to give a mixt. of 2,5,6-trichloro-, 2,4,5,6-tetrachloro-, and 2,4,5,6,7-pentachlorobenzimidazole contg. 59.12% Cl and m. 212-214.degree..				
IT 16865-11-5				
RL: BIOL (Biological study) (bactericides and fungicides)				
RN 16865-11-5 CAPLUS				
CN 1H-Benzimidazole, 2,5,6-trichloro- (9CI) (CA INDEX NAME)				



L5 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1969:87668 CAPLUS
 DOCUMENT NUMBER: 70:87668
 TITLE: Synthesis and biological activity of new benzimidazoles and naphthimidazoles
 Ridi, Mario; Lazzi, L.; Corti, P.
 CORPORATE SOURCE: Ist. Chim. Farm. Toassicol., Univ. Siena, Siena, Italy
 SOURCE: Bollettino Chimico Farmaceutico (1968), 107(11), 667-74
 CODEN: BCFPAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal
 LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB Equimol. amts. of 2,3-dimethyl-1-phenyl-5-oxo-3-pyrazoline-4-carboxaldehyde (I) and 1-hydrazinophthalazine-HCl were boiled with NaHCO₃ in EtOH 15 min. to give the phthalazin-1-ylhydrazones of 2,3-dimethyl-5-oxo-1-phenyl-3-pyrazoline-4-carboxaldehyde, m.

236.degree.. 2,6-Dimethyl-1-phenyl-3-oxo-4-(2,2,2-trichloro-1-hydroxyethyl)-4-pyrazoline, m. 195.degree., was prepd. by the method of Ridi and Checchi (1953). N-[4-(2,3-Dimethyl-5-oxo-1-phenyl-3-pyrazolinomethylene)]-o-phenylenediamine, m. 205.degree., and its 4(or 5)-chloro deriv. m. 210.degree., 4(or 5)-methoxy derivs., m. 180.degree., and 4,5-dimethyl deriv., m. 175.degree., were prepd. I (2.16 g.) was refluxed with 1.42

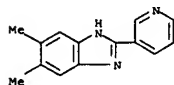
g. 3,4-(H₂N)2C6H3Cl in 40 ml. PhNO₂ 20 min. to give II [R = Cl, R₁ = H R₂ = 2,3-dimethyl-5-oxo-3-pyrazolin-1-yl-(2)] m. 259.degree.. Also prepd.

were the following II (R, R₁ R₂ and m.p. given) (for R or R₂ = H, R₂ and R, resp., are equivocal): H, H, Z, 280.degree.; Me, Me, Z, 260.degree.; OMe, H, Z, 241.degree.; Me, Me, 4-FC6H₄, 219.degree.; Me, Me, 3-FC6H₄, 248.degree.; Me, Me, 2-FC6H₄, 204.degree.; H, Cl, 4-FC6H₄ (IV), 223.degree.; H, H, 4-FC6H₄ (V), 257.degree.; H, OMe, 4-FC6H₄, 195.degree.

OMe, H, pyridin-3-yl (Y), 185.degree.; H, H, Y, 289.degree.; Me, Me, Y, 252.degree.; and Cl, H, Y, 242.degree. (VI). The following III were also prepd. (R and m.p. given): Z, 270.degree.; 2,5-dimethyl-3-oxo-4-pyrazolidin-1-yl, 259.degree.. V was active against the influenza virus and VI against the rhino virus, in vitro. IV showed antiparasitic action against Hymenolepis nana and antibacterial effect against Mycobacterium smegmatis, Bacillus subtilis, and Sarcina lutea and antifungal effect against Trichophyton mentagrophytes, Blastomyces dermatitidis and Candida albicans.

IT 6507-10-4P 20100-23-6P 21627-64-5P
 21627-69-0P 21627-70-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 6507-10-4 CAPLUS
 CN Benzimidazole, 5,6-dimethyl-2-(3-pyridyl)- (7CI, 8CI) (CA INDEX NAME)

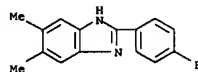


RN 20100-23-6 CAPLUS

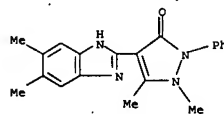
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L5 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)

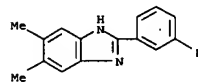
CN 1H-Benzimidazole, 2-(4-fluorophenyl)-5,6-dimethyl- (8CI) (CA INDEX NAME)



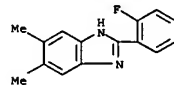
RN 21627-64-5 CAPLUS
 CN Antipyrene, 4-(5,6-dimethyl-2-benzimidazolyl)- (8CI) (CA INDEX NAME)



RN 21627-69-0 CAPLUS
 CN Benzimidazole, 2-(m-fluorophenyl)-5,6-dimethyl- (8CI) (CA INDEX NAME)



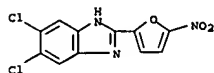
RN 21627-70-3 CAPLUS
 CN Benzimidazole, 2-(o-fluorophenyl)-5,6-dimethyl- (8CI) (CA INDEX NAME)



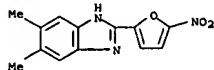
L5 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1966:499309 CAPLUS
 DOCUMENT NUMBER: 65:99309
 ORIGINAL REFERENCE NO.: 65:18575f-g
 TITLE: Substituted 2-(5-nitro-2-furyl)benzimidazoles
 AUTHOR(S): Bevin, P.M. G.
 CORPORATE SOURCE: Smith Kline & French Labs. Ltd., Garden City, UK
 SOURCE: Journal of Medicinal Chemistry (1966), 9(5), 788-90
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English

GI For diagram(s), see printed CA Issue.

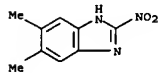
AB A series of 11 title compds. (I) was prepd. and evaluated for antitrichomonal activity against *Trichomonas foetus* in vitro and in vivo (mouse). Most of the compds. were more active than 1-(2-hydroxyethyl)-2-methyl-5-nitroimidazole in vitro but showed only comparable activities against subcutaneous infection in then mouse. All compds. were inactive against *Eimeria tenella* in 3-4 week-old chicks.
 IT 6534-44-7, Benzimidazole, 5,6-dichloro-2-(5-nitro-2-furyl)-10443-01-3, Benzimidazole, 5,6-dimethyl-2-(5-nitro-2-furyl)- (prepn. of)
 RN 6534-44-7 CAPLUS
 CN 1H-Benzimidazole, 5,6-dichloro-2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)



RN 10443-01-3 CAPLUS
 CN Benzimidazole, 5,6-dimethyl-2-(5-nitro-2-furyl)- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 similarly during 12 hrs. the 4,5-dimethyl deriv. of II, which was sublimed at 100-10.degree./ 0.05 mm. 2-Aminobenzimidazole (13.3 g.) in 110 cc.
 1.ON H2SO4 and 25 g. CuSO4.5H2O treated dropwise with stirring during 55 min. with 34.5 g. NaNO2 in 100 cc. H2O at 0.degree., stirred 18 hrs. at room temp., treated dropwise with cooling and stirring with 33 cc. 18N H2SO4, stirred 1.5 hrs. at room temp., and exdtd. with 1000 cc. Et2O yielded 2-nitrobenzimidazole (IV), m. 261-2.degree. (decompn.). IV (3.22 g.) in 10 cc. 2.5N NaOH and 20 cc. H2O treated dropwise with stirring at 55.degree. with 3.0 cc. Me2SO4, stirred 1.5 hrs. at room temp., and kept 12 hrs. gave the 1-Me deriv. of IV, m. 166-8.degree. (aq. EtOH). 2-Amino-5,6-dimethylbenzimidazole (16.1 g.) in 100 cc. 1.ON H2SO4 and 25 g. CuSO4.5H2O treated dropwise with stirring at 0.degree. with 34.5 g. NaNO2 in 100 cc. H2O gave similarly the 5,6-dimethyl deriv. of IV, m. 244-5.degree. (aq. EtOH). Examples for the formulation of IV and some of its deriva. in tablets, capsules, suppositories, and injection solns. are given.
 IT 5709-69-3, Benzimidazole, 5,6-dimethyl-2-nitro- (prepn. of)
 RN 5709-69-3 CAPLUS
 CN Benzimidazole, 5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1966:473537 CAPLUS
 DOCUMENT NUMBER: 65:73537
 ORIGINAL REFERENCE NO.: 65:13725b-g
 TITLE: 2-Nitroimidazoles
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co., A.-G.
 SOURCE: 17 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 65014946		19660518	NL	
PRIORITY APPLN. INFO.:	US	19641117		

AB Substituted 2-nitroimidazoles were prepd. for use against protozoa, bacteria, and pathogenic fungi. 2-(p-Bromobenzeneazo)imidazole (50 g.) in EtOH hydrogenated over Raney Ni, and the red-brown oily product

treated in 75 cc. H2O with 10 cc. concd. H2SO4 and then 12 hrs. with 400 cc. abs. MeOH yielded crude 2-aminoimidazole sulfate (I), m. 255.degree., beginning to decomp. at 278.degree., which recrystd. from boiling 3:1 H2O-EtOH gave I, m. 280.degree. (decompn.). H2NCH2CH(OEt)2 (100 g.) and 162 cc. H2O treated 48 hrs. at room temp. with methylisourea sulfate and evapd., and the viscous oily residue crystd. from 1100 cc. Me2CO yielded N-(2,2-diethoxyethyl)guanidine sulfate, m. 150-3.degree. (MeOH-Me2CO); a 76.6-g. portion added during 15 min. with stirring into 750 cc. boiling H2O and 4.8 cc. concd. H2SO4 and refluxed 15 min. gave I, m. 280.degree. (decompn.) (H2O). I (15.7 g.), 41 g. NaNO2, and 297 g. CuSO4.5H2O in 18,000 cc. H2O kept 16 hrs. at room temp., adjusted with dil. HNO3 to about pH 2.0, and exdtd. with AcOEt yielded the yellow 2-nitroimidazole (II) which was sublimed at 175.degree./0.5-1.0 mm. I (660 mg.), 1.6 g. NaNO2, and 40 cc. H2O kept 1 hr. at room temp. gave similarly II. 2-(p-Bromobenzeneazo)-4-methylimidazole (8.58 g.) in 200 cc. EtOH hydrogenated 4 hrs. at 14-21 atm./50.degree. over 2 g. Raney Ni, and the crude product in H2O neutralized with 2.7 cc. 12N H2SO4 gave the 4-Me deriv. (III) of I, m. 229-31.degree. (1:10 H2O-EtOH); a 0.146-g. portion in 1 cc. H2O treated 21 hrs. at room temp. with 2.5 g. CuSO4.5H2O and

0.35 g. NaNO2 in 360 cc. H2O and adjusted with 1.5 cc. dil. HCl to pH 2.0 gave III, I (6.7 g.), 12.7 g. CuSO4.5H2O, and 460 cc. 12N H2SO4 treated at -20.degree. with 69 g. NaNO2 in 80 cc. H2O (introduced under the surface of the mixt.), kept 24 hrs. at room temp., and adjusted with concd. NH4OH to pH 0.5 gave II. CuSO4.5H2O (150 g.) in 2000 cc. H2O and then 79.2 g.

I in 1000 cc. H2O added at 0.degree. to 1600 cc. 12N H2SO4, cooled to -20.degree., treated (under the surface) with 828 g. NaNO2 in 3000 cc.

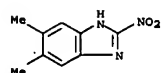
H2O during 1 hr., kept 40 hrs. at room temp., adjusted at -10.degree. to pH 1.0 with about 5000 cc. concd. NH4OH, and stirred 1-2 hrs. at 0.degree. yielded II, m. 289.degree. (decompn.). 1-Methyl-2-aminoimidazole-HCl (6.7 g.), 12.5 g. CuSO4.5H2O, and 800 cc. 12N H2SO4 treated at -20.degree.

with 69 g. NaNO2 in 160 cc. H2O and kept 40 hrs. at room temp. yielded 1-Me deriv. of II, m. 102-3.degree. (isoPrOH). 4,5-Dimethyl deriv. of I gave

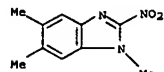
L5 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1966:473427 CAPLUS
 DOCUMENT NUMBER: 65:73427
 ORIGINAL REFERENCE NO.: 65:13687d-e
 TITLE: Studies in the Nitroimidazole series. I. Synthesis of azomycin and related compounds
 AUTHOR(S): Beamen, Alden G.; Tautz, William; Gabriel, Thomas; Keller, Oscar; Loomer, Voldemar; Duchinsky, Robert
 CORPORATE SOURCE: Hoffmann-La Roche Res. Div., Nutley, NJ
 SOURCE: Antimicrobial Agents and Chemotherapy (1961-70) (1965)

469-77
 CODEN: AACHAX; ISSN: 0074-9923
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Azomycin (2-nitroimidazole) was synthesized in 50% yield by treatment of 2-aminoimidazole with HONO in the presence of CuSO4 (Jones and Robins, CA 55, 559c). Synthetic azomycin was identified with natural azomycin by mixed m.p., by Pka, by uv and ir and by its in vitro anti-bacterial spectrum against 19 microorganisms. The method was also applied to the prepn. of alkyl-2-aminoimidazoles and to 2-amino-5,6-dimethylbenzimidazoles. The resulting 2-nitro compds. were then alkylated in the 1-position. When treated with alkali, the 1-alkyl-2-nitroimidazoles were more stable than the 1-alkyl-2-nitrobenzimidazoles which were transformed into 2-benzimidazolinones. The compds. were tested microbiol. by agar diffusion-cup plate employing a complex nitrogenous medium. Growth inhibition characteristics for the various compds.

against a no. of bacteria were given.
 IT 5709-69-3, Benzimidazole, 5,6-dimethyl-2-nitro- 5709-70-6
 Benzimidazole, 1,5,6-trimethyl-2-nitro- 10045-41-7
 Benzimidazole, 5,6-dichloro-2-nitro- 10045-44-0, Benzimidazole, 1-ethyl-5,6-dimethyl-2-nitro- (prepn. of)
 RN 5709-69-3 CAPLUS
 CN Benzimidazole, 5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

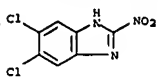


RN 5709-70-6 CAPLUS
 CN Benzimidazole, 1,5,6-trimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

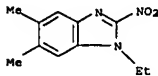


RN 10045-41-7 CAPLUS
 CN Benzimidazole, 5,6-dichloro-2-nitro- (7CI, 8CI) (CA INDEX NAME)

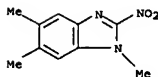
L5 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 10045-44-0 CAPLUS
 CN Benzimidazole, 1-ethyl-5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)



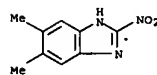
L5 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN Benzimidazole, 1,5,6-trimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1966:104269 CAPLUS
 DOCUMENT NUMBER: 64:104269
 ORIGINAL REFERENCE NO.: 64:19630a-d
 TITLE: Nitroimidazoles
 INVENTOR(S): Fitzmaurice, Colin
 PATENT ASSIGNEE(S): Bengel Laboratories Ltd.
 SOURCE: 3 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1026631		19660420	GB	19610626

GI For diagram(s), see printed CA Issue.
 AB Nitroimidazole derive. (I) in which R = H or an alkyl group with 1-3 C atoms and X = an alkoxy or acyloxy group with 1-4 C atoms are prepd. to be used in pharmaceutical preps. for the treatment of protozoal infections. Thus, 10 g. 4-nitroimidazole (II) and 12 ml. ClCH₂OMe was heated 3 hrs. in a sealed tube at 100.degree., the cooled soln. was taken up in H₂O, made alk. with Na₂CO₃, and extd. with CHCl₃ to give 6.3 g. I (R = H, X = OMe), m. 66.5-67.degree. (C₆H₆). In the same way, 2.5 g. 2-methyl-4-nitroimidazole, and 5 ml. ClCH₂OMe gave 1.4 g. I (R = Me, X = OMe), m. 71.5-72.5.degree. (Et₂O). II (1.7 g.) and 4 ml. ClCH₂OAc was heated 1 hr. at 140.degree., the mixt. was cooled overnight, treated with H₂O and Na₂CO₃, and extd. with CHCl₃. The residual oil of the evapd. (in vacuo) CHCl₃ ext. was triturated with Et₂O and the ppt. recrystd. from EtOAc, giving 1.5 g. I (R = H, X = CH₂OAc) (III), m. 83.5-84.5.degree. III, m. 88-89.5.degree., was also prepd. in 6.2-g. yield by refluxing 5 g. II, 5 ml. AcOCH₂Cl, and 3.5 g. K₂CO₃ 4 hrs. in 50 ml. Me₂CO, evapd. the filtered soln. in vacuo, and extg. the residue with EtOAc, boiling the ext. with C, and pptg. it with petroleum ether. II (6 g.) and 7.5 ml. EtCO₂CH₂Cl (IV) was refluxed 3 hrs. and cooled overnight, the excess IV was distd. in vacuo, and the residue treated in H₂O with Na₂CO₃, extd. with CHCl₃, giving I (R = H, X = EtCO₂CH₂), m. 61-62.degree. (Et₂O-petroleum ether). IT 5709-69-3, Benzimidazole, 5,6-dimethyl-2-nitro- 5709-70-6, Benzimidazole, 1,5,6-trimethyl-2-nitro- (prepn. of)
 RN 5709-69-3 CAPLUS
 CN Benzimidazole, 5,6-dimethyl-2-nitro- (7CI, 8CI) (CA INDEX NAME)

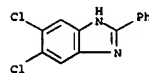


RN 5709-70-6 CAPLUS

L5 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1958:62906 CAPLUS
 DOCUMENT NUMBER: 52:62906
 ORIGINAL REFERENCE NO.: 52:11348e-g
 TITLE: Insecticides and disinfectants
 INVENTOR(S): Jerchel, Dietrich
 PATENT ASSIGNEE(S): C. H. Boehringer Sohn
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 888032		19530827	DE	

AB Halogenated 2-arylbenzimidazoles contg. at least 2 halogen atoms and, possibly, hydroxyl groups, are valuable insecticides and disinfectants of low toxicity. N1 can be substituted with alkyl, aralkyl, or aryl groups. To obtain the products, substituted omicron-phenylenediamines are treated with a substituted BzH in the presence of a dehydrogenating agent. The following substances have been synthesized (yields and m.p. given): 2-(2-hydroxy-3,5-dichlorophenyl)benzimidazole (I) 85%, 299-300.degree.; 2-(2,4-dichlorophenyl)-4,6-dichlorobenzimidazole, 80%, 160-1.degree.; 2-(2-hydroxy-3,5-dichlorophenyl)-4,6-dichlorobenzimidazole (II), 65%, 231-2.degree.; 1-methyl-2-(2,4-dichlorophenyl)-4,6-dichlorobenzimidazole, 90%, 186-7.degree.; 1-methyl-2-(2-hydroxy-3,5-dichlorophenyl)-4,6-dichlorobenzimidazole, 85%, 276-8.degree.; 1-benzyl-2-(2-hydroxy-3,5-dichlorophenyl)-4,6-dichlorobenzimidazole, 73%, 191-2.degree.; and 5,6-dichloro-2-phenylbenzimidazole (III), 60%, 145.degree.. The fungicidal and bactericidal action of the compds. has been tested. Inhibits completely the growth of Staphylococcus in a diln. of 1:17,000 and II in a diln. of 1:805,000. Thus, 10 ml. of a 1% soln. of II at pH 8.5 is dild. with 1 l. H₂O to give an excellent disinfectant, and 1 g. III per 3-10 l. H₂O gives an effective spraying fungicide.
 IT 90300-22-4, Benzimidazole, 5,6-dichloro-2-phenyl- (prepn. of)
 RN 90300-22-4 CAPLUS
 CN 1H-Benzimidazole, 5,6-dichloro-2-phenyl- (9CI) (CA INDEX NAME)



10/071,978

Page 41

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

164.29

313.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-22.79

-22.79

STN INTERNATIONAL LOGOFF AT 15:37:58 ON 24 JUN 2003

(2)

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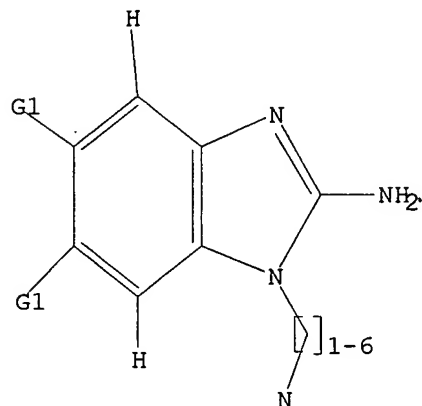
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 H,O,N,CF3,CCl3,CBr3,NH,NH2,NO2,X,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

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SAMPLE SCREEN SEARCH COMPLETED - 240 TO ITERATE

100.0% PROCESSED

240 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3871 TO 5729

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:51:24 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 5042 TO ITERATE

100.0% PROCESSED 5042 ITERATIONS

69 ANSWERS

SEARCH TIME: 00.00.01

L3 69 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

Habte

6/24/2003

FILE 'CAPLUS' ENTERED AT 13:51:30 ON 24 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 24 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 23 Jun 2003 (20030623/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 40 L3

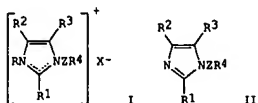
=> s l4 and bacteri?

L5 4 L4 AND BACTERI?

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L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:58776 CAPLUS
DOCUMENT NUMBER: 92:58776
TITLE: Imidazolium halides
INVENTOR(S): Ikura, Katsuyato; Katsura, Kiyoshi; Mizuno, Masami;
Nishibe, Tatsuyuki
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

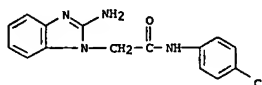
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54079278	A2	19790625	JP 1977-145101	19771205
JP 61000830	B4	19860111		
PRIORITY APPLN. INFO.:			JP 1977-145101	19771205
GI				



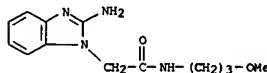
AB Sixty-six imidazolium halides I (R = alkyl, cycloalkyl; Z = alkylene; R¹ = H, alkyl, NH₂; R² = R³ = H, R², R³, and the imidazole ring may form a benzimidazole ring; X = halo; R⁴ = R⁵CO = NH₂, alkylamino, etc.), R⁷CGH₄C(NOR⁶) (R⁶ = H, alkylcarbonyl, etc.; R⁷ = H, halo) were prepd., e.g., by reaction of RX with II. Antibacterial data were given against *Phytophthora capsici*, *Helminthosporium maydis*, *Venturia inaequalis*, *Escherichia coli*, *Staphylococcus aureus*, *Candida albicans*, and *Trichophyton mentagrophytes*. Thus, a mix of 1.7 g (I, R¹ = R² = R³ = H, R⁴ = R⁵ = 2-Cl-12CGH₃NHCO, Z = CH₂) and 1.5 g n-Cl12H₂₃Br in PhMe was refluxed 17 h to give 46.6% I (R = n-Cl12H₂₃, R² = R³ = R⁴ = R⁵ = 2-4-Cl2CGH₃NHCO, Z = CH₂, X = Br).

IT 72502-59-1 72502-61-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (alkylation of)
 RN 72502-59-1 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(4-chlorophenyl)- (9CI) (CA INDEX
 NAME)

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 72502-61-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(3-methoxypropyl)- (9CI) (CA
INDEX NAME)

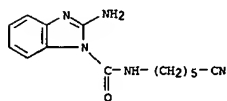


L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:501614 CAPLUS
DOCUMENT NUMBER: 77101614
TITLE: Biotidal N-(ω , ω -cyanoalkyl)carbamoylbenzimidazole
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Frohberger, Paul
Ernst; Greve, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: U.S., 12 pp.
CODEN: USXKAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMIL/ACC. NUM. COUNT: 3
PATENT INFORMATION:

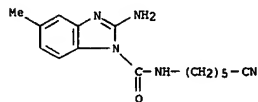
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3673210	A	19720627	US 1969-880399	19691126
DE 1812005		19700618	DE 1968-1812005	19681130
US 3674728		19740226	US 1974-206180	19731208
US 3864490		19750204	US 1973-329283	19730829
PRIORITY APPLN. INFO.:			DE 1968-1812005	19681130
			DE 1968-1812000	19681130
			US 1969-880399	19691126
			US 1971-206180	19711228

GI For diagram(s), see printed CA Issue.
AB Eight title compds. I (R = CO₂Et, CO₂Me, H; R₁ = H, Me; n = 11, 5) were
prepd. by treating an alkyl N-(benzimidazol-2-yl)carbamate with an
.omega.-isocyanato-alkanoic acid nitrile. I exhibit strong, effective
fungitoxic and antibacterial activity.

IT	28559-06-0P 32987-23-8P	
	RL: SPN (Synthetic preparation); PREP (Preparation)	
	(prepn. of)	
RN	28559-06-0 CAPLUS	
CN	1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA	
	INDEX NAME)	



RN 32987-23-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI)
(CA INDEX NAME)



L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:436053 CAPLUS

DOCUMENT NUMBER: 75:36053

TITLE: Pesticidal .omega.-cyanoalkylcarbonylbenzimidazoles
INVENTOR(S): Daum, Werner; Scheinplug, Hans; Fronberger, Paul E.;
Grewe, Ferdinand

PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.

SOURCE: Brit., 8 pp.
CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1228108	A	19710415	GB 1969-1228108	19691119
DE 1812005	A	19700618	DE 1968-1812005	19681130
US 3864490	A	19750204	US 1973-392833	19730829
PRIORITY APPLN. INFO.:			DE 1968-1812005	19681130
			DE 1968-1812000	19681130
			US 1971-206180	19711208

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) are prepd. Thus, to a cooled, stirred mixt. of 302 g ClCO₂Et with a soln. of 2 moles PhCH₂SC(=NH)NH₂·HCl in 800 ml H₂O and 200 ml MeCN, are added 25% aq. NaOH at .ltoreq.25.degree. until the pH reaches 8, stirring continued 80 min, 1.5 l. H₂O added, the sepd. org. phase, after addn. of 0.5 l. H₂O, 216 g o-C₆H₄(NH₂)₂, and 180 g HOAc heated to 80-90.degree. 15 min, kept 2 hr at 80-90.degree., cooled, the aq. phase sepd., and the paste-like product stirred with H₂O, and then iso-PrOH to give 82% II. A mixt. of 10 g CN(CH₂)₁₁NC=O, b0.1 124-6.5.degree., [obtained from CN(CH₂)₁₁NH₂ and COCl₂ in PhCl, 2 hr at 120.degree.] and 10 ml Me₂CO is added to 1 ml of a mixt. of 7.7 g II, 30 ml dry Me₂CO, and 0.1 ml picoline, the mixt. stirred 2 hr at 40.degree., kept 18 hr at 23.degree., and dild. with 40 ml Me₂CO, adding ligroine and drying the crystals at 40.degree./0.1 mm to give 13.5 g I (n = 11; R = CO₂Et, R₁ = H). Values otherwise exemplified in I are: n = 5; R = H, CO₂Me; R₁ = 5-Me, 6-Me. I exhibit fungitoxic, antibacterial, insecticidal, acaricidal and ovicidal properties. They are systemically effective, and are more fungitoxically effective than N-trichloromethylthiotetrahydrophthalimide.

IT 28559-06-0P 32987-23-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

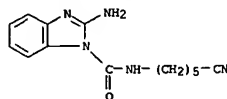
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RN 28559-06-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA

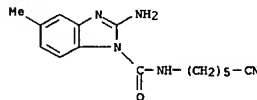
INDEX NAME)

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 32987-23-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1970:456097 CAPLUS

DOCUMENT NUMBER: 73:56097

TITLE: Pesticidal 1-[(cyanoalkylcarbonyl)-2-

aminobenzimidazoles

INVENTOR(S): Daum, Werner; Scheinplug, Hans; Fronberger, Paul E.;

Grewe, Ferdinand

PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.

SOURCE: Ger. Offen., 36-pp.

CODEN: GWXXAA

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1812005	A	19700618	DE 1968-1812005	19681130
CH 520470	A	19720515	CH 1969-520470	19691105
GB 1228108	A	19710415	GB 1969-1228108	19691119
RO 56183	P	19750115	RO 1969-61620	19691120
FI 52718	B	19770801	FI 1969-3360	19691120
CS 157077	P	19740823	CS 1969-7731	19691124
DK 123821	B	19720807	DK 1969-6260	19691125
SU 365887	D	19730108	SU 1969-1380755	19691125
SU 416915	D	19740225	SU 1969-1420140	19691125
US 3673210	A	19720627	US 1969-880399	19691126
AT 301260	B	19720825	AT 1969-11090	19691127
BE 742394	A	19700528	BE 1969-742394	19691128
NL 6917947	A	19700602	NL 1969-17947	19691128
ES 374005	A1	19720301	ES 1969-374005	19691128
NO 124257	B	19720327	NO 1969-4712	19691128
SE 349805	B	19721009	SE 1969-16432	19691128
JP 48016919	B4	19730525	JP 1969-95631	19691129
JP 48028053	B4	19730829	JP 1969-95632	19691129
FR 2024970	A5	19700903	FR 1969-41396	19691201
US 3794728	A	19740226	US 1971-206180	19711208
US 3864490	A	19750204	US 1973-392833	19730829
JP 51000116	B4	19760105	JP 1973-130850	19731122
PRIORITY APPLN. INFO.:			DE 1968-1812000	19681130
			DE 1968-1812005	19681130
			US 1969-880399	19691126
			US 1971-206180	19711208

GI For diagram(s), see printed CA Issue.

AB The fungitoxic, antibacterial, insecticidal, acaricidal, and ovicidal title compds. (I) were prepd. Thus, heating 7.7 g II and 10 g OCN(CH₂)₁₁CN in 30 ml Me₂CO and 0.1 ml picoline 2 hr at 40.degree. gave 13.5 g I (R = CO₂Et, R₁ = R₂ = H, n = 11). Similarly prepd. were I (R, R₁, R₂, and n given): CO₂Me, H, H, 5; CO₂Et, H, H, 5; CO₂Me, H, Me, 5; CO₂Me, Me, H, 5; EtCO, H, H, 5; H, H, H, 5.

IT 28559-06-0P 28559-07-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

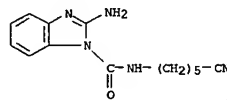
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RN 28559-06-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA

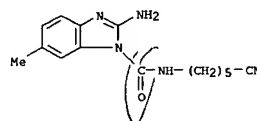
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L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 28559-07-1 CAPLUS

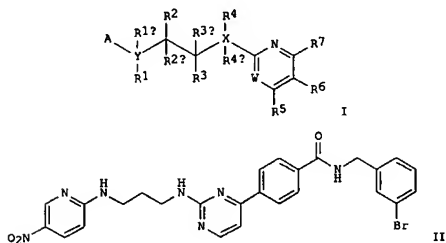
CN 1-Benzimidazolecarboxamide, 2-amino-N-(5-cyanopentyl)-6-methyl- (8CI) (CA INDEX NAME)



L6 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:814853 CAPLUS
 DOCUMENT NUMBER: 137:325431
 TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
 INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjor; Levine, Barry H.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002156087	A1	20021024	US 2001-949035	20010906
US 6417185	B1	20020709	US 1999-336038	19990618
PRIORITY APPLN. INFO.:			US 1999-236038	A2 19990618
			US 2000-230480P	P 20000906
			US 1998-89978P	P 19980619

OTHER SOURCE(S): MARPAT 137:325431
 GI



AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo,

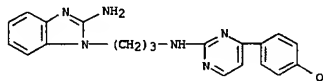
L6 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:256239 CAPLUS
 DOCUMENT NUMBER: 136:289365
 TITLE: Benzimidazole compounds and methods for use thereof in the treatment of cancer or viral infections
 INVENTOR(S): Quada, James C., Jr.; Agyin, Joseph K.; Camden, James Berger
 PATENT ASSIGNEE(S): Procter & Gamble Company, USA
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PXXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026716	A2	20020404	WO 2001-US29261	20010919
WO 2002026716	A3	20020711		
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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, HD, RU, TJ				
RW:				
GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 6407105	B1	20020618	US 2000-670169	20000926
US 6462062	B1	20021008	US 2000-670168	20000926
US 2002193609	A1	20021219	US 2002-132545	20020425
US 2003100592	A1	20030529	US 2002-267051	20021008
PRIORITY APPLN. INFO.:			US 2000-670166	A 20000926
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			US 2000-670169	A 20000926
			US 2000-670170	A 20000926

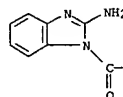
OTHER SOURCE(S): MARPAT 136:289365

AB Benzimidazole derivs. and salts and prodrugs thereof are disclosed, together with methods for the treatment of cancers or viral infections in warm blooded animals by administration of these compds. Such compds. may be used in combination with a chemotherapeutic agent and/or a potentiator. 2-Aminobenzimidazole was reacted with benzyl isocyanate to give a product that inhibited murine melanoma and human colon carcinoma with IC50s of 73.5 and 66.0 .mu.M, resp.
 IT 406932-10-3P
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (murine melanoma and human colon carcinoma and tubulin polymn. inhibition with; benzimidazole compds. and methods for use thereof in treatment of cancer or viral infections)
 RN 406932-10-3 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

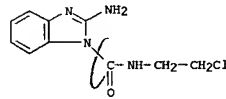
L6 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(onyl), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd: as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).
 IT 403807-06-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 [prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors]
 RN 403807-06-7 CAPLUS
 CN Phenol, 4-[2-[[3-(2-amino-1H-benzimidazol-1-yl)propyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



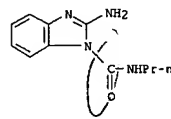
L6 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 406932-09-0 406932-12-5
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (murine melanoma and human colon carcinoma and tubulin polymn. inhibition with; benzimidazole compds. and methods for use thereof in treatment of cancer or viral infections)
 RN 406932-09-0 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(2-chloroethyl)- (9CI) (CA INDEX NAME)



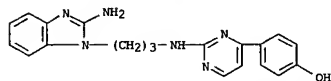
RN 406932-12-5 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-propyl- (9CI) (CA INDEX NAME)



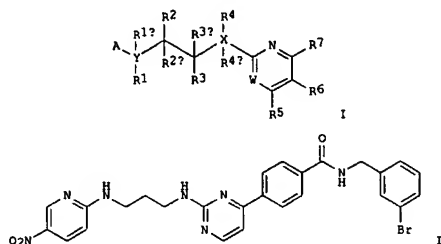
L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:185092 CAPLUS
 DOCUMENT NUMBER: 136:247598
 TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
 INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 268 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906
WO 2002020495	A3	20020620		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095026	A5	20020322	AU 2001-95026	20010906
EP 1317433	A2	20030611	EP 2001-975734	20010906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.: US 2000-230480P P 20000906 WO 2001-US42081 W 20010906				
OTHER SOURCE(S): MARPAT 136:247598				
GI				

L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



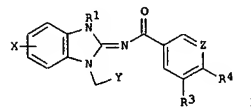
AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, guanidiny, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carbonyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidiny, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclcondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3. beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT RI: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)
 RN 403807-06-7 CAPLUS
 CN Phenol, 4-[2-[[3-(2-amino-1H-benzimidazol-1-yl)propyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS

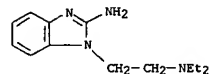
ACCESSION NUMBER: 2001:713323 CAPLUS
 DOCUMENT NUMBER: 135:272957
 TITLE: Preparation of 2-acylaminobenzimidazoles for treating glaucoma
 INVENTOR(S): Rusinko, Andrew; Hellberg, Mark R.; Namli, Abdelmuola
 PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070705	A1	20010927	WO 2000-US31260	20001114
V: AU, BR, CA, CN, JP, MX, PL, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRIORITY APPLN. INFO.: US 2000-190280P P 20000317				
OTHER SOURCE(S): MARPAT 135:272957				
GI				

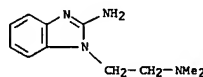


AB Title compds. (I: X = H, F, Cl, Br, cyano, alkyl, CF3, COR1, OR1, etc.; R-R2 = H, alkyl; Y = CH2NRR2, CHR1NRR2; Z = CH, N; R3 = H, F, Cl, Br, OR1, cyano, alkyl, CF3; R4 = H, alkyl, F, Cl, Br, iodo, CF3; when X = H, then Y .noteq. CH2NRR2), were prepd. Thus, 1-(2-tert-butoxycarbonylaminoethyl)-2-aminobenzimidazole hydrobromide (prepn. given) was stirred with Et3N and 4-methylbenzoyl chloride in CH2Cl2 at 0.degree. to room temp. overnight to give a residue which was treated with CF3CO2H to give 1-(2-aminoethyl)-2-(4-methylbenzamido)benzimidazole. 1-(N,N-dimethylaminoethyl)-2-(4-methylbenzamido)benzimidazole (prepn. given) showed 5-HT2 receptor binding activity with IC50 = 330 nM. I drug formulations were given.

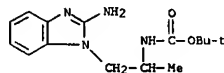
IT RI: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of acylaminobenzimidazoles for treating glaucoma)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 IT 38652-78-7P 362600-31-5P 362600-32-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of acylaminobenzimidazoles for treating glaucoma)
 RN 38652-78-7 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

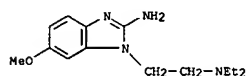


RN 362600-31-5 CAPLUS
 CN Carbamic acid, [2-(2-amino-1H-benzimidazol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



• HBr

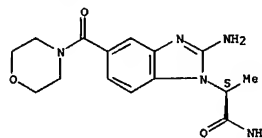
RN 362600-32-6 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl-6-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:222231 CAPLUS
 DOCUMENT NUMBER: 135:61273
 TITLE: Solid phase synthesis of chiral 2-amino-benzimidazoles
 AUTHOR(S): Lee, J.; Doucette, A.; Wilson, N. S.; Lord, J.
 CORPORATE SOURCE: Research & Development Center, Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT, 06877, USA
 SOURCE: Tetrahedron Letters (2001), 42(14), 2635-2638
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:61273
 AB A multi-step solid-phase synthesis of 2-aminobenzimidazoles is described. The reaction sequence incorporates optically active .alpha.-amino acids to afford enantiomerically pure 2-aminobenzimidazoles with a chiral center adjacent to one of the heterocyclic nitrogens. This solid-phase methodology was further extended to prep. other chiral heterocyclic compds. such as benzimidazolones.
 IT 345891-02-3P 345891-03-4P 345891-04-5P
 345891-05-6P 345891-06-7P 345891-07-8P
 345891-08-9P 345891-09-0P 345891-10-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid phase synthesis of chiral benzimidazolamines)
 RN 345891-02-3 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-5-(4-morpholinylcarbonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

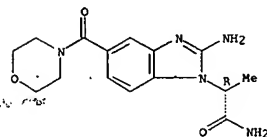
Absolute stereochemistry.



RN 345891-03-4 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-5-(4-morpholinylcarbonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

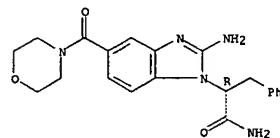
Absolute stereochemistry.

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



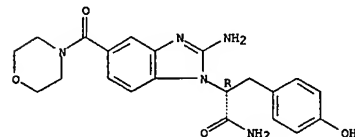
RN 345891-04-5 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-5-(4-morpholinylcarbonyl)-.alpha.-phenylmethyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345891-05-6 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-[(4-hydroxyphenyl)methyl]-5-(4-morpholinylcarbonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

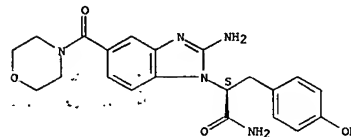
Absolute stereochemistry.



RN 345891-06-7 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-[(4-hydroxyphenyl)methyl]-5-(4-morpholinylcarbonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

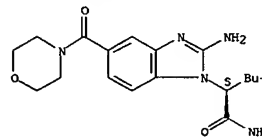
Absolute stereochemistry.

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



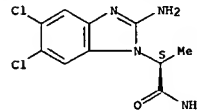
RN 345891-07-8 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-[(2-methylpropyl)-5-(4-morpholinylcarbonyl)-], (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345891-08-9 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-5,6-dichloro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

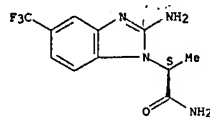
Absolute stereochemistry.



RN 345891-09-0 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-.alpha.-methyl-5-(trifluoromethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

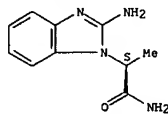
Absolute stereochemistry.

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 345891-10-3 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-2-methyl-, (1S)- (9CI)
 (CA INDEX NAME)

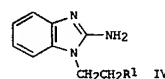
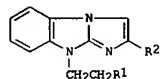
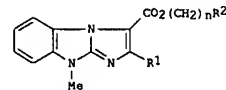
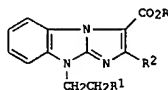
Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

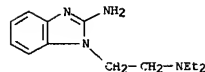
L6 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:124779 CAPLUS
 DOCUMENT NUMBER: 132:265148 -
 TITLE: Synthesis and study of the hypotensive and antiarrhythmic activity of 2,9-disubstituted 3-alkoxycarbonylimidazo[1,2-a]benzimidazoles
 AUTHOR(S): Anisimova, V. A.; Kuz'menko, T. A.; Spasov, A. A.; Bocharova, I. A.; Orbinskaya, T. A.
 CORPORATE SOURCE: Research Institute of Physical and Organic Chemistry, Rostov State University, Rostov-on-Don, Russia
 SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (1999), 33(7), 361-365
 CODEN: PCJOAU; ISSN: 0091-150X
 PUBLISHER: Consultants Bureau
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 132:265148
 GI



AB A series of 3-(alkoxycarbonyl)imidazo[1,2-a]benzimidazoles, in which (dialkylamino)alkyl groups were introduced either at the 9-position of the tricyclic nucleus, e.g., I (R1 = Et2N, piperidino, morpholino; R2 = Me, Ph, 1-naphthyl; R3 = Me, Et), or at the alkoxycarbonyl group, e.g., II (n = 2, 3; R1 = Me, Ph; R2 = Et2N, piperidino, morpholino, Me2N), were prep'd. from the corresponding 2,9-disubstituted imidazo[1,2-a]benzimidazoles III and 1-[(dialkylamino)alkyl]-2-aminobenzimidazoles IV. The hypotensive and antiarrhythmic activities of these compds. were also studied. The effects of the most active compds., I (R1 = morpholino, R2 = R3 = Me) and II (R1 = Me; R2 = Et2N, morpholino), exceed that of the ref. drug dibazole.
 IT 38652-79-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and study of the hypotensive and antiarrhythmic activity of 2,9-disubstituted 3-(alkoxycarbonyl)imidazo[1,2-a]benzimidazoles)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

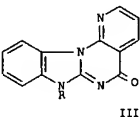
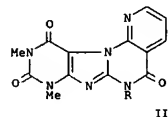
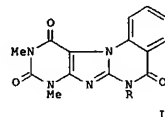
L6 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

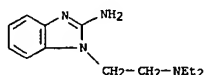
L6 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:687755 CAPLUS
 DOCUMENT NUMBER: 130:38239
 TITLE: Synthesis, DNA binding and in vitro antiproliferative activity of purinoquinazoline, pyridopyrimidopurine and pyridopyrimidobenzimidazole derivatives as potential antitumor agents
 AUTHOR(S): Da Settimo, Antonio; Da Settimo, Federico; Marini, Anna Maria; Primofiore, Giampaolo; Salerno, Silvia; Viola, Giampaolo; Dalla Via, Lisa; Magno, Sebastiano
 CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Pisa, Pisa, 56126, Italy
 SOURCE: European Journal of Medicinal Chemistry (1998), 33(9), 685-696
 CODEN: EJMCAS; ISSN: 0223-5234
 PUBLISHER: Editions Scientifiques et Medicales Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

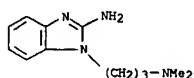


AB In the search for new antitumor agents, 8,10-dimethylpurino[7,8-a]quinazoline-5,9,11(6H,8H,10H)-triones I [R1 = (CH2)2NMe2, (CH2)3NMe2, (CH2)2NMe2, (CH2)3NMe2], 8,10-dimethylpyrido[2',3':4,5]pyrimido[1,2-f]purine-5,9,11(6H,8H,10H)-triones II [R2 = (CH2)2NMe2, (CH2)3NMe2, (CH2)2NMe2, (CH2)3NMe2], and 5,7-dihydro-5-oxopyrido[3',2':5,6]pyrimido[1,2-a]benzimidazoles III [R3 = (CH2)3NMe2, (CH2)2NMe2, (CH2)3NMe2], a series of new planar heteropolycyclic compds., were synthesized. The approach to understanding their structure-activity relationship involved a physico-chem. investigation of the binding process of these mols. to DNA, considered to be an important target for drug action, and an examn. of their biol. activity. Thermodyn. parameters of the DNA binding process, intrinsic binding const. and exclusion parameter were detd. The mode of interaction was addnl. investigated by means of linear flow dichroism studies. Evaluation of the biol. activity included cell growth inhibition in human tumoral cell lines and the ability to induce DNA cleavage in the presence of eukaryotic topoisomerase II. Only compds. of the purinoquinazoline series I, which are able to form a complex with DNA and to inhibit the topoisomerase II, show

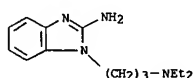
L6 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 antiproliferative activity.
 IT 38652-79-8P 38652-80-1P 92494-07-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn., DNA binding and antiproliferative activity of
 purinoquinazoline, pyridopyrimidinopurine and pyridopyrimidobenzimidazole
 derivs.)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 38652-80-1 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

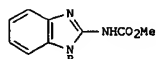


RN 92494-07-0 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



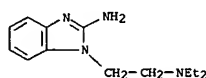
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:805073 CAPLUS
 DOCUMENT NUMBER: 128:98799
 TITLE: Investigations of unsaturated azoles. 15. Synthesis and reactions of acylated benzimidazoles
 AUTHOR(S): Popov, I. I.; Zubenko, A. A.
 CORPORATE SOURCE: Rostov State University, Rostov-on-Don, 344090, Russia
 SOURCE: Chemistry of Heterocyclic Compounds (New York) (Translation of Khimiya Geterotsiklicheskh Soedinenii) (1997), 33(3), 293-299
 CODEN: CHCCAL; ISSN: 0009-3122
 CONSULTANTS BUREAU
 PUBLISHER: Journal
 DOCUMENT TYPE: English
 LANGUAGE: English
 GI



AB Prepn. and reactions of acylated benzimidazoles have been studied. E.g., acylation of 1-alkyl-2-aminobenzimidazoles with ClCO2Me under phase transfer conditions gave Me carbamates I (R = Me, Et).

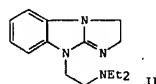
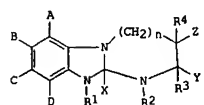
IT 38652-79-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and reactions of acylated benzimidazoles)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:245099 CAPLUS
 DOCUMENT NUMBER: 120:245099
 TITLE: Benzimidazole derivatives and analogs with antidiabetic and platelet antiaggregant activity, and their preparation and pharmaceutical compositions
 INVENTOR(S): Anisimova, Vera Alekseevna; Levchenko, Margarita Valentinovna; Kotichina, Tatyana Borisovna; Spasov, Alexander Alekseyevich; Kovalev, Sergei Gennadyevich; Dudchenko, Galina Petrovna
 PATENT ASSIGNEE(S): Adir et Cie., Fr.
 SOURCE: Eur. Pat. Appl., 66 pp.
 CODEN: EPXXWU
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

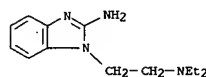
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 571253	A1	19931124	EP 1993-401239	19930514
EP 571253	B1	19981104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2691462	A1	19931126	FR 1992-6036	19920519
FR 2691462	B1	19950609		
FR 2694293	A1	19940204	FR 1992-9488	19920731
FR 2694293	B1	19941007		
AT 172975	E	19981115	AT 1993-401239	19930514
ES 2126636	T3	19990401	ES 1993-401239	19930514
CA 2096475	AA	19931120	CA 1993-2096475	19930518
AU 9338608	A1	19931125	AU 1993-38608	19930518
AU 656466	B2	19950202		
JP 06087859	A2	19940329	JP 1993-151016	19930518
JP 2506263	B2	19960612		
US 5623073	A	19970422	US 1993-63531	19930518
ZA 9303509	A	19931210	ZA 1993-3509	19930519
US 5639756	A	19970617	US 1994-330903	19941028
PRIORITY APPLN. INFO.:			FR 1992-6036	19920519
			FR 1992-9488	19920731
OTHER SOURCE(S):		MARPAT 120:245099		
GI				



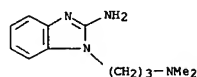
AB Members of claimed title compds. I [n = 0, 1; A, B, C, D = H, halo, alkyl, alkoxy, OH, CF3, hydroxyalkyl; Y, Z = H; or YZ = bond; XR1 or XR2 = bond, and other group (R1 or R2) = (un)substituted aminoalkyl, acrylalkyl, arylhydroxyalkyl, phenylalkyl, naphthylalkyl; R3 = H, alkyl, (un)substituted Ph, naphthyl, heteroaryl; R4 = H, (un)substituted

Have

L6 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
 aminoalkyl, aminoalkoxycarbonyl, aryl, heteroaryl with many addnl. dependencies and provisos) were prep. in 71 synthetic examples, mostly as salts, with the corresponding specific free bases also claimed. For example, 2-amino-1-[2-(diethylamino)ethyl]benzimidazole underwent N-alkylation at the 3-position by ClCH2CH2OH (90% yield), and treatment of the resulting alc. with SOCl2 gave the chloroethyl imine 1-[2-(diethylamino)ethyl]-2-imino-3-(2-chloroethyl)benzimidazole -2HCl (100%). Cyclization of the latter as the free base in xylene (92%) gave title compd. II, isolated as the di-HCl salt. Tests in rats showed I to have hypoglycemic activity comparable to gliclazide, lasting more than 12 h. I showed ID50 of < 10-4 M for inhibition of ADP-induced aggregation of rabbit platelets in vitro, but showed no significant antihypertensive effects in rats. Acute oral toxicity in mice was also said to be very low.
 IT 38652-79-8 38652-80-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (N-alkylation of, in prepn. of imidazobenzimidazole antidiabetics)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

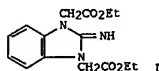


RN 38652-80-1 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

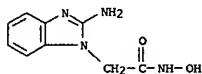


6/24/2003

L6 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1993:182981 CAPLUS
 DOCUMENT NUMBER: 118:182981
 TITLE: Synthesis and anti-inflammatory properties of 2-aminobenzimidazole derivatives
 AUTHOR(S): Da Settimo, Antonio; Primofiore, Giampaolo; Da Settimo, Federico; Marini, Anna Maria
 CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, 56126, Italy
 SOURCE: Farmaco (1992), 47(10), 1293-313
 CODEN: FMCE88; ISSN: 0014-827X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

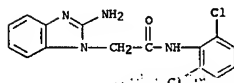


AB Several 1-alkyl or 1-aryl substituted 2-aminobenzimidazole derivs., bearing an acetic or acetohydroxamic group at 3-position, were synthesized. Some of these products were tested for their anti-inflammatory and analgesic properties. These compds. exhibited an anti-inflammatory activity lower than that of ref. drug indomethacin. I showed the highest efficacy, but not in a dose-related manner. Only 2 compds. exhibited some analgesic activity, but at a very high dose.
 IT 146821-53-6P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and inflammation inhibiting activity of)
 RN 146821-53-6 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



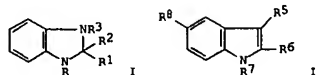
● HCl

L6 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

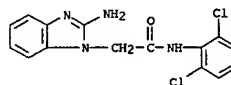


● HCl

L6 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:449510 CAPLUS
 DOCUMENT NUMBER: 115:449510
 TITLE: Synthesis and antihypertensive activity of some 2-aminobenzimidazole and indole derivatives
 AUTHOR(S): Da Settimo, Antonio; Marini, Anna Maria; Primofiore, Giampaolo; Subissi, Alessandro
 CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, 56100, Italy
 SOURCE: Farmaco (1991), 46(2), 357-67
 CODEN: FMCE88; ISSN: 0014-827X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

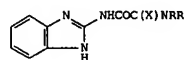


AB Aminobenzimidazole derivs. I [R = H, CH2Ph, Me, CH2C6H4Cl-4, R1 = NHCOCOR4, R2R3 = bond, R4 = 2,6-dichloroanilino (throughout); R = H, CH2Ph, Me, CH2C6H4Cl-4, R1R2 = NH, R3 = CH2COR4] and indole derivs. II (R5 = COCOR4, R6, R7 = H, Me, R8 = H, Br, Cl, NO2, OMe; R5 = CH2COR4, R6 = R7 = R8 = H) were prepd. and some were tested for antihypertensive activity. Thus, indol-3-ylacetyl chloride condensed with 2,6-dichloroaniline to give II (R5 = CH2COR4, R6 = R7 = R8 = H). None of the compds. tested showed appreciable antihypertensive activity.
 IT 134937-73-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antihypertensive activity of)
 RN 134937-73-8 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

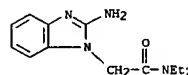


IT 134937-77-2P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 134937-77-2 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(2,6-dichlorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

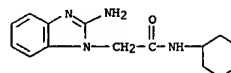
L6 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:62000 CAPLUS
 DOCUMENT NUMBER: 114:62000
 TITLE: Synthesis, antilipidemic and platelet antiaggregatory activity of 2-aminobenzimidazole amide derivatives
 AUTHOR(S): Caroti, P.; Ceccotti, C.; Da Settimo, F.; Primofiore, G.; Franzone, J. S.; Reboani, M. C.; Cravanzola, G.
 CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
 SOURCE: Farmaco (1989), 44(3), 227-35
 CODEN: FMCE88; ISSN: 0014-827X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 114:62000
 GI



AB The synthesis and preliminary pharmacol. evaluation of title compds. (e.g., 1, X = O, H2; NRR = NET2, pyrrolidino, piperidino, morpholino) from 2-aminobenzimidazole and related compds. are reported. None of these compds. showed antilipidemic or platelet aggregation inhibiting activity comparable to that of drugs used in therapy.
 IT 131705-48-1P 131705-78-7P 131705-79-8P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 131705-48-1 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

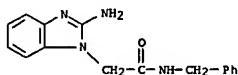


RN 131705-78-7 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N-cyclohexyl- (9CI) (CA INDEX NAME)

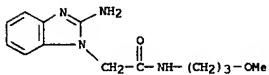


RN 131705-79-8 CAPLUS
 CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 72502-61-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

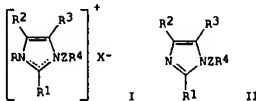


L6 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:58776 CAPLUS
DOCUMENT NUMBER: 92:58776
TITLE: Imidazolium halides
INVENTOR(S): Ikura, Katsuyata; Katsura, Kiyoshi; Mizuno, Masami; Nishiibe, Tadayuki
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKOXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54079278	A2	19790625	JP 1977-145101	19771205
JP 61000830	B4	19860111		

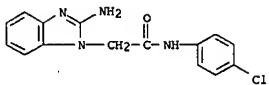
PRIORITY APPLN. INFO.: JP 1977-145101 19771205
GI



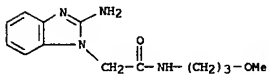
AB Sixty-six imidazolium halides I [R = alkyl, cycloalkyl; Z = alkylene; R1 = H, alkyl, NH2; R2, R3 = H; R2, R3, and the imidazole ring may form a benzimidazole ring; X = halo; R4 = R5CO (R5 = NH2, alkylamino, etc.), R7C6H4C(:NOR6) (R6 = H, alkylcarbamoyl, etc.; R7 = H, halo)] were prepd., e.g., by reaction of RX with II. Antibacterial data were given against *Phytophthora capsici*, *Helminthosporium maydis*, *Venturia inaequalis*, *Escherichia coli*, *Staphylococcus aureus*, *Candida albicans*, and *Trichophyton mentagrophytes*. Thus, a mixt. of 1.7 g II (R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2) and 1.5 g n-Cl1H23Br in PhMe was refluxed 17 h to give 46.6% I (R = n-Cl1H23, R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2, X = Br).

IT 72502-59-1 72502-61-5
RL: RCT (Reactant); RACT (Reactant or reagent) (alkylation of)
RN 72502-59-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 72502-61-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

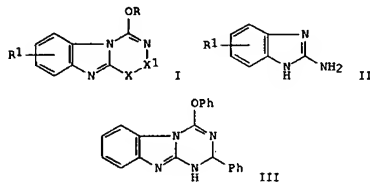


L6 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:42000 CAPLUS
DOCUMENT NUMBER: 92:42000
TITLE: Triazino[1,2-a]benzimidazoles
INVENTOR(S): Martin, Dieter; Graubaus, Heinz
PATENT ASSIGNEE(S): Ger. Dem. Rep.
SOURCE: Ger. (East), 9 pp.
CODEN: GEXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 136499	Z	19790711	DD 1978-205304	19780511

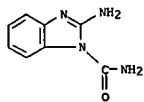
PRIORITY APPLN. INFO.: DD 1978-205304 19780511
GI



AB Triazino[1,2-a]benzimidazoles I [R = H, alkyl, (un)substituted aryl; R1 = H, halo, alkyl; X-X1 = NHCR2R3 or N:CR2 (R2, R3 = H, alkyl, (un)substituted aryl)], useful as plant protective agents (no data), were prepd. by treating ROCN [R = alkyl, (un)substituted aryl] with a benzimidazole II and an aldehyde or ketone in the presence of a base or acid anhydride. Thus, II (R = H) in THF was treated with PhOCN at 0.degree., EtOH, PhCHO, and piperidine added, and the mixt. boiled 1 h to give 82% III.

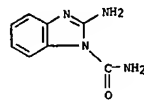
IT 72413-39-9
RL: RCT (Reactant); RACT (Reactant or reagent) (cyclization of, with acetone)
RN 72413-39-9 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-ar-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



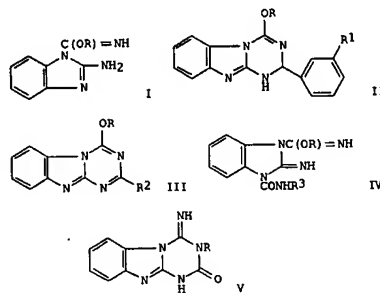
D1-Me

IT 72431-61-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, with aldehydes and ketones)
 RN 72431-61-9 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino- (9CI) (CA INDEX NAME)



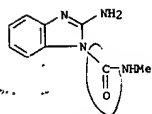
L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:611370 CAPLUS
 DOCUMENT NUMBER: 91:211370
 TITLE: Cyanic acid esters. 27. Triazinobenzimidazoles from 2-aminobenzimidazol-1-imidic acid esters and carbonyl-analogous compounds
 AUTHOR(S): Martin, Dieter; Graubaum, Heinz
 CORPORATE SOURCE: Zentralinst. Org. Chem., DAW, Berlin, DDR-1199, Ger.
 SOURCE: Dem. Rep.
 Journal fuer Praktische Chemie (Leipzig) (1979), 321(3), 379-86
 CODEN: JPCEAO; ISSN: 0021-8383
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI

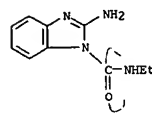


AB Benzimidazole I undergoes cyclocondensation with arom. aldehydes, carboxylic acid anhydrides, and arom. isocyanates. Thus, treating I with 3-R1C6H4CHO gave triazinobenzimidazoles II (R = Ph, Et, p-tolyl; R1 = H, Cl, NO2), whereas use of (R2CO)2O gave III (R = Ph, p-tolyl, 4-ClC6H4; R2 = Me, Ph). Isocyanates gave ureas IV (R = Ph, p-tolyl, 4-MeOC6H4, Cl3CCH2; R3 = Me, Et, Bu), whose heating caused acyl migration and cyclocondensation to give V.
 IT 21035-29-OP 71809-64-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn., rearrangement, and cyclocondensation with isocyanates)
 RN 21035-29-0 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

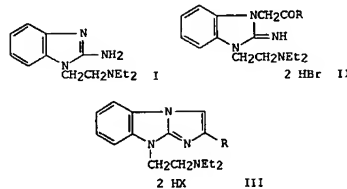


RN 71809-64-8 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-ethyl- (9CI) (CA INDEX NAME)

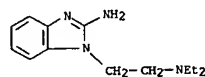


L6 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:611327 CAPLUS
 DOCUMENT NUMBER: 91:211327
 TITLE: Synthesis and pharmacological properties of some disubstituted imidazo[1,2-a]benzimidazole derivatives
 AUTHOR(S): Kovalev, G. V.; Anisimova, V. A.; Simonov, A. M.; Gofman, S. M.; Petrov, V. I.; Tyurenkov, I. N.; Pomilov, Yu. K.
 CORPORATE SOURCE: Nauchno-Issled. Inst. Fiz. Org. Khim., Rostov-on-Don, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1979), 13(8), 57-62
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



AB Treatment of aminobenzimidazole I with BrCH2COR (R = p-BrC6H4, 1-naphthyl, Me3C, p-MeOC6H4) gave 85-90% imine II, which were cyclized to give 90-7% imidazoimidazoles III (X = Cl). III (R = Ph, X = Br, NO3, 1/2 SO4) were prepd. similarly. III, and 1-methyl-2-phenyl- (IV) and 1-methyl-2-phenyl-2,3-dihydroimidazo[1,2-a]benzimidazole (V) were tested for their hypotensive, adrenoblocking, antispasmodic, muscle relaxant, antihistaminic and antiproliferative activity; their effect on the heart and central nervous system was also investigated. III showed adrenoblocking activity. IV and V had weak hypotensive activity but did not have a depressive effect on the central and peripheral receptors. The tested compds. did not have antispasmodic activity, muscle relaxant activity, analgesic or antihistaminic activity.
 IT 38652-79-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with bromoacetophenones)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

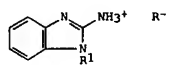
L6 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:575347 CAPLUS
 DOCUMENT NUMBER: 91:175347
 TITLE: Benzmimidazoles
 PATENT ASSIGNEE(S): Chinoi Gyogyszer es Vegyeszeti Termek Gyara Rt.,
 Hung.
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JJOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54070273	A2	19790605	JP 1978-130944	19781024
HU 19698	O	19810428	HU 1977-CI1780	19771025
HU 177582	P	19811128		
AT 7807383	A	19811215	AT 1978-7383	19781013
AT 367753	B	19820726		
DD 139428	C	19800102	DD 1978-208585	19781020
DD 145692	C	19810107	DD 1978-215301	19781020
FR 2407206	A1	19790525	FR 1978-30069	19781023
FR 2407206	B1	19830513		
CS 207687	P	19810831	CS 1978-6907	19781024
CH 639375	A	19831115	CH 1978-10985	19781024
SU 1148553	A3	19850330	SU 1978-2677206	19781024
GB 2007210	A1	19790516	GB 1978-41901	19781025
GB 2007210	B2	19820526		
AT 8104561	A	19840415	AT 1981-4561	19811027
AT 376356	B	19841112		

PRIORITY APPLN. INFO.: HU 1977-CI1780 19771025
 AT 1978-7383 19781013

GI



AB Agricultural fungicidal benzimidazoles I (R1 = H, C(2)NHR2 (Z = O, S; R2 = Cl-4 alkyl, (substituted) Ph), R- = inorg. or org. anion) were prepd., e.g., by treating 2-aminobenzimidazole (II) salts with a reagent contg. a C(12)NHR2 group. Thus, stirring II HCl salt in Me2CO-H2O with BuNCO 3 h gave I (R = Cl, R1 = CONHBu).

IT 70665-71-3P 71614-18-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and fungicidal activity of)

RN 70665-71-3 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl-, monohydrochloride (9CI)
 (CA INDEX NAME)

L6 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

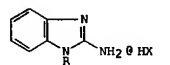
L6 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:439484 CAPLUS
 DOCUMENT NUMBER: 91:39484
 TITLE: Fungicidal benzimidazole derivatives
 PATENT ASSIGNEE(S): Chinoi Gyogyszer es Vegyeszeti Termek Gyara Rt.,
 Hung.
 SOURCE: Belg., 18 pp.
 CODEN: BEXXAL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 871525	A1	19790215	BE 1978-191332	19781025
HU 19698	O	19810428	HU 1977-CI1780	19771025
HU 177582	P	19811128		
AT 7807383	A	19811215	AT 1978-7383	19781013
AT 367753	B	19820726		
DD 139428	C	19800102	DD 1978-208585	19781020
DD 145692	C	19810107	DD 1978-215301	19781020
FR 2407206	A1	19790525	FR 1978-30069	19781023
FR 2407206	B1	19830513		
CS 207687	P	19810831	CS 1978-6907	19781024
CH 639375	A	19831115	CH 1978-10985	19781024
SU 1148553	A3	19850330	SU 1978-2677206	19781024
GB 2007210	A1	19790516	GB 1978-41901	19781025
GB 2007210	B2	19820526		
AT 8104561	A	19840415	AT 1981-4561	19811027
AT 376356	B	19841112		

PRIORITY APPLN. INFO.: HU 1977-CI1780 19771025
 AT 1978-7383 19781013

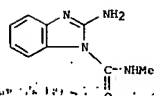
GI



AB Aminobenzimidazole salts I (R = H, CONHR1, CSNHR1; R1 = Cl-4 alkyl, optionally substituted Ph; X = anion) were prepd. Thus, 2-aminobenzimidazole was converted to its hydrochloride and treated with BuNCO to give I (R = CONHBu, X = Cl), which inhibited the growth of Fusarium graminearum at 100 ppm.

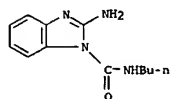
IT 70665-71-3P 70665-73-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and fungicidal activity of)

RN 70665-71-3 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl-, monohydrochloride (9CI)
 (CA INDEX NAME)



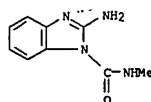
● HCl

RN 71614-18-1 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-butyl-, monohydrochloride (9CI)
 (CA INDEX NAME)



● HCl

L6 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

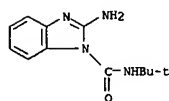


● HCl

RN 70665-73-5 CAPLUS
 CN Benzoic acid, 2-hydroxy-, compd. with 2-amino-N-(1,1-dimethylethyl)-1H-benzimidazole-1-carboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70665-72-4
 CMF C12 H16 N4 O



CM 2

CRN 69-72-7
 CMF C7 H6 O3

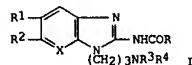


L6 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L6 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS

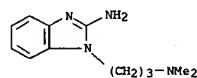
ACCESSION NUMBER: 1977:171452 CAPLUS
 DOCUMENT NUMBER: 86:171452
 TITLE: Antiinflammatory 1-[3-(dialkylamino)propyl]-2-acylamino-3-(3-dialkylamino)propylimidazo[4,5-b]pyridines
 INVENTOR(S): Kadin, Saul B.
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: U.S., 20 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4002623	A	19770111	US 1974-495375	19740807
PRIORITY APPLN. INFO.:			US 1974-495375	19740807



AB The title compds. I (R = Ph, substituted phenyl, styryl, CH2OMe, CH2OMe3, 2-furyl; R1 = H, CF3, Cl, Me, OMe, SO2NMe2; R2 = H, Me, Cl; NR3R4 = NMe2, morpholino, 4-methylpiperazino, 4-benzylpiperazino, piperazino, piperidino; X = CH, N) (114 compds.) were prepd. and have antiinflammatory activity. Thus, 2-ClC6H4NO2 was treated with 1-(3-aminopropyl)-4-methylpiperazine, and the nitro group reduced, the amine cyclized with BrCN and acylated to give I (R = 3,4-Cl2C6H3, R1 = R2 = H, NR3R4 = 4-methylpiperazino) which at 10 mg/kg orally in rats gave 32% inhibition of adjuvant arthritis.

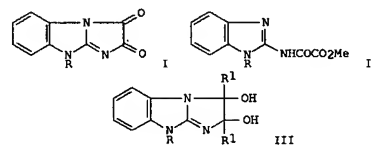
IT 38652-80-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and acylation of)
 RN 38652-80-1 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

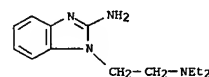
L6 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:72521 CAPLUS
 DOCUMENT NUMBER: 86:72521
 TITLE: Synthesis and transformations of 2,3-dioxo-2,3-dihydroimidazo[1,2-a]benzimidazole derivatives
 AUTHOR(S): Simonov, A. M.; Koshchlenko, Yu. V.; Suvorova, G. M.; Tertov, S. A.; Malyshcheva, E. N.
 CORPORATE SOURCE: Rostov. Gos. Univ., Rostov, USSR
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (10), 1391-5
 CODEN: KGSSAQ; ISSN: 0132-6244
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI

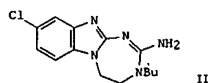
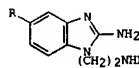


AB Imidazobenzimidazoles I (R = Me, Et, PhCH2, Et2NCH2CH2) were obtained in 46-90% yields by treatment of 1-alkyl-2-aminobenzimidazoles with (COCl)2 followed by cyclization in the presence of Et3N. I (R = Me, Et, PhCH2) were also obtained in 20-7% yields by thermal cyclization of II. Redn. of I (R = Me, PhCH2) by LiAlH4 gave, 60 and 70%, resp., of the corresponding diols III (R1 = H). Grignard reaction of I with EtBr gave 52% III (R1 = Et).

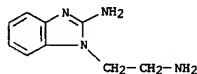
IT 38652-79-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of with oxalyl chloride)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1976:478096 CAPLUS
 DOCUMENT NUMBER: 85:78096
 TITLE: Condensed 1,3,5-triazepines: μ -III π -Derivatives of 4,5-dihydro-[1,3,5]triazepino[1,2-a]benzimidazole
 AUTHOR(S): Agai, B.; Doleschall, G.; Hornyak, G.; Lempert, K.; Simig, G.
 CORPORATE SOURCE: Dep. Org. Chem., Tech. Univ., Budapest, Hung.
 SOURCE: Tetrahedron (1976), 32(7), 839-42
 CODEN: TETRA; ISSN: 0040-4020
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



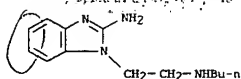
AB The benzimidazoles I (R = H, Cl, R1 = Bu; R = R1 = H) were obtained either from 4,2-R(O2N)C6H3NH(CH2)2OH (R = H, Cl) or 2-O2NC6H4NH(CH2)2NH2 in 4 steps. Using suitable Cl components, I underwent ring closure to give derivs. of the 4,5-dihydro-[1,3,5]-triazepino[1,2-a]benzimidazole ring system. Thus, refluxing I (R = Cl, R1 = Bu) with BrCN for 1 hr in EtOH gave, after work up, 51.5% II.
 IT 60078-77-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cycloaddn. reaction with carbonyldiimidazole)
 RN 60078-77-5 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino- (9CI) (CA INDEX NAME)



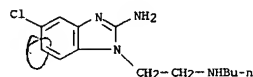
IT 60078-74-2P 60078-75-3P 60078-76-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclization of)
 RN 60078-74-2 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N-butyl-5-chloro- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 60078-73-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction with carbon disulfide and thiocarbonyldiimidazole)
 RN 60078-73-1 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N-butyl- (9CI) (CA INDEX NAME)

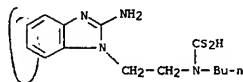


IT 60078-56-0P 60078-59-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 60078-56-0 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N-butyl-5-chloro-, dihydrobromide (9CI) (CA INDEX NAME)

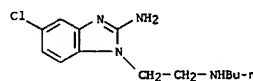


● 2 HBr

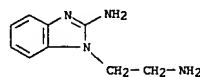
RN 60078-59-3 CAPLUS
 CN Carbanodithioic acid, [2-(2-amino-1H-benzimidazol-1-yl)ethyl]butyl- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

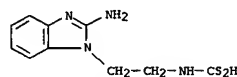


RN 60078-75-3 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-, dihydrobromide (9CI) (CA INDEX NAME)

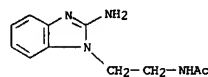


● 2 HBr

RN 60078-76-4 CAPLUS
 CN Carbanodithioic acid, [(2-amino-1H-benzimidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



IT 60078-66-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deacetylation of)
 RN 60078-66-2 CAPLUS
 CN Acetamide, N-[2-(2-amino-1H-benzimidazol-1-yl)ethyl]-, monohydrobromide (9CI) (CA INDEX NAME)



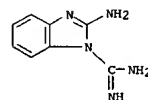
● HBr

L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS

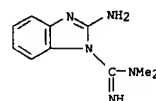
ACCESSION NUMBER: 1975:140018 CAPLUS
 DOCUMENT NUMBER: 82:140018
 TITLE: Cyclization reactions of 2-aminobenzimidazoles to s-triazino[1,2-a]benzimidazoles
 AUTHOR(S): Augustin, M.; Kuppe, K. R.
 CORPORATE SOURCE: Sek. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, Ger. Dem. Rep.
 SOURCE: Tetrahedron (1974), 30(18), 3533-8
 CODEN: TETRA; ISSN: 0040-4020
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI For diagram(s), see printed CA Issue.

AB 2-Aminobenzimidazolyl-1-phenylimidate (I) and the -1-amidines II (R1, R2 = H, alkyl), prepd. from 2-aminobenzimidazole and 1-cyano-2-aminobenzimidazole (III) resp. with arom. aldehydes or acids gave 1,2-dihydro-2-aryl-s-triazino[1,2- α]benzimidazoles or 2-aryl-s-triazino[1,2- α]benzimidazoles. Thus, II (R1 = R2 = H) and p-O2NC6H4CO2H gave 87% IV. III with isocyanates or azomethines gave tetrahydro-s-triazino[1,2- α]benzimidazoles.

IT 55179-83-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and condensation with arom. acids and aldehydes, triazinobenzimidazoles by)
 RN 55179-83-4 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino- (9CI) (CA INDEX NAME)

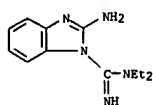


IT 55179-90-3P 55179-91-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction with arom. acids, triazinobenzimidazoles by)
 RN 55179-90-3 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

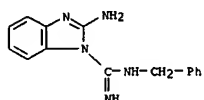


RN 55179-91-4 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

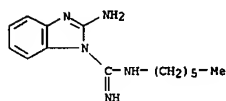
L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



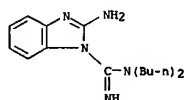
IT 55179-92-5P 55179-93-6P 55179-94-7P
 55179-95-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 55179-92-5 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 55179-93-6 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N-hexyl- (9CI) (CA INDEX NAME)

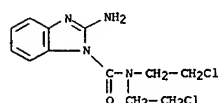


RN 55179-94-7 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-dibutyl- (9CI) (CA INDEX NAME)



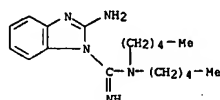
L6 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:478753 CAPLUS
 DOCUMENT NUMBER: 79:78753
 TITLE: Potential nitrogen mustard transport forms. II. Bis(.beta.-chloroethyl)carbamoyl derivatives of benzimidazolone benzimidazolthiones, and benzimidazolimidides
 AUTHOR(S): Schulze, W.; Letsch, G.
 CORPORATE SOURCE: Zentralinst. Mikrobiol. Exp. Ther., Dtsch. Akad. Wiss., Jena; Ger. Dem. Rep. (Chem. Ber. 1973, 106, 1111)
 SOURCE: Pharmazie (1973), 28(6), 367-71
 CODEN: PHARAT; ISSN: 0031-7144
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 AB (ClCH2CH2)2NCOCl (I) reacts with benzimidazolone in the presence of NaH to give 1,3-bis[bis(.beta.-chloroethyl)carbamoyl]benzimidazolone. I reacts with 5-nitrobenzimidazole to give a mixt. 1,3-bis[bis(.beta.-chloroethyl)carbamoyl]-5-nitrobenzimidazole and 1-[bis(.beta.-chloroethyl)carbamoyl]-5(or 6)-nitrobenzimidazolone. When benzimidazolethione is first acylated at the S, ring closure can follow producing 2-oxo-3-[(.beta.-chloroethyl)-2,3,4,5-tetrahydrobenzimidazo[2,1-b]-1,3,6-thiadiazepine. 2-Aminobenzimidazole is converted by reaction with 1 equiv. I into 5-oxo-4-[(.beta.-chloroethyl)-2,3,4,5-tetrahydro-1H-benzimidazo[2,1-b]-1,3,5-triazepine. 2-Anilino-5-nitrobenzimidazole reacts with I to produce 5-oxo-4-[(.beta.-chloroethyl)-1-phenyl-2,3,4,5-tetrahydro-1H-benzimidazo[2,1-b]-1,3,5-triazepine.
 IT 49608-69-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 49608-69-7 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-bis(2-chloroethyl)- (9CI) (CA INDEX NAME)



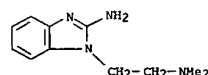
L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 55179-95-8 CAPLUS
 CN 1H-Benzimidazole-1-carboximidamide, 2-amino-N,N-dipentyl- (9CI) (CA INDEX NAME)

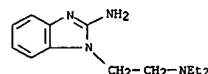


L6 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1972:560002 CAPLUS
 DOCUMENT NUMBER: 77:160002
 TITLE: Heterocyclic compounds. 10. Synthesis of some imidazo[1,2-a]benzimidazoles with potent analgetic activities
 AUTHOR(S): Ogura, Haruo; Takayanagi, Hiroaki; Yamazaki, Yukio; Yonezawa, Shoichi; Takagi, Hiromu; Kobayashi, Shinsaku; Kamicko, Toshikazu; Kimoshita, Kiyoo
 CORPORATE SOURCE: Sch. Pharm. Sci., N. Kitasato Univ., Tokyo, Japan
 SOURCE: Journal of Medicinal Chemistry (1972), 15(9), 923-6
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The most potent analgetic of a series of imidazo[1,2-a]benzimidazoles synthesized was 2-[p-bromophenyl]-9-[3-(dimethylamino)propyl]-9H-imidazo[1,2-a]benzimidazole (I) [36994-25-9], which had oral ED50 and LD50 values in mice of 6 and 1,100 mg/kg, resp. To synthesize I, 2-aminobenzimidazole was reacted with p-bromophenyl Me ketone in MeOH and the product 1-phenacylbenzimidazole sepd. from the 1,3-bis(phenacyl)benzimidazole by fractional crystn. The product was then cyclized in NaOH to the imidazobenzimidazole, which was treated with NaNH2 in liq. NH3 and then with 3-(dimethylamino)propyl chloride in dry toluene to yield I.
 IT 38652-78-7P 38652-79-8P 38652-80-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 38652-78-7 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

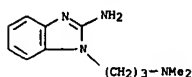


RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 38652-80-1 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

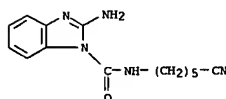


L6 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1972:501614 CAPLUS
 DOCUMENT NUMBER: 77:101614
 TITLE: Biocidal N-(omega-cyanoalkyl)carbamylbenzimidazoles
 INVENTOR(S): Daum, Werner; Scheinplug, Hans; Fronberger, Paul
 PATENT ASSIGNEE(S): Ernst, Greve, Ferdinand
 SOURCE: Farbenfabriken Bayer A.-G.
 DOCUMENT TYPE: U.S., 12 pp.
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 3

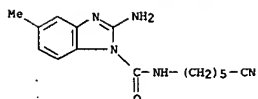
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3673210	A	19720627	US 1969-880399	19691126
DE 1812005	A	19700618	DE 1968-1812005	19681130
US 3794728	A	19740226	US 1971-206180	19711208
US 3864490	A	19750204	US 1973-392833	19730829
PRIORITY APPLN. INFO.:			DE 1968-1812005	19681130
			DE 1968-1812000	19681130
			US 1969-880399	19691126
			US 1971-206180	19711208

GI For diagram(s), see printed CA Issue.
 AB Eight title compds. I (R = CO₂Et, CO₂Me, H; R₁ = H, Me; n = 11, 5) were prep'd. by treating an alkyl N-(benzimidazol-2-yl)carbamate with an omega-isocyanato-alkanoic acid nitrile. I exhibit strong, effective fungitoxic and antibacterial activity.
 IT 28559-06-0P 32987-23-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 28559-06-0 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)



RN 32987-23-8 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

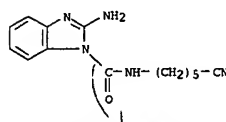


L6 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:436053 CAPLUS
 DOCUMENT NUMBER: 75:36053
 TITLE: Pesticidal omega-cyanoalkylcarbamylbenzimidazoles
 INVENTOR(S): Daum, Werner; Scheinplug, Hans; Fronberger, Paul E.; Greve, Ferdinand
 PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
 SOURCE: Brit., 8 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

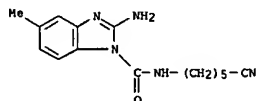
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1228108	A	19710415	GB 1969-1228108	19691119
DE 1812005	A	19700618	DE 1968-1812005	19681130
US 3864490	A	19750204	US 1973-392833	19730829
PRIORITY APPLN. INFO.:			DE 1968-1812005	19681130
			DE 1968-1812000	19681130
			US 1971-206180	19711208

GI For diagram(s), see printed CA Issue.
 AB The title compds. (I) are prep'd. Thus, to a cooled, stirred mixt. of 302 g ClCO₂Et with a soln. of 2 moles PhCH₂SC(=NH)NH₂·HCl in 800 ml H₂O and 200 ml MeCN, are added 25% aq. NaOH at 1.1 to req. 25 degree. until the pH reaches 8, stirring continued 80 min. 1.5 l. H₂O added, the sepd. org. phase, after addn. of 0.5 l. H₂O, 216 g o-C₆H₄(NH₂)₂, and 180 g HOAc heated to 80-90 degree. 15 min, kept 2 hr at 80-90 degree., cooled, the aq. phase sepd., and the paste-like product stirred with H₂O, and then iso-PrOH to give 82% II. A mixt. of 10 g CN(CH₂)₁₁NCO, b.p. 124-6.5 degree., [obtained from CN(CH₂)₁₁NH₂ and COCl₂ in PhCl, 2 hr at 120 degree.] and 10 ml Me₂CO is added to 1 ml of a mixt. of 7.7 g II, 30 ml dry Me₂CO, and 0.1 ml picoline, the mixt. stirred 2 hr at 40 degree., kept 18 hr at 23 degree., and dil'd. with 40 ml Me₂CO, adding ligroline and drying the crystals at 40 degree./0.1 mm to give 13.5 g I (n = 11; R = CO₂Et, R₁ = H). Values otherwise exemplified in I are: n = 5; R = H, CO₂Et, CO₂Me; R₁ = 5-Me, 6-Me. I exhibit fungitoxic, antibacterial, insecticidal, acaricidal and ovicidal properties. They are systemically effective, and are more fungitoxically effective than N-trichloromethylthiotetrahydrophthalimide.
 IT 28559-06-0P 32987-23-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 28559-06-0 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)

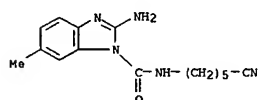


RN 32987-23-8 CAPLUS
 CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)-5-methyl- (9CI)

L6 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
(CA INDEX NAME)



RN 28559-07-1 CAPLUS
CN 1-Benzimidazolecarboxamide, 2-amino-N-(5-cyanopentyl)-6-methyl- (8CI) (CA INDEX NAME)



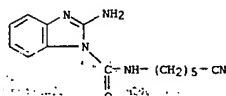
L6 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:456097 CAPLUS

DOCUMENT NUMBER: 73:56097
TITLE: Pesticidal 1-[-cyanoalkylcarbamoyl]-2-aminobenzimidazoles
INVENTOR(S): Daum, Werner; Scheinpflug, Hans; Frohberger, Paul E.; Greve, Ferdinand
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

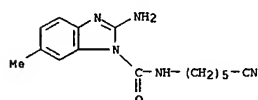
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1812005	A	19700618	DE 1968-1812005	19681130
CH 520470	A	19720515	CH 1969-520470	19691105
GB 1228108	A	19710415	GB 1969-1228108	19691119
RO 56183	P	19750115	RO 1969-61620	19691120
FI 52718	B	19770801	FI 1969-3360	19691120
CS 157077	P	19740823	CS 1969-7731	19691124
DK 123821	B	19720807	DK 1969-6260	19691125
SU 365887	D	19730108	SU 1969-1380755	19691125
SU 416915	D	19740225	SU 1969-1420140	19691125
US 3673210	A	19720627	US 1969-880399	19691126
AT 301260	B	19720825	AT 1969-11090	19691127
BE 742394	A	19700528	BE 1969-742394	19691128
NL 6917947	A	19700602	NL 1969-17947	19691128
ES 374005	A1	19720301	ES 1969-374005	19691128
NO 124257	B	19720327	NO 1969-4712	19691128
SE 349805	B	19721009	SE 1969-16432	19691128
JP 48016919	B4	19730525	JP 1969-95631	19691129
JP 48028053	B4	19730829	JP 1969-95632	19691129
FR 2024970	A5	19700903	FR 1969-41396	19691201
US 3794728	A	19740226	US 1971-206180	19711208
US 3864490	A	19750204	US 1973-392833	19730829
JP 51000116	B4	19760105	JP 1973-130850	19731122
PRIORITY APPLN. INFO.:			DE 1968-1812000	19681130
			DE 1968-1812005	19681130
			US 1969-880399	19691126
			US 1971-206180	19711208

GI For diagram(s), see printed CA Issue.
AB The fungitoxic, antibacterial, insecticidal, acaricidal, and ovicidal title compds. (I) were prepd. Thus, heating 7.7 g II and 10 g OCN(CH₂)₁₁CN in 30 ml Me₂CO and 0.1 ml picoline 2 hr at 40.degree. gave 13.5 g I (R = CO₂Et, R₁ = R₂ = H, n = 11). Similarly prepd. were I (R, R₁, R₂, and n given): CO₂Me, H, H, 5; CO₂Et, H, H, 5; CO₂Me, H, Me, 5; CO₂Me, Me, H, 5; EtCO, H, H, 5; H, H, H, 5.
IT 28559-06-0P 28559-07-1P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 28559-06-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-(5-cyanopentyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 28559-07-1 CAPLUS
CN 1-Benzimidazolecarboxamide, 2-amino-N-(5-cyanopentyl)-6-methyl- (8CI) (CA INDEX NAME)

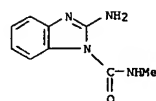


L6 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS

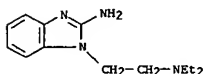
ACCESSION NUMBER: 1969:11697 CAPLUS
DOCUMENT NUMBER: 70:11697
TITLE: Benzimidazolyl ureas
INVENTOR(S): Hoover, John R. E.; Stedman, Robert J.
PATENT ASSIGNEE(S): Smith Kline and French Laboratories
SOURCE: U.S., 4 pp.
CODEN: USXXAN
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3399212	A	19680827	US 1966-578512	19660912
PRIORITY APPLN. INFO.:			AU 1966-5600	19660516

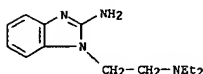
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepd. by reaction of 2-aminobenzimidazole (II) or substituted II with a substituted isocyanate in a solvent at steam bath temp. or, for disubstituted ureas, by treating Et 2-benzimidazolylthiolocarbamate with an amine. Thus, to a cooled soln. of 26.6 g. II in 160 ml. dry pyridine is added dropwise an equimolar amt. of MeNCO and the mixt. stirred on a steam bath for 1 hr. to give I (R = Me, X = H), m. 324.degree. (decomp.). Similarly were prepd. the following I (R, R₁, X, and m.p. given): Et, H, H, >300.degree.; Pr, H, H, >300.degree.; iso-Pr, H, H, >300.degree.; Me, Me, H, 250-2.degree. (decomp.); Me, H, 5(6)Bu; 231-2.degree.; cyclopropyl, H, H, >300.degree.. Also prepd. is 2-amino-1-(N-methylcarbamoyl)benzimidazole. The compds. are anthelmintic agents.
IT 21035-29-0P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 21035-29-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-amino-N-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1964:60870 CAPLUS
 DOCUMENT NUMBER: 60:60870
 ORIGINAL REFERENCE NO.: 60:10670e-g
 TITLE: Action of sodium amide on N-alkylbenzimidazoles substituted in position 5
 AUTHOR(S): Lomakin, A. N.
 SOURCE: Materialy 4-oi [Chetvertoi] Nauchn. Konf. Aspirantov (Rostov-on-Don: Rostovsk. Univ.) Sb. (1962) 108-10
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 GI For diagram(s), see printed CA Issue.
 AB Direct amination of 1-R-5-R'-substituted benzimidazoles with NaNH₂ in xylene gave the following I (R, R', and m.p. given): Me, EtO, 215-16.5.degree. (HCl salt m. 223.4-4.4.degree.); Et, EtO (II), 183-3.5.degree.; Me, PhCH₂O, 214-15.5.degree.; Et, PhCH₂O, 190-1.degree.; Et₂NCH₂CH₂, H, 125-6.degree. (di-HCl salt m. 126-7.degree.); Et₂N(CH₂)₃, H, 146-7.degree.. Refluxing II with HBr gave 2-amino-1-ethyl-5-hydroxybenzimidazole, m. 190-1.degree.. 4 Fusion of the O-Na deriv. of 5-hydroxy-1-ethylbenzimidazole with NH₂Na at 190-200.degree. gave an unknown substance, m. 274-5.degree.. The 2-aminobenzimidazoles display significant hypotensive activity.
 IT 38652-79-8, Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]- 92423-53-5, Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride 92494-07-0, Benzimidazole, 2-amino-1-[3-(diethylamino)propyl]- (prepn. of)
 RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



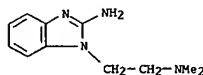
RN 92423-53-5 CAPLUS
 CN Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (7CI)
 (CA INDEX NAME)



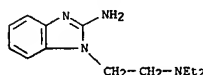
● 2 HCl

RN 92494-07-0 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1964:52716 CAPLUS
 DOCUMENT NUMBER: 60:52716
 ORIGINAL REFERENCE NO.: 60:9262g-h, 9263a
 TITLE: Nitration of 2-amino-1-alkylbenzimidazoles and 2-amino-1,3-dialkylbenzimidazolines
 AUTHOR(S): Yuttilov, Yu. M.
 SOURCE: Materialy 4-oi [Chetvertoi] Nauchn. Konf. Aspirantov. (Rostov-on-Don: Rostovsk. Univ.) Sb. (1962) 110-12
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 GI For diagram(s), see printed CA Issue.
 AB Benzimidazoles (I) (R = Me, Et) (Ia and Ib, resp.) are nitrated easily in concd. H₂SO₄ even at -5.degree. to give the 5,6-dinitro derivs. of Ia and Ib, m. 335.degree. (HCONMe₂), and 240.degree. (aq. alc.), resp. From 1,3-dimethyl- and 1,3-diethyl-2-aminobenzimidazolines (II, R = Me and Et) (III and IV, resp.) under the same conditions, apparently the 5-nitro deriv. of III, m. 241.degree. (aq. alc.), the 5,6-dinitro deriv. of III, m. 260.degree. (HCONMe₂), and the 5,6-dinitro deriv. of IV, m. 161.degree. (from aq. alc.), are obtained. Ultraviolet spectra curves of the prepd. compds. are presented.
 IT 38652-78-7, Benzimidazole, 2-amino-1-[2-(dimethylamino)ethyl]- 38652-79-8, Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]- 38652-80-1, Benzimidazole, 2-amino-1-[3-(dimethylamino)propyl]- 92423-53-5, Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (prepn. of)
 RN 38652-78-7 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)



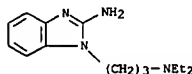
RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)



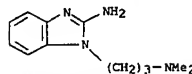
RN 38652-80-1 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX NAME)

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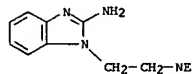
L6 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



L6 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



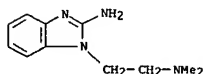
RN 92423-53-5 CAPLUS
 CN Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (7CI)
 (CA INDEX NAME)



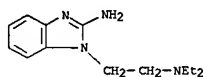
● 2 HCl

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L6 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1964:52715 CAPLUS
 DOCUMENT NUMBER: 60:52715
 ORIGINAL REFERENCE NO.: 60:9262f-g
 TITLE: 2-Amino-1-dialkylaminoalkylbenzimidazoles
 AUTHOR(S): Simonov, A. M.; Belous, A. A.; Lomakin, A. N.;
 Anisimova, V. A.
 CORPORATE SOURCE: State Univ., Rostov
 SOURCE: Zhurnal Vsesoyuznogo Khimicheskogo Obshchestva im. D.
 I. Mendeleeva (1963), 8(6), 712
 CODEN: ZYKOA6; ISSN: 0373-0247
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 G1 For diagram(s), see printed CA Issue.
 AB Benzimidazole treated with the appropriate dialkylaminoalkyl
 chlorides gave the 1-dialkylaminoalkyl derivs. which heated in xylene with
 NaNH2 gave the following I (R, n, % yield, and m.p. given): Et, 2, 40,
 136-7.degree. (di-HC salt m. 219-20.degree.); Me, 2, --, 150-50.5.degree.;
 Me, 3, --, m. 148-9.degree..
 IT 38652-78-7, Benzimidazole, 2-amino-1-[2-
 (dimethylamino)ethyl]- 38652-79-8, Benzimidazole,
 2-amino-1-[2-(diethylamino)ethyl]- 38652-80-1,
 Benzimidazole, 2-amino-1-[3-(dimethylamino)propyl]-
 92423-53-5, Benzimidazole, 2-amino-1-[2-
 (diethylamino)ethyl]-, dihydrochloride
 (prepn. of)
 RN 38652-78-7 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX
 NAME)

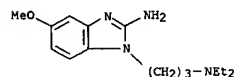


RN 38652-79-8 CAPLUS
 CN 1H-Benzimidazole-1-ethanamine, 2-amino-N,N-diethyl- (9CI) (CA INDEX NAME)

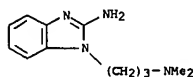


RN 38652-80-1 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-dimethyl- (9CI) (CA INDEX
 NAME)

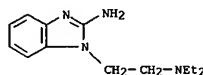
L6 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1960:12884 CAPLUS
 DOCUMENT NUMBER: 54:12884
 ORIGINAL REFERENCE NO.: 54:246771,24678a-b
 TITLE: Benzimidazole derivatives. IV. Compounds of
 2-aminobenzimidazole series
 AUTHOR(S): Simonov, A. M.; Vitkevich, N. D.
 CORPORATE SOURCE: State Univ., Rostov-on-Don
 SOURCE: Zhurnal Obshchei Khimii (1960), 30; 590-2
 CODEN: ZOXA44; ISSN: 0044-460X
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 AB cf. CA 46, 498c; 54, 11002h. Heating NaNH2 (from 0.45 g. Na) and 2 g.
 1-methylbenzimidazole in xylene 3-4 hrs. at 130.degree. gave after an aq.
 treatment 52% 2-amino-1-methylbenzimidazole (I), m. 201-2.degree.; heating
 in PhNMe2 resulted in a vigorous reaction, completed in about 1 hr. at
 115.degree. to give a 54% yield; picrate m. 310.degree.; HCl salt
 monohydrate m. 191-2.degree.. Heated with o-O2NC6H4CHO it gave
 2-(2-nitrobenzylideneamino)-1-methylbenzimidazole, m. 159-60.degree.. I
 and Ac2O gave 2-acetamido-1-methylbenzimidazole, m. 181-2.degree.. I and
 p-O2NC6H4COCl in aq. NaHCO3 gave 100% 2-(p-nitrobenzamido)-1-
 methylbenzimidazole, m. 293-4.degree.. 2-Amino-5-methoxy-1-
 methylbenzimidazole (II), m. 222.degree., was prepd. in 55% yield in
 PhNMe2 similarly to prepn. of I; picrate m. 298-9.degree.; HCl salt m.
 231-2.degree.. The base of II was converted to the HCl salt and this, in
 C5H5N, was treated with .beta.-anthraquinonesulfonyl chloride to yield 80%
 orange-yellow 2-(beta.-anthraquinonesulfonamido)-5-methoxy-1-
 methylbenzimidazole, m. 244-5.degree.; treated with NaOH followed by HCl,
 it gave the HCl salt, a solid. Heating 3-amino-4-(3-
 diethylaminopropyl)anisole with HCO2H gave 5-methoxy-1-(3-
 diethylaminopropyl)benzimidazole, an oil; dipicrate m.
 181-2.degree.. This with NaNH2 in PhNMe2 as above gave 63%
 2-amino-5-methoxy-1-(3-diethylaminopropyl)benzimidazole, m.
 127-8.degree..
 IT 131253-75-3, Benzimidazole, 2-amino-1-(3-
 diethylaminopropyl)-5-methoxy-
 (prepn. of)
 RN 131253-75-3 CAPLUS
 CN 1H-Benzimidazole-1-propanamine, 2-amino-N,N-diethyl-5-methoxy- (9CI) (CA
 INDEX NAME)



L6 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 92423-53-5 CAPLUS
 CN Benzimidazole, 2-amino-1-[2-(diethylamino)ethyl]-, dihydrochloride (7CI)
 (CA INDEX NAME)



● 2 HCl

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

169.66

318.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-23.44

-23.44

STN INTERNATIONAL LOGOFF AT 13:55:46 ON 24 JUN 2003

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6/24/2003